=> file caplus
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FILE COVERS 1907 - 22 May 2007 VOL 146 ISS 22
FILE LAST UPDATED: 21 May 2007 (20070521/ED)

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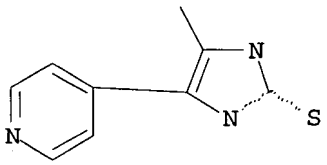
http://www.cas.org/infopolicy.html

=> d que
L1 STR



G1 Cb,Ak

Structure attributes must be viewed using STN Express query preparation.
L3 189 SEA FILE=REGISTRY SSS FUL L1
L4 37 SEA FILE=CAPLUS L3

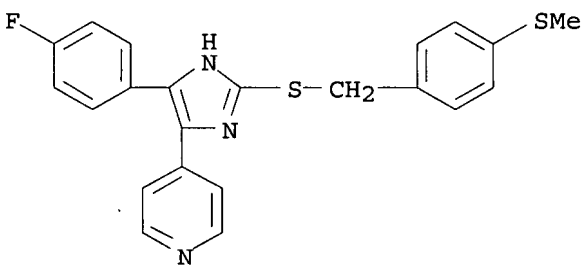
=> d l4 1-37 ibib abs hitstr

L4 ANSWER 1 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:441607 CAPLUS
DOCUMENT NUMBER: 146:371918
TITLE: Quantitative structure-activity relationship study of benzylsulfanyl imidazoles as cytokine release inhibitors
AUTHOR(S): Singh, P.; Sharma, B. K.
CORPORATE SOURCE: Department of Chemistry, S.K. Government College, Sikar, 332 001, India
SOURCE: Journal of Enzyme Inhibition and Medicinal Chemistry (2007), 22(1), 15-21
CODEN: JEIMAZ; ISSN: 1475-6366
PUBLISHER: Informa Healthcare
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Benzylsulfanyl imidazole derivs. (Figure 1) have shown their ability to inhibit the release of tumor necrosis factor-α (TNF-α) and interleukin-1β (IL-1β) from peripheral blood mononuclear cells

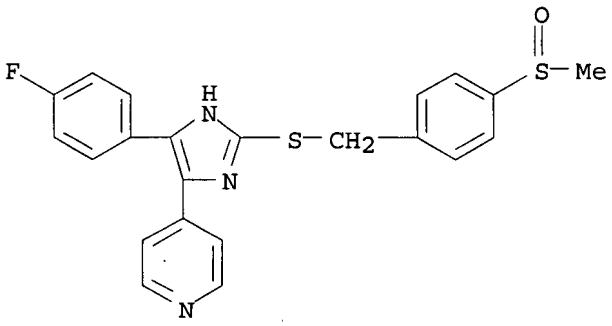
or human whole blood. Such anticytokine actions of these congeners are quant. studied using Fujita-Ban and Hansch type analyses. The Fujita-Ban study resulted in the contributions of different substituents and the parent moiety for the inhibitions of cytokines TNF-α and IL-1β. The substituents that have a higher pos. contribution to the given activity, relative to substituents of the parent moiety at different positions were then used to obtain a trend for the active analogs. None of the substituents present at X, Y, 2-R and 3-R, appears to be advantageous over the substituents of the parent moiety for inhibition of both the cytokines. However, the substituents at 4-R, 5-R and 6-R help to improve the inhibitory actions of the compds. for both cytokines. The optimal activities seem to be manifested by compds. in which 4-R, 5-R and 6-R are substituted resp. by OH (or SOCH3 and SO2CH3), Cl and OH for inhibition of TNF-α, whereas by SOCH3 (or SO2CH3 and OH), H and OH for inhibition of IL-1β. The Hansch type anal., on the other hand, revealed that the F-substituents of the X-position and a less bulky structural moiety such as - S(CH2)2 - at the Y-incision are advantageous in improving the inhibitory action towards TNF-α. Similarly, a less bulky/polar substituent present at 2-R and not having a hydrogen-bond donor property, while a more hydrophobic substituent at 3-R and hydrogen-bond acceptor substituent at 4-R are helpful in augmenting inhibitory activity of a compound However, for inhibition of cytokine IL-1β, it emerged that the X-substituents that transmits a higher neg. resonance effect, the Y-substituent that offers less mol. bulk are beneficial. The R-substituents, being more electron donors at the meta-position, less hydrophobic at the para-position and offering smaller refractivity (less bulky and or polar) at the ortho-position are likewise helpful in improving the activity of a compound

IT 262589-61-7 262589-62-8 262589-63-9
262589-68-4 262589-69-5 262589-70-8
262589-71-9 262589-72-0 262589-73-1
262589-74-2 262589-75-3 262589-77-5
475585-55-8 475585-56-9 475585-57-0
475585-59-2 475585-61-6 475585-62-7
475585-66-1 475585-67-2 475585-68-3
475585-70-7 475585-72-9 475585-73-0
475585-75-2 475585-76-3 475585-77-4
475585-78-5 475585-79-6 475585-80-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Quant. structure-activity relationship study of benzylsulfanyl imidazoles as cytokine release inhibitors)

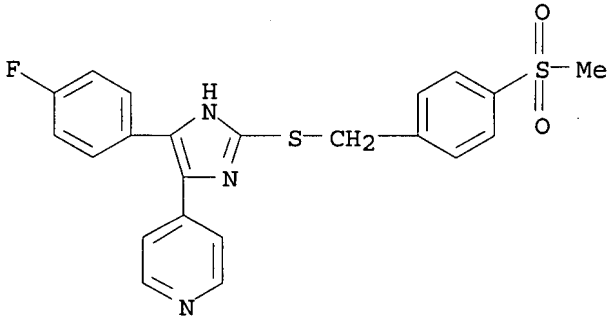
RN 262589-61-7 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[4-(methylthio)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



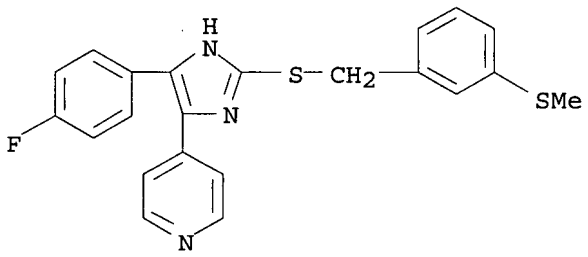
RN 262589-62-8 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[4-(methylsulfinyl)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



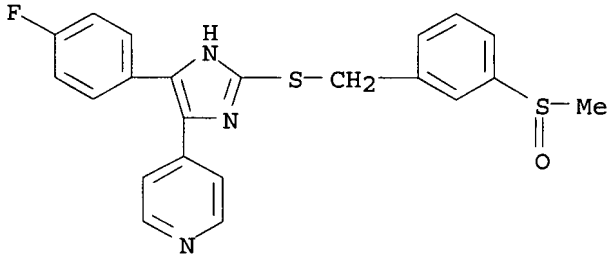
RN 262589-63-9 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[4-(methylsulfonyl)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



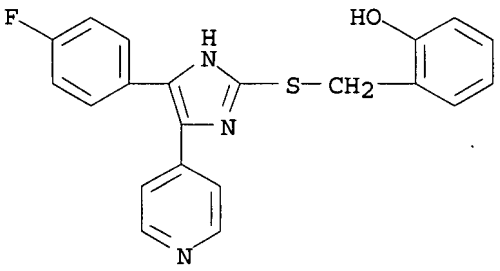
RN 262589-68-4 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[3-(methylthio)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



RN 262589-69-5 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[3-(methylsulfinyl)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)

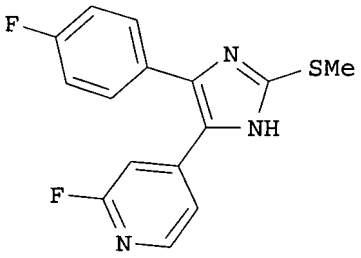


yl]thio]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

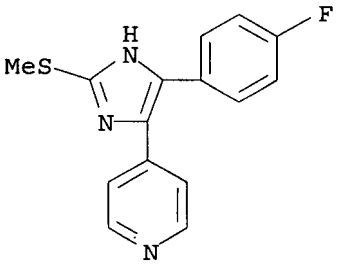
L4 ANSWER 2 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:904092 CAPLUS
DOCUMENT NUMBER: 145:471450
TITLE: A concise and optimized four-step approach toward 2-(aryl-)alkylsulfanyl-, 4(5)-aryl-, 5(4)-heteroaryl-substituted imidazoles using alkyl- or arylalkyl thiocyanates
AUTHOR(S): Laufer, Stefan A.; Liedtke, Andy J.
CORPORATE SOURCE: Institute of Pharmacy, Department of Pharmaceutical and Medicinal Chemistry, Eberhard-Karls-University Tuebingen, Tuebingen, 72076, Germany
SOURCE: Tetrahedron Letters (2006), 47(40), 7199-7203
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:471450
GI



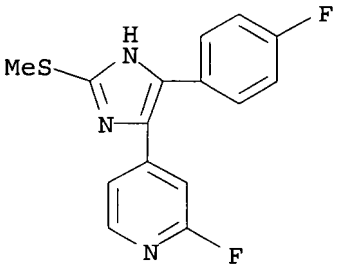
AB A convenient cyclization method leading to trisubstituted imidazoles in up to 84% yield is reported. Diverse 1-aryl-, 2-heteroaryl-substituted ethanones are converted into the corresponding α-oximino derivs. which are reduced under regioselective conditions. The obtained α-amino carbonyl intermediates are reacted with alkyl- or arylalkyl thiocyanates to directly yield C2-S-substituted imidazoles, e.g. I.

IT 475585-65-0P 549505-59-1P 581098-43-3P
581098-56-8P 581098-57-9P 913706-44-2P
913706-45-3P 913706-47-5P 913706-48-6P
913706-49-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(four-step preparation of C2-S-substituted imidazoles via reaction of benzoates with heteroaryl compds., oximation, reduction, and cyclization with thiocyanates)

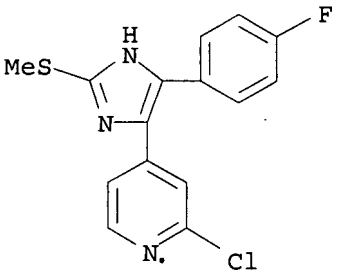
RN 475585-65-0 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



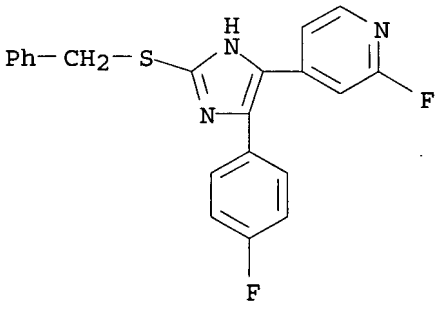
RN 549505-59-1 CAPLUS
CN Pyridine, 2-fluoro-4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



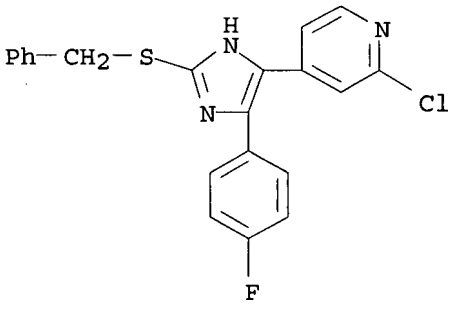
RN 581098-43-3 CAPLUS
CN Pyridine, 2-chloro-4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



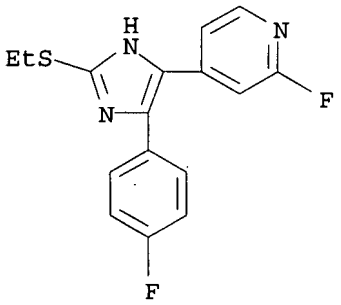
RN 581098-56-8 CAPLUS
CN Pyridine, 2-fluoro-4-[5-(4-fluorophenyl)-2-[(phenylmethyl)thio]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



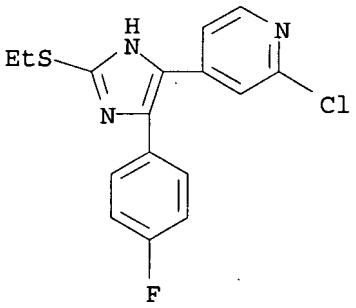
RN 581098-57-9 CAPLUS
CN Pyridine, 2-chloro-4-[5-(4-fluorophenyl)-2-[(phenylmethyl)thio]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



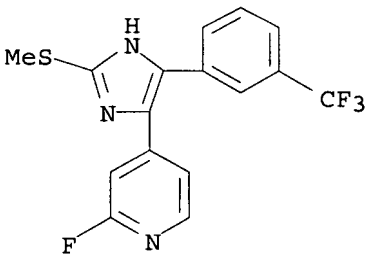
RN 913706-44-2 CAPLUS
CN Pyridine, 4-[2-(ethylthio)-5-(4-fluorophenyl)-1H-imidazol-4-yl]-2-fluoro- (9CI) (CA INDEX NAME)



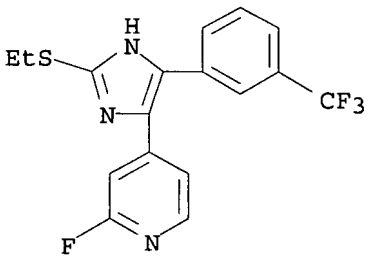
RN 913706-45-3 CAPLUS
CN Pyridine, 2-chloro-4-[2-(ethylthio)-5-(4-fluorophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



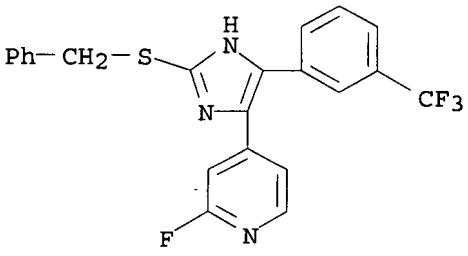
RN 913706-47-5 CAPLUS
CN Pyridine, 2-fluoro-4-[2-(methylthio)-5-[3-(trifluoromethyl)phenyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 913706-48-6 CAPLUS
CN Pyridine, 4-[2-(ethylthio)-5-[3-(trifluoromethyl)phenyl]-1H-imidazol-4-yl]-2-fluoro- (9CI) (CA INDEX NAME)



RN 913706-49-7 CAPLUS
CN Pyridine, 2-fluoro-4-[2-[(phenylmethyl)thio]-5-[3-(trifluoromethyl)phenyl]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:884499 CAPLUS
DOCUMENT NUMBER: 145:293053
TITLE: Preparation of 2-sulfinyl- and 2-sulfonyl-substituted imidazole derivatives as cytokine inhibitors
INVENTOR(S): Albrecht, Wolfgang; Greim, Cornelia; Striegel, Hans-Guenter; Tollmann, Karola; Merckle, Philipp; Laufer, Stefan
PATENT ASSIGNEE(S): Merckle GmbH, Germany
SOURCE: PCT Int. Appl., 110pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006089798	A1	20060831	WO 2006-EP1801	20060227

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:		
EP 2005-4369	A	20050228
US 2005-656389P	P	20050228

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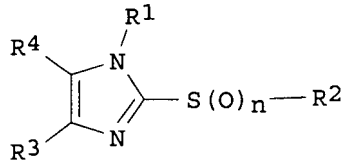

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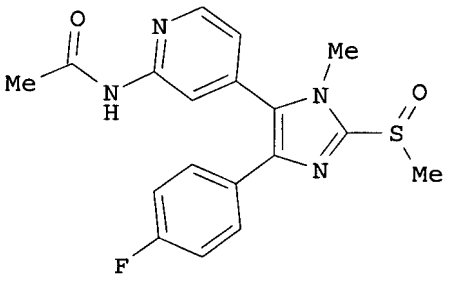


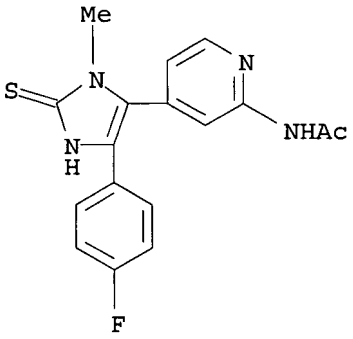
II

AB The invention is related to the preparation title compds. I [R1 = (un)substituted oxo/alkyl, amino/aryl, etc.; R2 = alk(en/yn)yl, Ph, etc.;

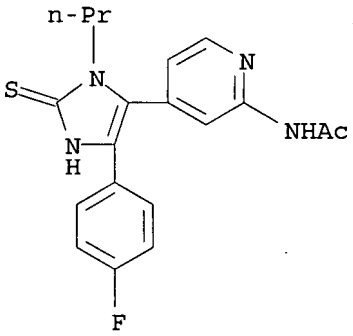
or R1R2 = ethylene, propylene; n = 1-2; R3 = Ph substituted with 1 or 2 halo atoms or CF3 groups; R4 = (un)substituted pyridin-4-yl], and their optical isomers and physiol. tolerated salts, having an immunomodulating and/or cytokine release-inhibiting effect. Thus, sulfoxide II was prepared by oxidation of N-[4-[5-(4-fluorophenyl)-2-methylsulfanyl-3-methyl-3H-imidazol-4-yl]pyridin-2-yl]acetamide in 99.8% yield. Selected I displayed a better metabolic stability, an increased oral bioavailability, and an increased systemic exposure compared to its sulfanyl analog. I are useful for treating disorders associated with an impairment of the immune system.

IT 452056-88-1P 452056-89-2P 452056-90-5P
452056-92-7P 908382-08-1P 908382-45-6P,
4-(4-Fluorophenyl)-5-(2-fluoropyridin-4-yl)-1-(2-methoxyethyl)-1,3-dihydroimidazole-2-thione
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 2-sulfinyl- and 2-sulfonyl-substituted imidazole derivs. as cytokine inhibitors)

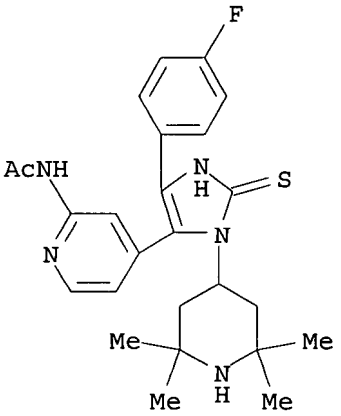
RN 452056-88-1 CAPLUS
CN Acetamide, N-[4-[5-(4-fluorophenyl)-2,3-dihydro-3-methyl-2-thioxo-1H-imidazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



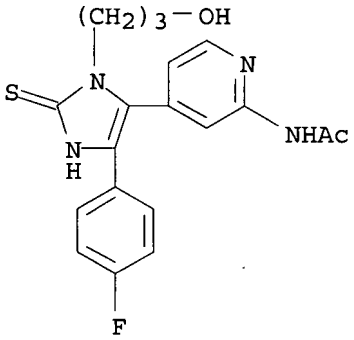
RN 452056-89-2 CAPLUS
CN Acetamide, N-[4-[5-(4-fluorophenyl)-2,3-dihydro-3-propyl-2-thioxo-1H-imidazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



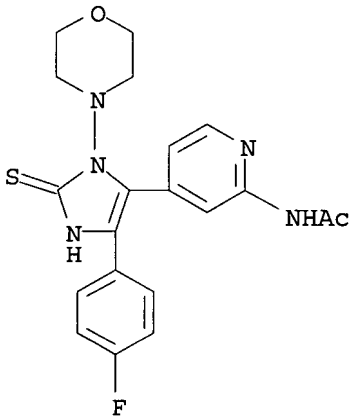
RN 452056-90-5 CAPLUS
CN Acetamide, N-[4-[5-(4-fluorophenyl)-2,3-dihydro-3-(2,2,6,6-tetramethyl-4-piperidinyl)-2-thioxo-1H-imidazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



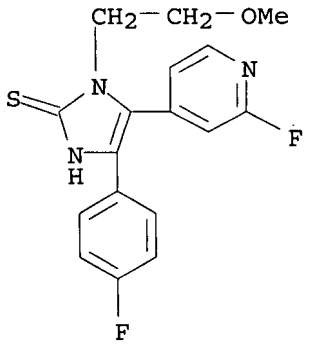
RN 452056-92-7 CAPLUS
CN Acetamide, N-[4-[5-(4-fluorophenyl)-2,3-dihydro-3-(3-hydroxypropyl)-2-thioxo-1H-imidazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 908382-08-1 CAPLUS
CN Acetamide, N-[4-[5-(4-fluorophenyl)-2,3-dihydro-3-(4-morpholinyl)-2-thioxo-1H-imidazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



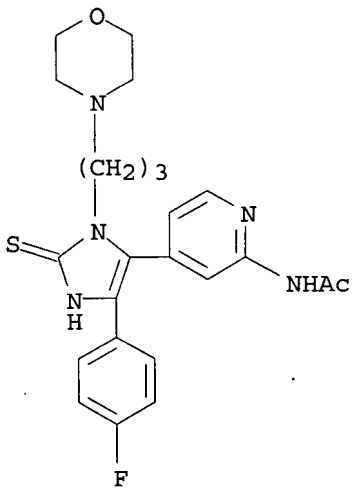
RN 908382-45-6 CAPLUS
CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-5-(2-fluoro-4-pyridinyl)-1,3-dihydro-1-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



IT 452056-91-6, 4-(4-Fluorophenyl)-1-[3-(morpholino)propyl]-5-(2-acetamido-4-pyridyl)imidazole-2-thione 820241-38-1, N-[4-[5-(4-Fluorophenyl)-3-(2-hydroxyethyl)-2-thioxo-2,3-dihydro-1H-imidazol-4-yl]pyridin-2-yl]acetamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 2-sulfinyl- and 2-sulfonyl-substituted imidazole derivs. as cytokine inhibitors)

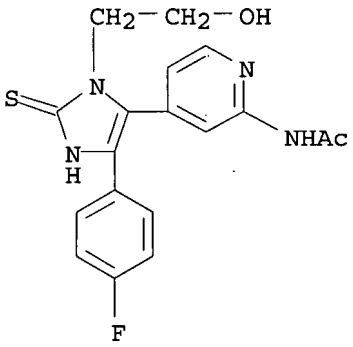
RN 452056-91-6 CAPLUS

CN Acetamide, N-[4-[5-(4-fluorophenyl)-2,3-dihydro-3-[3-(4-morpholinyl)propyl]-2-thioxo-1H-imidazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 820241-38-1 CAPLUS

CN Acetamide, N-[4-[5-(4-fluorophenyl)-2,3-dihydro-3-(2-hydroxyethyl)-2-thioxo-1H-imidazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

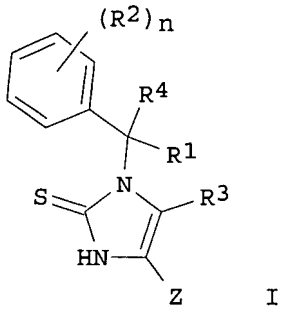


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1314154 CAPLUS
DOCUMENT NUMBER: 144:51577
TITLE: Preparation of mercaptoimidazoles as CCR2 receptor antagonists
INVENTOR(S): Boeckx, Gustaaf Maria; Van Lommen, Guy Rosalia Eugeen; Doyon, Julien Georges Pierre-Olivier; Coesemans, Erwin
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005118578	A1	20051215	WO 2005-EP52369		20050524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2005250156	A1	20051215	AU 2005-250156		20050524
CA 2566187	A1	20051215	CA 2005-2566187		20050524
EP 1753758	A1	20070221	EP 2005-755042		20050524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU					
CN 1956980	A	20070502	CN 2005-80016555		20050524
PRIORITY APPLN. INFO.:			WO 2004-EP50933	A	20040526
			WO 2005-EP52369	W	20050524

OTHER SOURCE(S): CASREACT 144:51577; MARPAT 144:51577
GI



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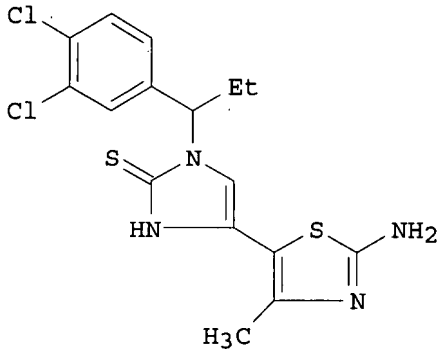


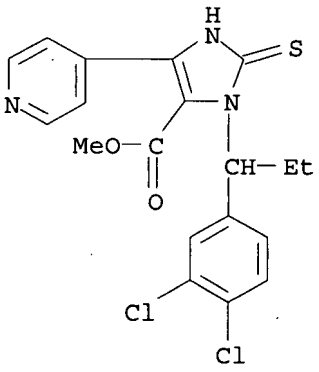
II

AB The invention relates to compds. I, N-oxides, pharmaceutically acceptable addition salts, quaternary amines, polymorphic forms or stereochem. isomeric forms thereof, wherein R1 = H, (cyclo)alkyl or (hetero)aryl; R2 independently = halo, alkyl(oxy/thio), etc.; R3 = H, cyano or (un)substituted alkyl; R4 = H or alkyl; n = 1-5; Z = certain cycle ring, with exclusions. The invention also relates to processes for preparing I, their use as CCR2 antagonists and pharmaceutical compns. comprising them. For instance, condensation of 1-(3,4-dichlorophenyl)-1-propanone with hydroxylamine hydrochloride followed by Ni-catalyzed reduction gave an amine, which underwent successive alkylation with 1-(2-amino-4-methyl-5-thiazolyl)-2-bromoethanone and cyclization with KSCN in the presence of HCl to afford II·HCl. The CCR2 antagonistic activities of I were demonstrated by three assays, inhibition of MCP-1-induced Ca-flux in human THP-1 cells, 125I-MCP-1 binding assay and chemotactic response of cells in the presence of MCP-1. Therefore, I and their pharmaceutical compns. are useful for preventing or treating diseases mediated through activation of the CCR2 receptor, such as inflammation.

IT 871313-46-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of mercaptoimidazoles as CCR2 receptor antagonists)

RN 871313-46-1 CAPLUS

CN 1H-Imidazole-4-carboxylic acid, 3-[1-(3,4-dichlorophenyl)propyl]-2,3-dihydro-5-(4-pyridinyl)-2-thioxo-, methyl ester (9CI) (CA INDEX NAME)



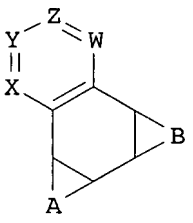
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1200349 CAPLUS

DOCUMENT NUMBER: 143:460175
TITLE: Preparation of tetracyclic inhibitors of Janus kinases for treating immune-related diseases and cancer
INVENTOR(S): Rodgers, James D.; Robinson, Darius J.; Arvanitis, Argyrios G.; Maduskuie, Thomas P., Jr.; Shepard, Stacey; Storace, Louis; Wang, Heisheng; Rafalski, Maria; Jalluri, Ravi K.; Combs, Andrew P.; Crawley, Matthew L.
PATENT ASSIGNEE(S): Incyte Corporation, USA
SOURCE: PCT Int. Appl., 201 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005105814	A1	20051110	WO 2005-US14494	20050427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2006106020	A1	20060518	US 2005-115702	20050427
PRIORITY APPLN. INFO.:			US 2004-566142P P	20040428
			US 2004-626111P P	20041108

OTHER SOURCE(S): MARPAT 143:460175
GI



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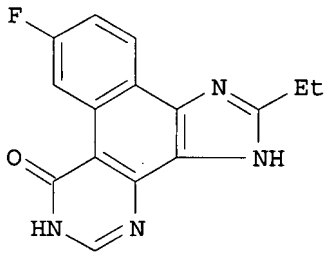


II

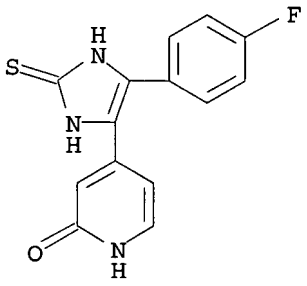
AB The invention is related to tetracyclic compds. of formula (I) [X, Y, Z, W = independently N, NO, CH and derivs.; ring A = N-substituted-2-pyridinone fused in 3 in 4 position, or 5 and 6 position, 3-substituted-4-pyrimidone fused in 5 and 6 position, etc.; B = (un)substituted imidazole fused in 4 and 5 position, thiazole fused in 4 and 5 position, etc.] and their pharmaceutically acceptable salts or prodrugs, that modulate, especially inhibit, the activity of Janus kinases. For example, II•TFA was prepared in 4 steps from 9-fluoro-1-methoxybenzo[f]quinazolin-6-ol. Selected I showed an IC50 of 10μM or less for the inhibition of JAK1 and/or JAK2, and/or JAK3 in an in vitro assay. Thus, I are useful in the treatment of diseases related to activity of Janus kinases including, for

example, immune-related diseases and cancer.

IT 581098-40-0P, 4-(4-Fluorophenyl)-5-(2-hydroxypyridin-4-yl)-1,3-dihydro-2H-imidazole-2-thione 868993-81-1P, 4-[2-(Ethylthio)-4-(4-fluorophenyl)-1H-imidazol-5-yl]pyridin-2-ol 868993-88-8P, 3-[[4-(4-Fluorophenyl)-5-(2-hydroxypyridin-4-yl)-1H-imidazol-2-yl]thio]pentane-2,4-dione 868993-89-9P, 4-[2-[(3,5-Dimethyl-4H-pyrazol-4-yl)thio]-4-(4-fluorophenyl)-1H-imidazol-5-yl]pyridin-2-ol 868993-91-3P, Ethyl 4-[[4-(4-fluorophenyl)-5-(2-oxo-1,2-dihydropyridin-4-yl)-1H-imidazol-2-yl]thio]-3-oxobutanoate 868993-92-4P, 4-[4-(4-Fluorophenyl)-2-[[(5-oxo-4,5-dihydro-1H-pyrazol-3-yl)methyl]thio]-1H-imidazol-5-yl]pyridin-2(1H)-one 868993-97-9P, 4-[4-(4-Fluorophenyl)-2-(phenylthio)-1H-imidazol-5-yl]pyridin-2(1H)-one
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of tetracyclic inhibitors of Janus kinases for treating immune-related diseases and cancer)

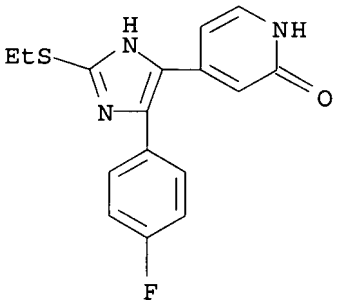
RN 581098-40-0 CAPLUS

CN 2(1H)-Pyridinone, 4-[5-(4-fluorophenyl)-2,3-dihydro-2-thioxo-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



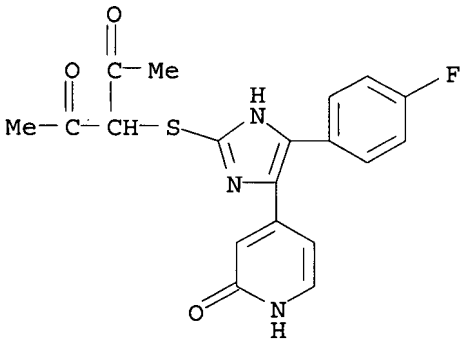
RN 868993-81-1 CAPLUS

CN 2(1H)-Pyridinone, 4-[2-(ethylthio)-5-(4-fluorophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

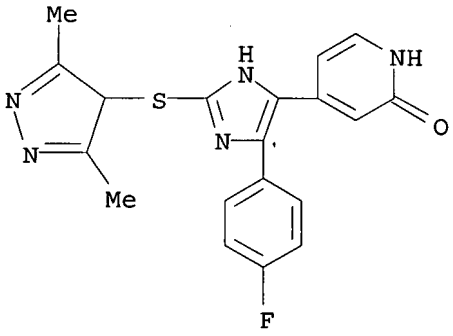


RN 868993-88-8 CAPLUS

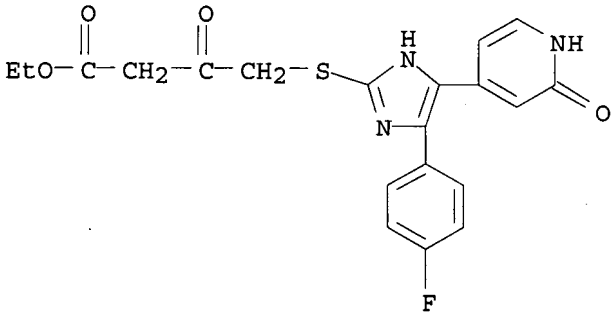
CN 2,4-Pentanedione, 3-[[4-(1,2-dihydro-2-oxo-4-pyridinyl)-5-(4-fluorophenyl)-1H-imidazol-2-yl]thio]- (9CI) (CA INDEX NAME)



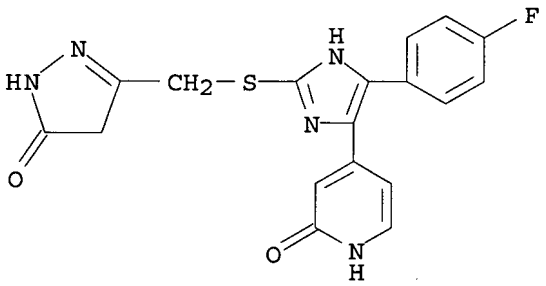
RN 868993-89-9 CAPLUS
CN 2(1H)-Pyridinone, 4-[2-[(3,5-dimethyl-4H-pyrazol-4-yl)thio]-5-(4-fluorophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



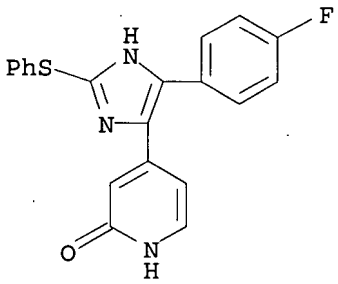
RN 868993-91-3 CAPLUS
CN Butanoic acid, 4-[[4-(1,2-dihydro-2-oxo-4-pyridinyl)-5-(4-fluorophenyl)-1H-imidazol-2-yl]thio]-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)



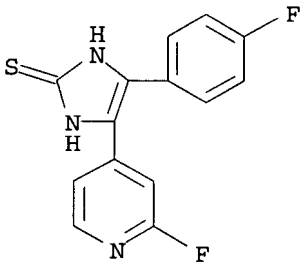
RN 868993-92-4 CAPLUS
CN 2(1H)-Pyridinone, 4-[2-[[(4,5-dihydro-5-oxo-1H-pyrazol-3-yl)methyl]thio]-5-(4-fluorophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 868993-97-9 CAPLUS
CN 2(1H)-Pyridinone, 4-[5-(4-fluorophenyl)-2-(phenylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



IT 581098-38-6, 4-(4-Fluorophenyl)-5-(2-fluoropyridin-4-yl)-1,3-dihydro-2H-imidazole-2-thione
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tetracyclic inhibitors of Janus kinases for treating immune-related diseases and cancer)
RN 581098-38-6 CAPLUS
CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-5-(2-fluoro-4-pyridinyl)-1,3-dihydro- (9CI) (CA INDEX NAME)

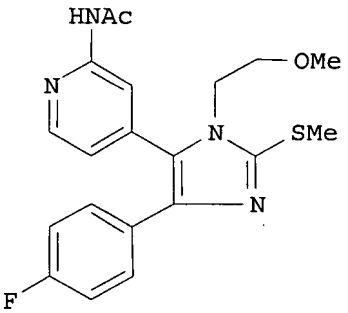


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:949797 CAPLUS
DOCUMENT NUMBER: 142:113967
TITLE: Tetrasubstituted Imidazole Inhibitors of Cytokine Release: Probing Substituents in the N-1 Position
AUTHOR(S): Laufer, Stefan A.; Zimmermann, Werner; Ruff, Kathrin J.
CORPORATE SOURCE: Department of Pharmacy, Institute of Pharmaceutical

and Medicinal Chemistry, Eberhard-Karls-University Tuebingen, Tuebingen, 72076, Germany
SOURCE: Journal of Medicinal Chemistry (2004), 47(25), 6311-6325
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:113967

GI



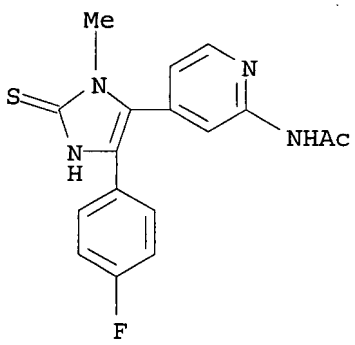
I

AB Novel 1,2,4,5-tetrasubstituted imidazole derivs. with high anti-inflammatory activity were prepared by a previously described regiospecific synthesis. Systematic optimization of the imidazole N-1 substituent resulted in compound I that potently inhibited the p38 mitogen-activated protein kinase (p38 IC50 = 0.218 μM) as well as the release of the proinflammatory cytokines interleukin-1β (IL-1β) and tumor necrosis factor α (TNFα) from human whole blood after stimulation with LPS. Furthermore, I exhibited reduced cytochrome P 450 interaction in comparison with SB203580. This result is particularly important, since cytochrome P 450 interaction is observed for some p38 inhibitors and in turn can potentially cause drug-drug interaction or lead to other hepatic changes such as P 450 enzyme induction.

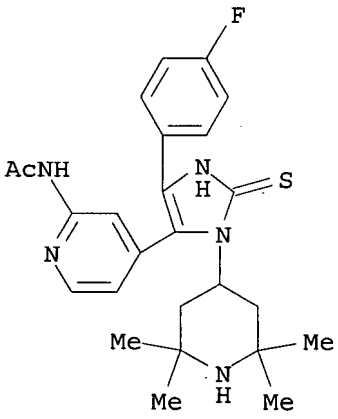
IT 452056-88-1P 452056-90-5P 452056-91-6P
452056-92-7P 820241-38-1P 820241-39-2P
820241-40-5P 820241-41-6P 820241-42-7P
820241-43-8P 820241-44-9P 820241-45-0P
820241-46-1P 820241-47-2P 820241-48-3P
820241-49-4P 820241-50-7P 820241-51-8P
820241-52-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrasubstituted imidazole inhibitors of cytokine release)

RN 452056-88-1 CAPLUS

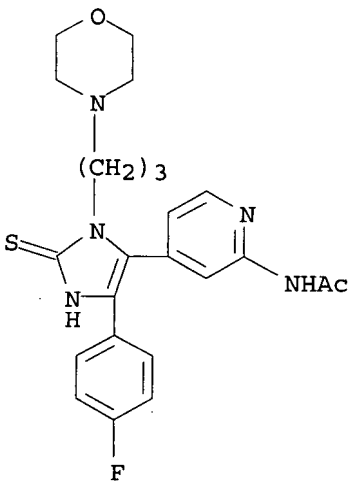
CN Acetamide, N-[4-[5-(4-fluorophenyl)-2,3-dihydro-3-methyl-2-thioxo-1H-imidazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

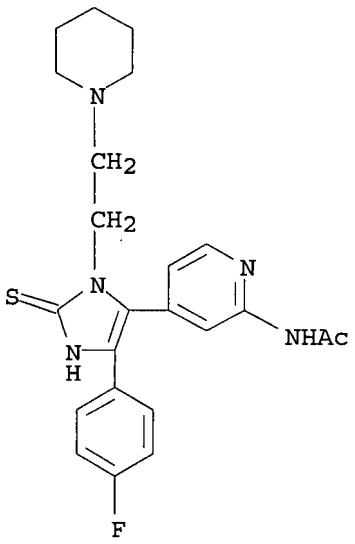


RN 452056-90-5 CAPLUS
CN Acetamide, N-[4-[5-(4-fluorophenyl)-2,3-dihydro-3-(2,2,6,6-tetramethyl-4-piperidinyl)-2-thioxo-1H-imidazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

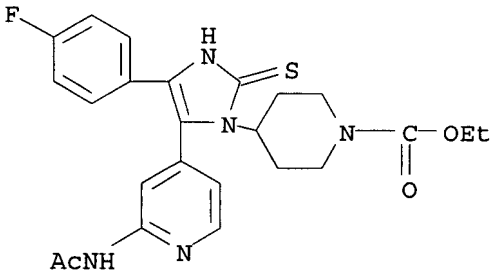


RN 452056-91-6 CAPLUS
CN Acetamide, N-[4-[5-(4-fluorophenyl)-2,3-dihydro-3-[3-(4-morpholinyl)propyl]-2-thioxo-1H-imidazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)





RN 820241-52-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[5-[2-(acetylamino)-4-pyridinyl]-4-(4-fluorophenyl)-2,3-dihydro-2-thioxo-1H-imidazol-1-yl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:915057 CAPLUS
DOCUMENT NUMBER: 142:212339
TITLE: Composition for inhibiting leukotriene synthesis
INVENTOR(S): Kim, Jae Hong
PATENT ASSIGNEE(S): Cellmics Co., Ltd., S. Korea
SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given
CODEN: KRXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2002009532	A	20020201	KR 2001-70533	20011113

PRIORITY APPLN. INFO.: KR 2001-70533 20011113

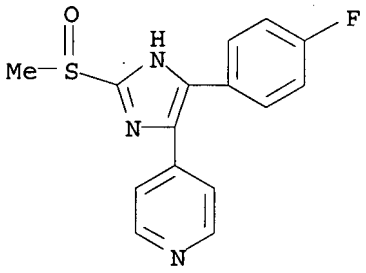
AB A pharmaceutical composition containing a therapeutically effective amount of imidazole compds. and pharmaceutically acceptable salts thereof is provided, which can prevent and treat diseases caused by leukotriene such

as asthma, chronic bronchitis, allergy and allergic disease, arthritis or the like. The composition contains 4-(4-fluorophenyl)-2-(4-methylsulfinyl)-5-(4-pyridyl)1H-imidazole as imidazole compds. of formula 1 and pharmaceutically acceptable salts and inhibits leukotriene synthesis in warm-blooded animals. The imidazole compound inhibits the movement of the nuclear membrane of lipoxygenase. The effective daily intake amount of the compds. of formula 1 is orally about 0.1 to 2.5mg/Kg weight of warm-blooded animals.

IT 840519-07-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(composition for inhibiting leukotriene synthesis)

RN 840519-07-5 CAPLUS

CN Pyridine, 4-[5-(4-fluorophenyl)-2-(methylsulfinyl)-1H-imidazol-4-yl]-(9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:908905 CAPLUS

DOCUMENT NUMBER: 142:68509

TITLE: A 3D Similarity Method for Scaffold Hopping from Known Drugs or Natural Ligands to New Chemotypes

AUTHOR(S): Jenkins, Jeremy L.; Glick, Meir; Davies, John W.

CORPORATE SOURCE: Lead Discovery Center, Novartis Institutes for BioMedical Research Inc., Cambridge, MA, 02139, USA

SOURCE: Journal of Medicinal Chemistry (2004), 47(25), 6144-6159
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

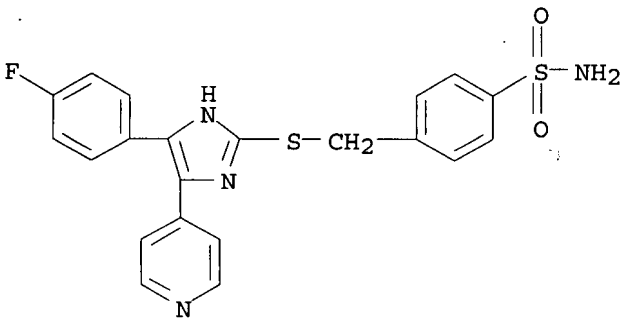
AB A primary goal of 3D similarity searching is to find compds. with similar bioactivity to a reference ligand but with different chemotypes, i.e., "scaffold hopping". However, an adequate description of chemical structures in 3D conformational space is difficult due to the high-dimensionality of the problem. The authors present an automated method that simplifies flexible 3D chemical descriptions in which clustering techniques traditionally used in data mining are exploited to create "fuzzy" mol. representations called FEPOPS (feature point pharmacophores). The representations can be used for flexible 3D similarity searching given one or more active compds. without a priori knowledge of bioactive conformations or pharmacophores. The authors demonstrate that similarity searching with FEPOPS significantly enriches for actives taken from inhouse high-throughput screening datasets and from MDDR activity classes COX-2, 5-HT3A, and HIV-RT, while also scaffold or ring-system hopping to new chemical frameworks. Further, inhibitors of target proteins (dopamine 2 and retinoic acid receptor) are recalled by FEPOPS by scaffold hopping from their associated endogenous ligands (dopamine and retinoic acid). Importantly, the method excels in comparison to commonly used 2D similarity methods (DAYLIGHT, MACCS, Pipeline Pilot fingerprints) and a

com. 3D method (Pharmacophore Distance Triplets) at finding novel scaffold classes given a single query mol.

IT 262589-65-1
RL: PAC (Pharmacological activity); BIOL (Biological study)
(3D similarity method for scaffold hopping from known drugs or natural ligands to new chemotypes)

RN 262589-65-1 CAPLUS

CN Benzenesulfonamide, 4-[[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:177885 CAPLUS

DOCUMENT NUMBER: 140:235709

TITLE: Preparation of 2-methylsulfanyl-3H-imidazoles and related compounds as immunomodulators

INVENTOR(S): Laufer, Stefan; Striegel, Hans-Guenter; Tollmann, Karola; Albrecht, Wolfgang

PATENT ASSIGNEE(S): Merckle G.m.b.H. Chem.-Pharm. Fabrik, Germany

SOURCE: Ger. Offen., 31 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

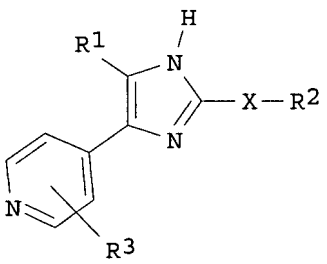
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238045	A1	20040304	DE 2002-10238045	20020820
CA 2501849	A1	20040304	CA 2003-2501849	20030820
WO 2004018458	A1	20040304	WO 2003-EP9219	20030820
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003267000	A1	20040311	AU 2003-267000	20030820
EP 1539741	A1	20050615	EP 2003-747916	20030820
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013277	A	20050621	BR 2003-13277	20030820
CN 1675197	A	20050928	CN 2003-819750	20030820

JP 2005539035	T	20051222	JP 2004-530221		20030820
NZ 538222	A	20061130	NZ 2003-538222		20030820
NO 2005001477	A	20050519	NO 2005-1477		20050318
US 2006235054	A1	20061019	US 2005-524486		20051117
PRIORITY APPLN. INFO.:			DE 2002-10238045	A	20020820
			WO 2003-EP9219	W	20030820

OTHER SOURCE(S): MARPAT 140:235709

GI



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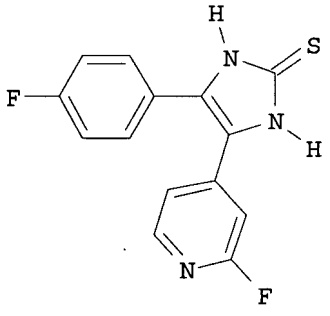
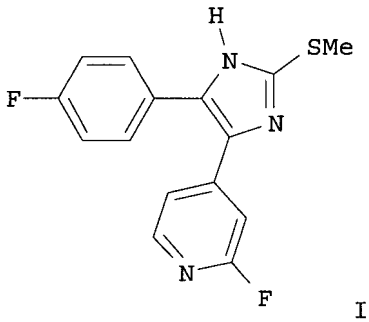


II



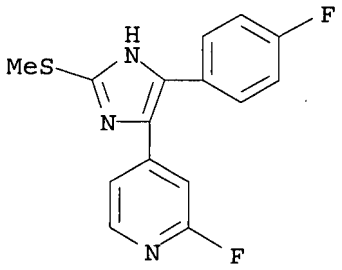
III

AB Title compds. I [R1 = (un)substituted alkyl, cycloalkyl, aryl; R2 = aryl-alkyl, alkyl-SO2, alkyl, etc.; R3 = NR4R10, NR7COR8, halo, etc.; R4 = H; R10 = (un)substituted A-Ph, phenyl; A = alkylene, alkenylene, alkynylene; X = S(O)m; m = 0-2] and their pharmaceutically acceptable salts were prepared For example, S-methylation of thione II, e.g., prepared from 2-fluoro-4-methylpyridine in 4-steps, with iodomethane afforded sulfanylimidazole III. In p38 MAP kinase inhibition assays, 18-examples of compds. I exhibited IC50 values ranging from 0.13-8.7 μM, e.g., the IC50 value of sulfanylimidazole III was 3.8 μM. Compds. I are claimed to possess immune modulating and/or cytokine release inhibiting effects.

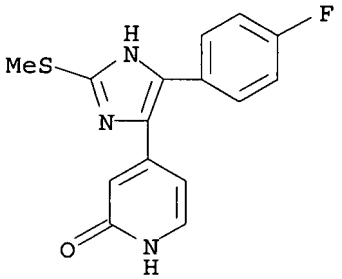
IT 549505-59-1P, 2-Fluoro-4-[5-(4-fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridine 549505-63-7P, 4-[5-(4-Fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]-1H-pyridin-2-one 549505-65-9P 581098-43-3P, 2-Chloro-4-[5-(4-fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridine 581098-44-4P, Benzyl[4-[5-(4-fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridin-2-yl]amine 581098-45-5P, 4-[5-(4-Fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]-2-methoxypyridine 581098-46-6P, 4-[5-(4-Fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]-2-isopropoxypyridine 581098-47-7P 581098-48-8P 581098-49-9P 581098-50-2P 581098-51-3P 581098-52-4P, (3,4-Dichlorobenzyl)[4-[5-(4-fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridin-2-yl]amine 581098-53-5P 581098-54-6P 581098-55-7P, Benzyl[4-[5-(4-fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridin-2-yl]methylamine 581098-56-8P, 4-[2-Benzylsulfanyl-5-(4-fluorophenyl)-3H-imidazol-4-yl]-2-fluoropyridine 581098-57-9P,

4-[2-Benzylsulfanyl-5-(4-fluorophenyl)-3H-imidazol-4-yl]-2-chloropyridine 581098-59-1P, Benzyl[4-[2-benzylsulfanyl-5-(4-fluorophenyl)-3H-imidazol-4-yl]pyridin-2-yl]amine 581098-60-4P, 2-Fluoro-4-[5-(4-fluorophenyl)-2-(4-methansulfinylbenzylsulfanyl)-3H-imidazol-4-yl]pyridine 581098-61-5P, 2-Chloro-4-[5-(4-fluorophenyl)-2-(4-methansulfinylbenzylsulfanyl)-3H-imidazol-4-yl]pyridine 581098-62-6P 581098-63-7P, Benzyl[4-[5-(4-fluorophenyl)-2-(4-methansulfinylbenzylsulfanyl)-3H-imidazol-4-yl]pyridin-2-yl]amine 581098-64-8P 667398-34-7P 667398-35-8P, 4-[2-Benzylsulfanyl-5-(4-fluorophenyl)-3H-imidazol-4-yl]-2-methoxypyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of methylsulfanylimidazoles and related compds. as immunomodulators)

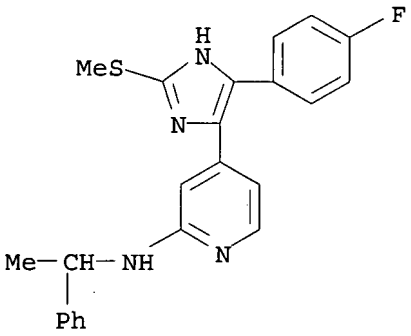
RN 549505-59-1 CAPLUS
CN Pyridine, 2-fluoro-4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



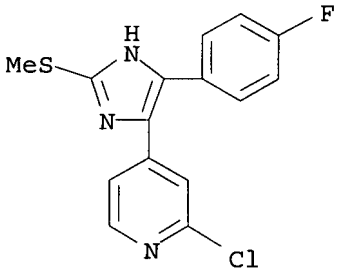
RN 549505-63-7 CAPLUS
CN 2(1H)-Pyridinone, 4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



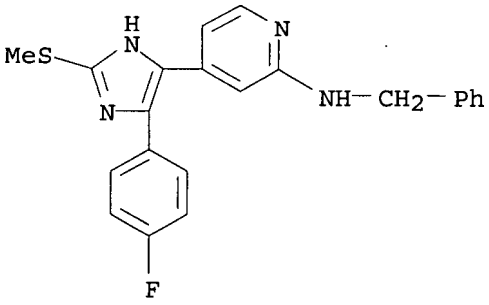
RN 549505-65-9 CAPLUS
CN 2-Pyridinamine, 4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)



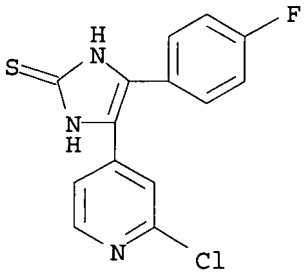
RN 581098-43-3 CAPLUS
CN Pyridine, 2-chloro-4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



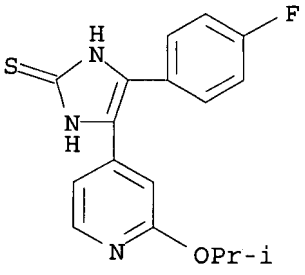
RN 581098-44-4 CAPLUS
CN 2-Pyridinamine, 4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]-N- (phenylmethyl)- (9CI) (CA INDEX NAME)



RN 581098-45-5 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 581098-42-2 CAPLUS
CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-5-[2-(1-methylethoxy)-4-pyridinyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:931355 CAPLUS
DOCUMENT NUMBER: 139:395936
TITLE: Preparation of 2-thio-substituted imidazole derivatives as immunomodulators
INVENTOR(S): Laufer, Stefan; Striegel, Hans-guenter; Albrecht, Wolfgang; Tollmann, Karola
PATENT ASSIGNEE(S): Marckle Gmbh, Germany
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

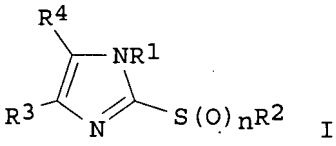
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097633	A1	20031127	WO 2003-EP5172	20030516
WO 2003097633	A8	20040401		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10222103	A1	20031127	DE 2002-10222103	20020517
AU 2003236665	A1	20031202	AU 2003-236665	20030516
EP 1506187	A1	20050216	EP 2003-735405	20030516

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2005530799	T	20051013	JP 2004-505366		20030516
US 2006252810	A1	20061109	US 2005-514911		20050510
PRIORITY APPLN. INFO.:			DE 2002-10222103	A	20020517
			WO 2003-EP5172	W	20030516

OTHER SOURCE(S): MARPAT 139:395936

GI



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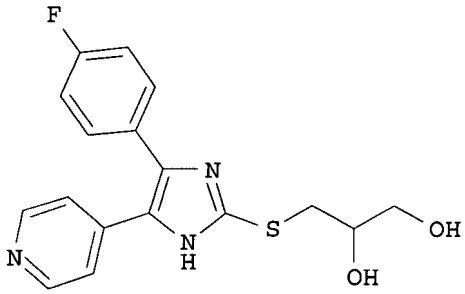


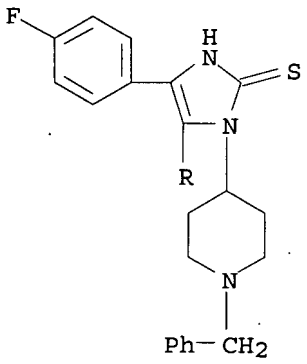
II

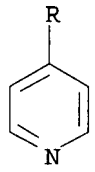
AB Imidazoles I [R1 = H, alkyl; R2 = substituted alkyl, oxacycloalkanone, azacycloalkanone, alkenyl; one of R3 and R4 = substituted 4-pyridinyl, the other = aryl, haloaryl; n = 0-2] were prepared for use as inhibitors of kinases, TNF-α, and IL-1β in treating immune disorders. Thus, Et isonicotinate was treated with 4-FC6H4CH2CN and oxidized to 2-(4-fluorophenyl)-1-pyridin-4-ylethanone which was oximated to the 1,2-ethanedione monoxime, O-tosylated, and cyclized to 5-(4-fluorophenyl)-4-(4-pyridinyl)imidazole-2-thione. This thione was treated with BrCH2CH(OH)CH2OH to give the title imidazole II, which had IC50 for inhibition of TNF-α and IL-1β of 9X10-7 and 1.5X10-7 M/L, resp.

IT 220113-15-5P 627080-51-7P 627080-52-8P
627080-53-9P 627080-54-0P 627080-55-1P
627080-56-2P 627080-57-3P 627080-58-4P
627080-59-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-thio-substituted imidazole derivs. as immunomodulators)

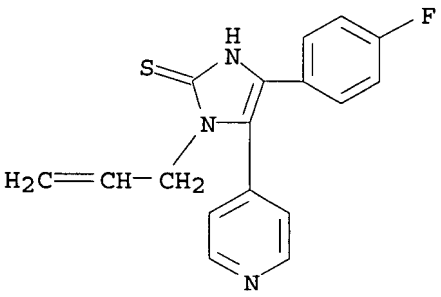
RN 220113-15-5 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]propyl]- (9CI) (CA INDEX NAME)

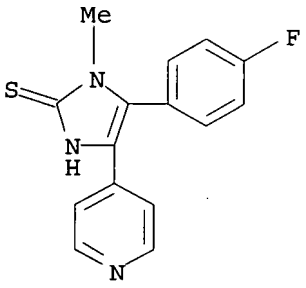




RN 452056-33-6 CAPLUS
CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-1-(2-propenyl)-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 627081-08-7 CAPLUS
CN 2H-Imidazole-2-thione, 5-(4-fluorophenyl)-1,3-dihydro-1-methyl-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:570834 CAPLUS

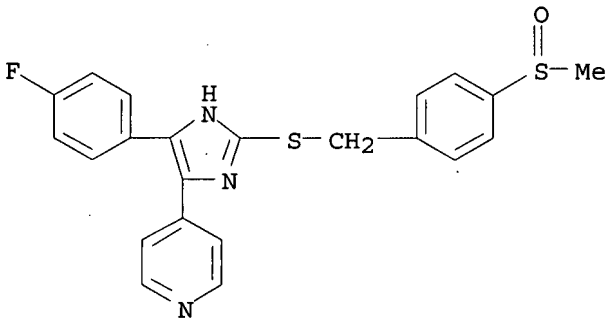
DOCUMENT NUMBER: 139:128485
TITLE: Methods and compositions for enhancing and inhibiting fertilization using a p38 activator/inhibitor and an ERK inhibitor/activator
INVENTOR(S): Naor, Zvi
PATENT ASSIGNEE(S): Ramot at Tel Aviv University Ltd., Israel
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059373	A2	20030724	WO 2003-IL44	20030116
WO 2003059373	A3	20040129		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003207961	A1	20030730	AU 2003-207961	20030116
US 2005090474	A1	20050428	US 2003-498830	20030116
PRIORITY APPLN. INFO.:			US 2002-348379P P	20020116
			WO 2003-IL44 W	20030116

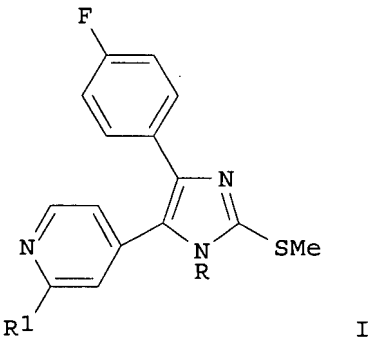
AB A method of contraception is provided. The method comprises providing to a subject an amount of a p38 activator and/or an ERK inhibitor capable of substantially reducing sperm motility. Also provided is a method of enhancing fertility comprising providing to a subject a therapeutically effective amount of a p38 inhibitor and/or an ERK activator, thereby enhancing fertility. Articles-of-manufacture comprising a packaging material and a pharmaceutical composition identified as a contraceptive or fertility enhancer are also claimed. A method is also claimed of determining quality of a semen sample, the method comprising determining p38 activity in sperm cells of the semen sample, said p38 activity being inversely indicative of sperm cell motility, thereby determining the quality of the semen sample. The method employs an antiphosphorylated p38 antibody or a kinase activity assay to determine p38 activity. A kit for determining quality of a semen sample, the kit comprising a container including a reagent suitable for determining p38 activity in sperm cells of the semen sample is addnl. claimed.

IT 262589-62-8, ML 3163
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(p38 inhibitor; methods and compns. for enhancing and inhibiting fertilization using a p38 activator/inhibitor and an ERK inhibitor/activator)

RN 262589-62-8 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[4-(methylsulfinyl)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



L4 ANSWER 12 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:482108 CAPLUS
DOCUMENT NUMBER: 139:180018
TITLE: Novel Substituted Pyridinyl Imidazoles as Potent Anticytokine Agents with Low Activity against Hepatic Cytochrome P450 Enzymes
AUTHOR(S): Laufer, Stefan A.; Wagner, Gerd K.; Kotschenreuther, Dunja A.; Albrecht, W.
CORPORATE SOURCE: Institute of Pharmacy, Department of Pharmaceutical and Medicinal Chemistry, Eberhard-Karls-University Tuebingen, Tuebingen, 72076, Germany
SOURCE: Journal of Medicinal Chemistry (2003), 46(15), 3230-3244
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:180018
GI



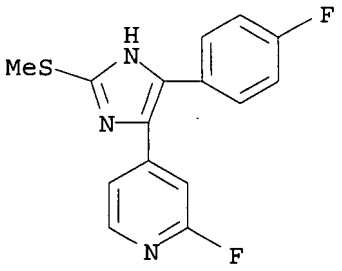
I

AB A series of polysubstituted pyridin-4-ylimidazole inhibitors of p38 MAP (mitogen-activated protein) kinase was prepared as small mol. anticytokine agents and drug candidates for the treatment of chronic inflammatory diseases. The contribution of substituents at the pyridinyl and imidazole moiety to selective inhibition of p38 without concomitant cytochrome P 450 interaction was evaluated. Placement of a 1-phenylethyl (I, R = H, R1 = OMe, p38: IC50 0.38 μM) or acetyl substituent at the exocyclic nitrogen of several 2-aminopyridine imidazoles led to the identification of potent p38 inhibitors which exceeded the starting lead ML 3375 (p38: IC50 0.63 μM) in potency. A preliminary modeling study related the enhanced bioactivity of I (R = H, R1 = OMe) to a novel interaction between its 1-phenylethylamino side chain and a hydrophobic pocket close to the linker

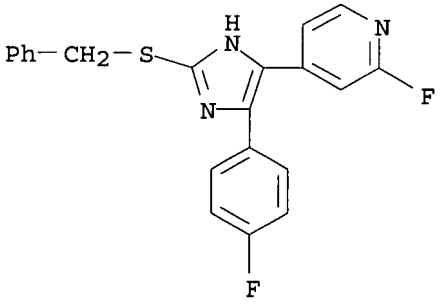
region of p38. The most active p38 inhibitors in this series maintained their efficacy in functional PBMC (peripheral blood mononuclear cells) and whole blood assays. Moreover, cytochrome P 450 interaction, which has been linked to the liver toxicity observed for model p38 inhibitors, was very efficiently reduced through introduction of a tetramethylpiperidine substituent at the 1 position of the imidazole nucleus. Combination of both structural features provided I [R = 2,2,6,6-tetramethyl-4-piperidinyl, R1 = Ac] (p38: 0.34 μM, inhibition of CYP1A2 0%, 2C9 2.6%, 2C19 7.6% at 10 μM), which was selected for further development.

IT 549505-59-1P 581098-56-8P 581098-57-9P
581098-60-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridinylimidazoles as potent anticytokine agents with low activity against hepatic cytochrome P 450 enzymes)

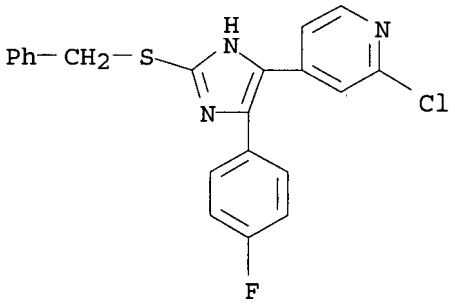
RN 549505-59-1 CAPLUS
CN Pyridine, 2-fluoro-4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



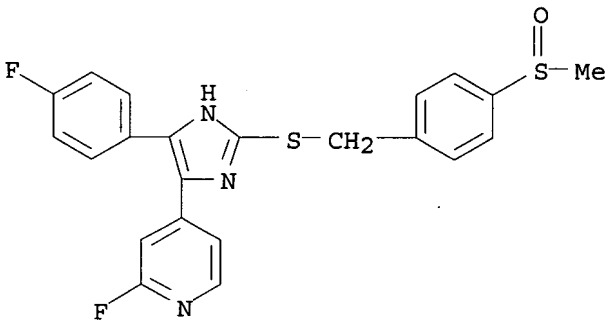
RN 581098-56-8 CAPLUS
CN Pyridine, 2-fluoro-4-[5-(4-fluorophenyl)-2-[(phenylmethyl)thio]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 581098-57-9 CAPLUS
CN Pyridine, 2-chloro-4-[5-(4-fluorophenyl)-2-[(phenylmethyl)thio]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

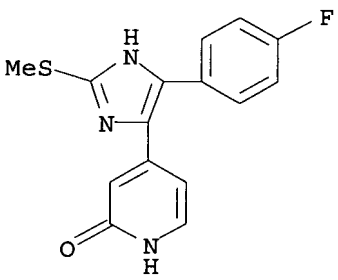


RN 581098-60-4 CAPLUS
CN Pyridine, 2-fluoro-4-[5-(4-fluorophenyl)-2-[[[4-(methylsulfinyl)phenyl]methyl]thio]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



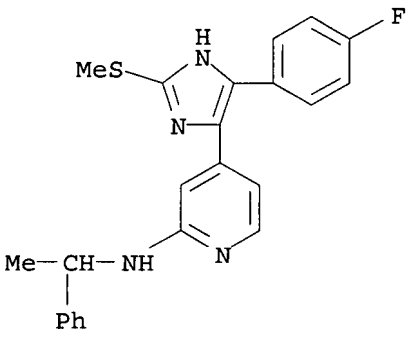
IT 549505-63-7P 549505-65-9P 581098-43-3P
581098-44-4P 581098-45-5P 581098-46-6P
581098-47-7P 581098-48-8P 581098-49-9P
581098-50-2P 581098-51-3P 581098-52-4P
581098-53-5P 581098-54-6P 581098-55-7P
581098-58-0P 581098-59-1P 581098-61-5P
581098-62-6P 581098-63-7P 581098-64-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of pyridinylimidazoles as potent anticytokine agents with low activity against hepatic cytochrome P 450 enzymes)

RN 549505-63-7 CAPLUS
CN 2(1H)-Pyridinone, 4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

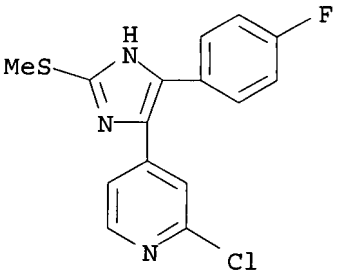


RN 549505-65-9 CAPLUS

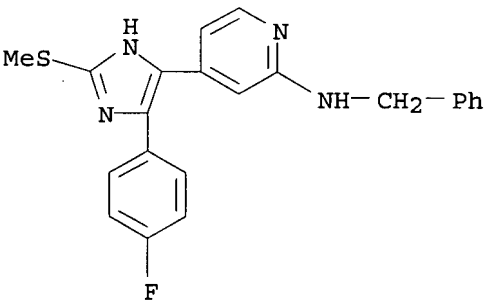
CN 2-Pyridinamine, 4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)



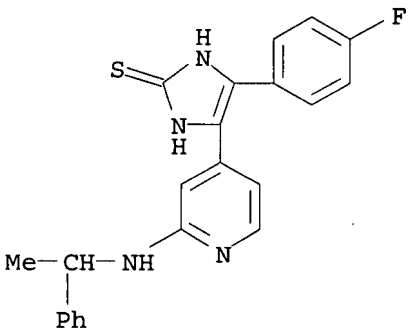
RN 581098-43-3 CAPLUS
CN Pyridine, 2-chloro-4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]-(9CI) (CA INDEX NAME)



RN 581098-44-4 CAPLUS
CN 2-Pyridinamine, 4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

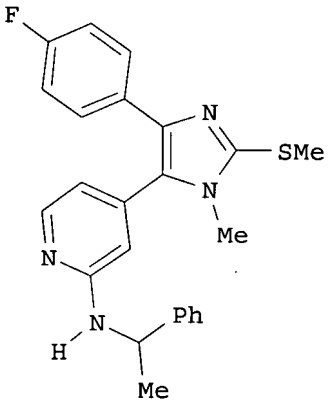


RN 581098-45-5 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]-2-methoxy-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:320323 CAPLUS
DOCUMENT NUMBER: 139:69199
TITLE: Identification of Regioisomers in a Series of N-Substituted Pyridin-4-yl Imidazole Derivatives by Regiospecific Synthesis, GC/MS, and 1H NMR
AUTHOR(S): Wagner, Gerd K.; Kotschenreuther, Dunja; Zimmermann, Werner; Laufer, Stefan A.
CORPORATE SOURCE: Institute of Pharmacy, Department of Pharmaceutical and Medicinal Chemistry, Eberhard-Karls-University Tuebingen, Tuebingen, 72076, Germany
SOURCE: Journal of Organic Chemistry (2003), 68(11), 4527-4530
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:69199
GI



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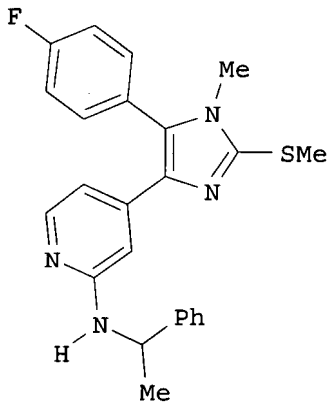


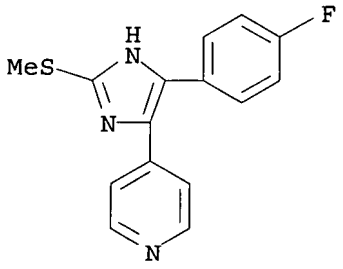
II

AB The regiospecific synthesis of N-substituted (aminopyridinyl)imidazole I, a novel and potent inhibitor of p38 MAP (mitogen-activated protein) kinase, and of its regioisomer II was developed. Chromatog. and spectroscopic data allowed for the unambiguous identification of regioisomers prepared by a nonregiospecific synthetic strategy. Biol. data demonstrating the importance of the correct regiochem. for inhibition of p38 are given.

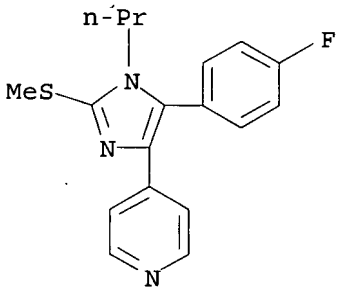
IT 475585-65-0 549505-72-8

RL: PRP (Properties)
(m.p. and NMR spectra of regioisomeric (pyridinyl)imidazoles, prepared as reference compds.)

RN 475585-65-0 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

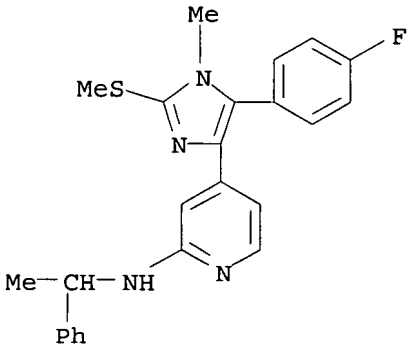


RN 549505-72-8 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-(methylthio)-1-propyl-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



IT 549505-61-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(regiospecific preparation of N-substituted (pyridinyl)imidazoles as p38 MAP kinase inhibitors and their spectral assignment)

RN 549505-61-5 CAPLUS
CN 2-Pyridinamine, 4-[5-(4-fluorophenyl)-1-methyl-2-(methylthio)-1H-imidazol-4-yl]-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)

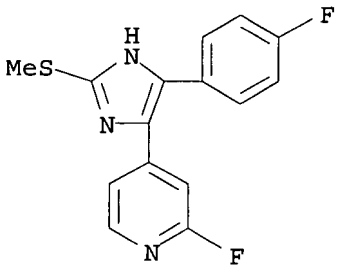


IT 549505-59-1 549505-63-7 549505-65-9
RL: RCT (Reactant); RACT (Reactant or reagent)

(regiospecific preparation of N-substituted (pyridinyl)imidazoles as p38 MAP kinase inhibitors and their spectral assignment)

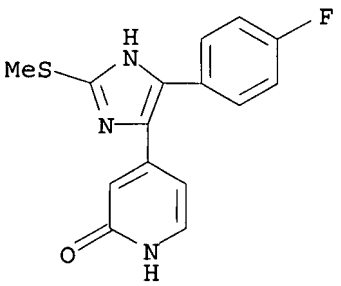
RN 549505-59-1 CAPLUS

CN Pyridine, 2-fluoro-4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



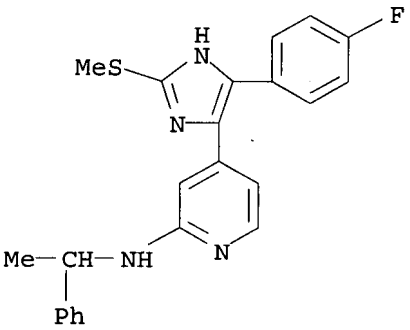
RN 549505-63-7 CAPLUS

CN 2(1H)-Pyridinone, 4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 549505-65-9 CAPLUS

CN 2-Pyridinamine, 4-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]-N-(1-phenylethyl)- (9CI) (CA INDEX NAME)



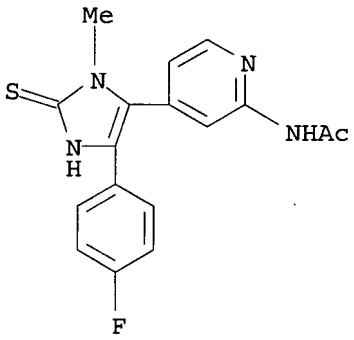
IT 452056-88-1P 549505-60-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

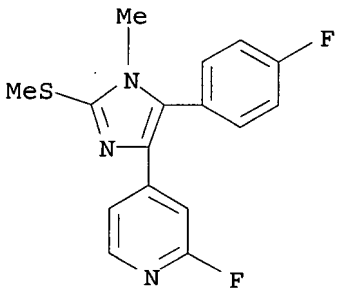
(regiospecific preparation of N-substituted (pyridinyl)imidazoles as p38 MAP kinase inhibitors and their spectral assignment)

RN 452056-88-1 CAPLUS

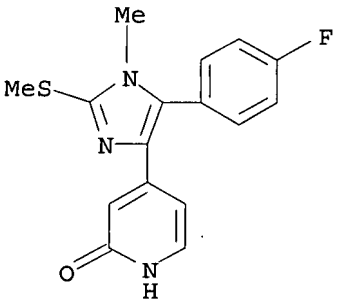
CN Acetamide, N-[4-[5-(4-fluorophenyl)-2,3-dihydro-3-methyl-2-thioxo-1H-imidazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



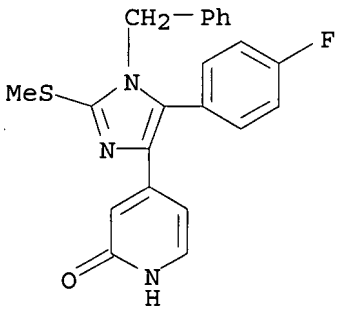
RN 549505-60-4 CAPLUS
CN Pyridine, 2-fluoro-4-[5-(4-fluorophenyl)-1-methyl-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



IT 549505-62-6P 549505-64-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(regiospecific preparation of N-substituted (pyridinyl)imidazoles as p38 MAP kinase inhibitors and their spectral assignment)
RN 549505-62-6 CAPLUS
CN 2(1H)-Pyridinone, 4-[5-(4-fluorophenyl)-1-methyl-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 549505-64-8 CAPLUS
CN 2(1H)-Pyridinone, 4-[5-(4-fluorophenyl)-2-(methylthio)-1-(phenylmethyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

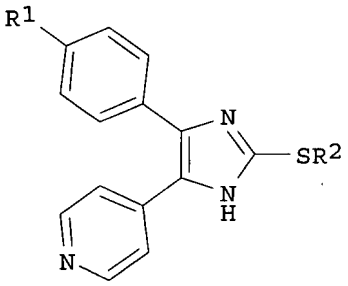
ACCESSION NUMBER: 2002:691682 CAPLUS
DOCUMENT NUMBER: 137:384792

TITLE: Imidazole Inhibitors of Cytokine Release: Probing Substituents in the 2 Position

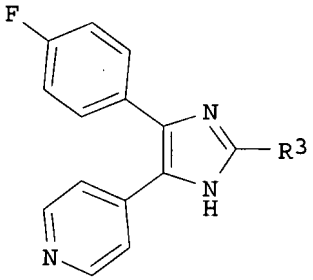
AUTHOR(S): Laufer, Stefan A.; Striegel, Hans-Guenther; Wagner, Gerd K.
CORPORATE SOURCE: Institute of Pharmacy, Department of Pharmaceutical and Medicinal Chemistry, Eberhard-Karls-University Tuebingen, Tuebingen, 72076, Germany

SOURCE: Journal of Medicinal Chemistry (2002), 45(21), 4695-4705
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:384792

GI



I



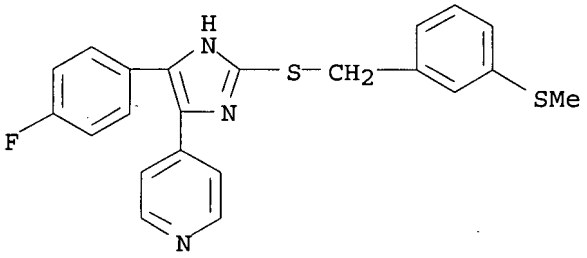
II

AB Novel 2,4,5-trisubstituted imidazoles I [R1 = H, F, Cl, Br; R2 = Me, NCCH2, 3-HOC6H4CH2, 4-MeSC6H4CH2, 4-MeSO2C6H4CH2, 4-MeSOC6H4(CH2)3, etc.] and II [R3 = PhCH2CH2, (E)-PhCH:CH] were prepared as potential anticytokine agents and tested on their ability to inhibit the release of tumor necrosis factor-α (TNF-α) and interleukin-1β (IL-1β) from peripheral blood mononuclear cells (PBMC) or human whole blood. Structure-activity relationships for substituents at the 4 and 5 position of the imidazole core were similar to those described for other inhibitors of cytokine release and p38 MAP (mitogen-activated protein) kinase. Starting from I [R1 = F, R2 = 4-MeSOC6H4CH2; (III)] (IC50 p38, 4.0 μM; TNF-α, 1.1 μM; IL-1β, 0.38 μM), the contribution of substituents at the 2 position to enzyme inhibitory and cellular activity of test compds. was investigated. This strategy led to the identification

of I (R1 = F, R2 = Me) (IC50 p38, 0.63 μM; TNF-α, 0.90 μM; IL-1β, 0.04 μM), which was 6-10 times more potent than the initial lead III with respect to inhibition of p38 and IL-1β release and equipotently inhibited TNF-α release.

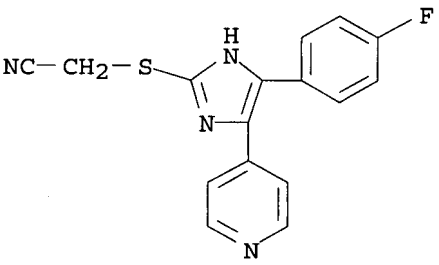
IT 262589-68-4P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of trisubstituted imidazoles as cytokine release inhibitors via alkylation of imidazolethiones with alkyl halides or benzyl alcs.)

RN 262589-68-4 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[3-(methylthio)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)

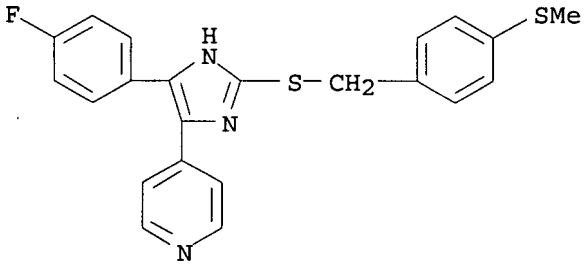


IT 220113-44-0P 262589-61-7P 262589-62-8P, ML 3163 262589-63-9P 262589-69-5P 262589-70-8P 262589-71-9P 262589-72-0P 262589-73-1P 262589-74-2P 262589-75-3P 262589-77-5P 475585-55-8P 475585-56-9P 475585-57-0P 475585-59-2P 475585-61-6P 475585-62-7P 475585-63-8P 475585-64-9P 475585-65-0P 475585-66-1P 475585-67-2P 475585-68-3P 475585-70-7P 475585-72-9P 475585-73-0P 475585-75-2P 475585-76-3P 475585-77-4P 475585-78-5P 475585-79-6P 475585-80-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of trisubstituted imidazoles as cytokine release inhibitors via alkylation of imidazolethiones with alkyl halides or benzyl alcs.)

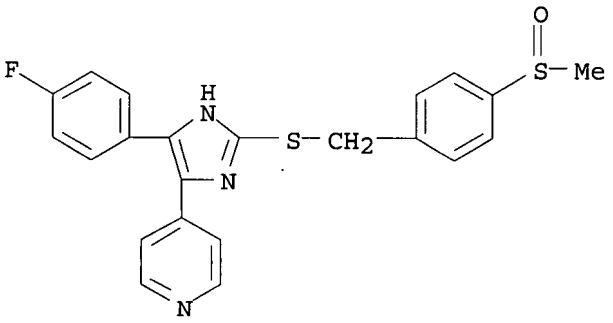
RN 220113-44-0 CAPLUS
CN Acetonitrile, [[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]- (9CI) (CA INDEX NAME)



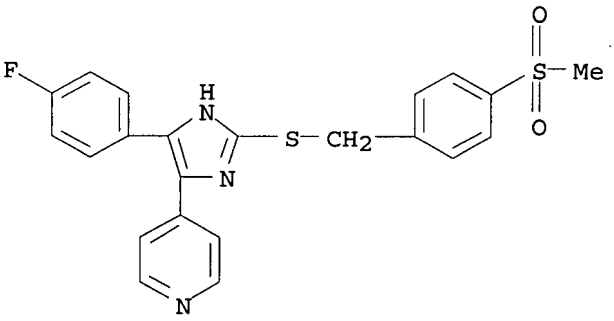
RN 262589-61-7 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[4-(methylthio)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



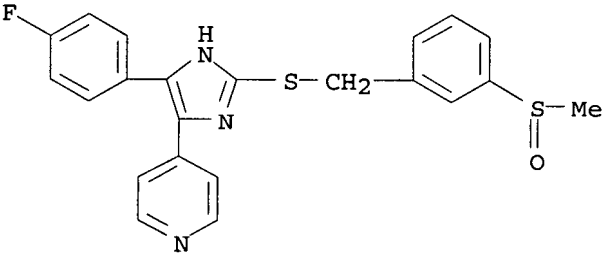
RN 262589-62-8 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[4-(methylsulfinyl)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



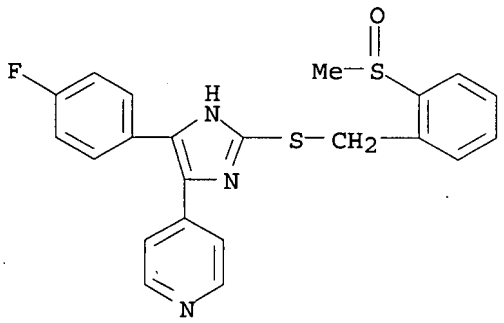
RN 262589-63-9 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[4-(methylsulfonyl)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



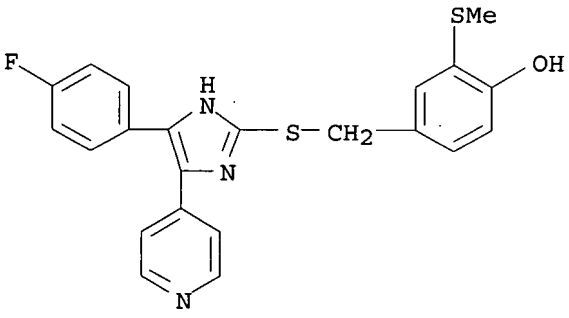
RN 262589-69-5 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[3-(methylsulfinyl)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



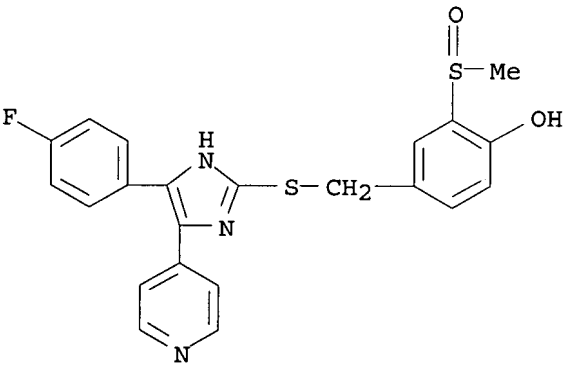
RN 262589-70-8 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[2-(methylsulfinyl)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



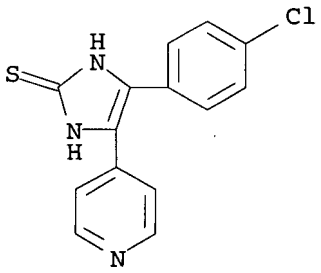
RN 262589-71-9 CAPLUS
CN Phenol, 4-[[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]methyl]-2-(methylthio)- (CA INDEX NAME)



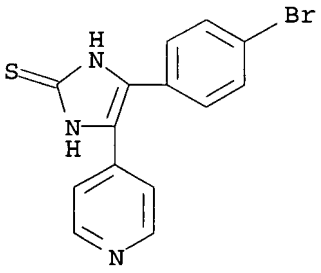
RN 262589-72-0 CAPLUS
CN Phenol, 4-[[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]methyl]-2-(methylsulfinyl)- (CA INDEX NAME)



RN 262589-73-1 CAPLUS
CN Phenol, 4-chloro-2-[[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]methyl]-6-(methylthio)- (CA INDEX NAME)



RN 475585-53-6 CAPLUS
CN 2H-Imidazole-2-thione, 4-(4-bromophenyl)-1,3-dihydro-5-(4-pyridinyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

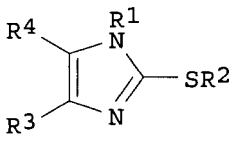
L4 ANSWER 15 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:658107 CAPLUS
DOCUMENT NUMBER: 137:185486
TITLE: Preparation of 2-thioimidazoles as immunomodulators and cytokine inhibitors
INVENTOR(S): Laufer, Stefan; Kotschenreuther, Dunja; Merckle, Philipp; Tollmann, Karola; Striegel, Hans-Guenter
PATENT ASSIGNEE(S): Merckle G.m.b.H., Germany
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066458	A2	20020829	WO 2002-EP1746	20020219
WO 2002066458	A3	20021212		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10107683	A1	20020829	DE 2001-10107683	20010219
CA 2453078	A1	20020829	CA 2002-2453078	20020219

AU 2002247726	A1	20020904	AU 2002-247726		20020219
EP 1362045	A2	20031119	EP 2002-716791		20020219
EP 1362045	B1	20041117			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
HU 200303155	A2	20031229	HU 2003-3155		20020219
BR 2002007254	A	20040210	BR 2002-7254		20020219
CN 1511149	A	20040707	CN 2002-805154		20020219
JP 2004521913	T	20040722	JP 2002-565973		20020219
AT 282605	T	20041215	AT 2002-716791		20020219
PT 1362045	T	20050429	PT 2002-716791		20020219
ES 2233808	T3	20050616	ES 2002-2716791		20020219
RU 2284326	C2	20060927	RU 2003-128068		20020219
IN 2003CN01289	A	20051118	IN 2003-CN1289		20030818
HK 1056725	A1	20050318	HK 2003-109068		20031211
US 2004116416	A1	20040617	US 2004-467064		20040128
PRIORITY APPLN. INFO.:			DE 2001-10107683	A	20010219
			WO 2002-EP1746	W	20020219

OTHER SOURCE(S): MARPAT 137:185486

GI



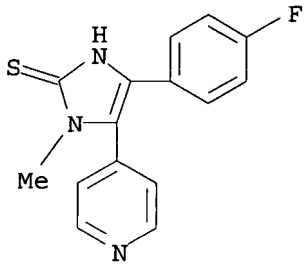
I

AB Title compds. [I; R1 = (substituted) alkyl, alkenyl, cycloalkyl, (substituted) aryl, aminoalkyl, aminoaryl, arylalkyl, (aromatic) heterocyclyl; R2 = H, (substituted) alkyl, phenylalkyl, alkenyl, alkynyl, Ph; or R1R2 = CH2CH2, (CH2)3; R3, R4 = alkyl, (substituted) 5-6 membered heteroaryl, aryl; and if R1 = arylalkyl, (substituted) aminoalkyl then R2 = alkylsulfonyl, sulfinylarylalkyl], were prepared Thus, 5-(4-fluorophenyl)-4-(4-pyridyl)-3-methylimidazole-N-oxide (preparation given) was dropwise treated with 2,2,4,4-tetramethyl-3-thioxocyclobutanone in CHCl3 under cooling in ice-bath following by stirring for 30 min in ice-bath to give 4-(4-fluorophenyl)-1-methyl-5-(4-pyridyl)ethane with a total yield of 36%. The latter inhibited TNF-α with IC50 = 19 [μmol|x|-] and IL-1β with IC50 = 3.6 [μmol|x|-].

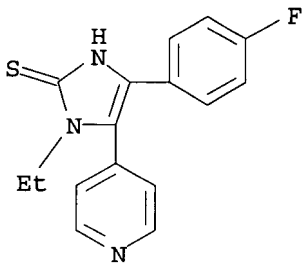
IT 452056-11-0P 452056-14-3P 452056-88-1P
452056-89-2P 452056-90-5P 452056-91-6P
452056-92-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-thioimidazoles as immunomodulators and cytokine inhibitors)

RN 452056-11-0 CAPLUS

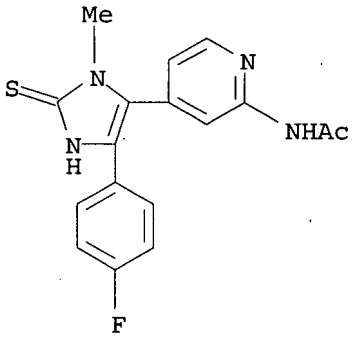
CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-1-methyl-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 452056-14-3 CAPLUS
CN 2H-Imidazole-2-thione, 1-ethyl-4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

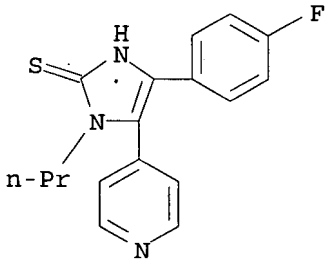


RN 452056-88-1 CAPLUS
CN Acetamide, N-[4-[5-(4-fluorophenyl)-2,3-dihydro-3-methyl-2-thioxo-1H-imidazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



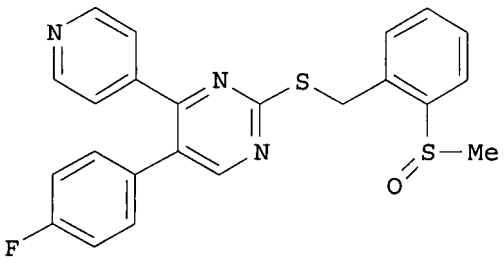
RN 452056-89-2 CAPLUS
CN Acetamide, N-[4-[5-(4-fluorophenyl)-2,3-dihydro-3-propyl-2-thioxo-1H-imidazol-4-yl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:548919 CAPLUS
DOCUMENT NUMBER: 138:73205
TITLE: Ones, thiones, and N-oxides: An exercise in imidazole chemistry
AUTHOR(S): Laufer, Stefan; Wagner, Gerd; Kotschenreuther, Dunja
CORPORATE SOURCE: Institute of Pharmacy Department of Pharmaceutical and Medicinal Chemistry, Eberhard-Karls-University Tubingen, Tubingen, 72076, Germany
SOURCE: Angewandte Chemie, International Edition (2002), 41(13), 2290-2293
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:73205
AB Regioselective synthetic approaches to tetrasubstituted imidazoles are reported. These highly substituted heterocycles are potent inhibitors of cytokine release and therefore interesting candidates for anti-inflammatory drugs.
IT 452056-17-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of tetrasubstituted imidazole derivs. as potent inhibitors of cytokine release)
RN 452056-17-6 CAPLUS
CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-1-propyl-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:362735 CAPLUS
DOCUMENT NUMBER: 137:140494
TITLE: From Imidazoles to Pyrimidines: New Inhibitors of Cytokine Release
AUTHOR(S): Laufer, Stefan A.; Wagner, Gerd K.
CORPORATE SOURCE: Institute of Pharmacy, Department of Pharmaceutical and Medicinal Chemistry, Eberhard-Karls-University Tuebingen, Tuebingen, 72076, Germany
SOURCE: Journal of Medicinal Chemistry (2002), 45(13), 2733-2740
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:140494
GI

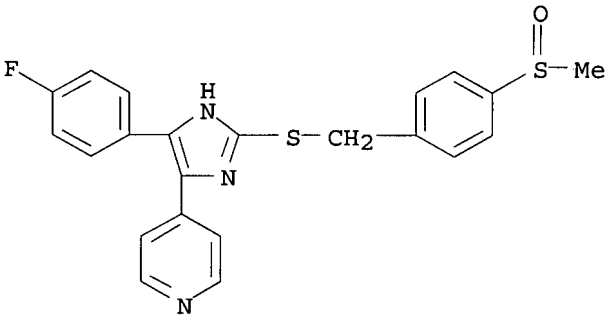


I

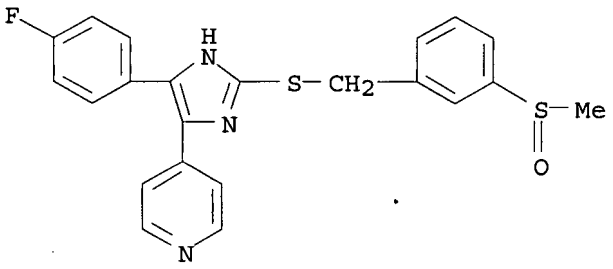
AB On the basis of model imidazole inhibitors of cytokine release, a series of novel pyridinylpyrimidine derivs. was prepared and tested on their ability to inhibit the release of tumor necrosis factor-α (TNF-α) and interleukin-1β (IL-1β) from peripheral blood mononuclear cells (PBMC) and human whole blood. In the pyrimidine series, structure-activity relationships similar to those of the imidazole series were found, although generally pyrimidine compds. were less potent. Modification of the substituent at the 2 position of the pyrimidine led to the most active compound I which inhibited release of TNF-α (IC50 = 3.2 μM) and IL-1β (IC50 = 2.3 μM) from PBMC as effectively as the model imidazole inhibitor ML 3163 (TNF-α, IC50 = 3.7 μM; IL-1β, IC50 = 0.9 μM). Screening in an isolated enzyme assay revealed both imidazole and pyrimidine compds. as inhibitors of p38 MAP (mitogen-activated protein) kinase.

IT 262589-62-8P, ML 3163 262589-69-5P 262589-70-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(arylmethylthio(pyridyl)pyrimidines as cytokine release inhibitors)

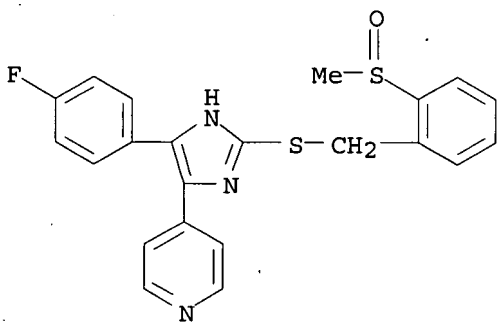
RN 262589-62-8 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[4-(methylsulfinyl)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



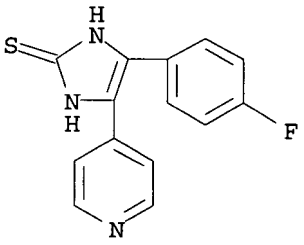
RN 262589-69-5 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[3-(methylsulfinyl)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



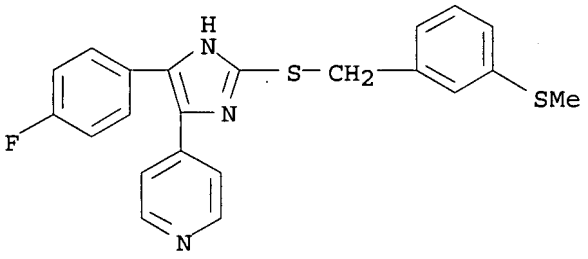
RN 262589-70-8 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[2-(methylsulfinyl)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



IT 72882-75-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(arylmethylthio(pyridyl)pyrimidines as cytokine release inhibitors)
RN 72882-75-8 CAPLUS
CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

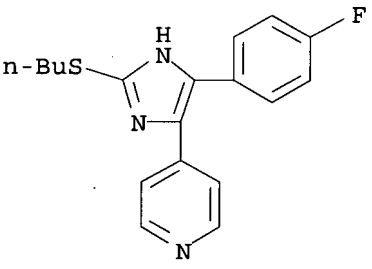


IT 262589-68-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(arylmethylthio(pyridyl)pyrimidines as cytokine release inhibitors)
RN 262589-68-4 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[3-(methylthio)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:570790 CAPLUS
DOCUMENT NUMBER: 133:309869
TITLE: Unexpected Reactivity of Imidazo[2,1-b]thiazolines with Organometallic Reagents
AUTHOR(S): Sisko, Joseph; Kassick, Andrew J.; Shetzline, Steven B.
CORPORATE SOURCE: Synthetic Chem. Dep., SmithKline Beecham Pharm., King of Prussia, PA, 19406, USA
SOURCE: Organic Letters (2000), 2(18), 2877-2880
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:309869
AB The reaction of imidazo[2,1-b]thiazolines with various organometallic reagents is described. Nucleophilic attack of organolithium reagents on sulfur occurs with extrusion of ethylene to produce 2-thioalkyl- or 2-thioarylimidazoles. The outcome with Grignard reagents, however, is less predictable, with some reagents adding at sulfur and others reacting at C-2 or not at all.
IT 220113-46-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(reaction of imidazo[2,1-b]thiazolines with organolithium and Grignard compds.)
RN 220113-46-2 CAPLUS
CN Pyridine, 4-[2-(butylthio)-5-(4-fluorophenyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:210154 CAPLUS
DOCUMENT NUMBER: 132:251151
TITLE: Preparation of 2-aralkylthioimidazoles and related

compounds as antiinflammatories.

INVENTOR(S): Laufer, Stefan; Striegel, Hans-gunter; Neher, Karola
PATENT ASSIGNEE(S): Merckle G.m.b.H., Germany

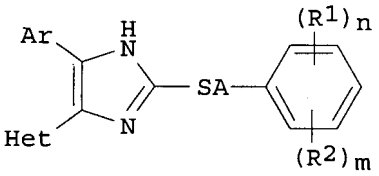
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000017192	A1	20000330	WO 1999-EP6945	19990920
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 19842833	A1	20000330	DE 1998-19842833	19980918
DE 19842833	B4	20050414		
CA 2344411	A1	20000330	CA 1999-2344411	19990920
AU 9960856	A1	20000410	AU 1999-60856	19990920
EP 1112265	A1	20010704	EP 1999-947387	19990920
EP 1112265	B1	20040114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002526494	T	20020820	JP 2000-574101	19990920
AT 257833	T	20040115	AT 1999-947387	19990920
ES 2211169	T3	20040701	ES 1999-947387	19990920
US 6432988	B1	20020813	US 2001-787390	20010511

PRIORITY APPLN. INFO.: DE 1998-19842833 A 19980918
WO 1999-EP6945 W 19990920

OTHER SOURCE(S): MARPAT 132:251151

GI



I

AB Title compds. [I; Ar = (substituted) Ph; Het = (substituted) pyridyl, pyrimidinyl, pyrazinyl; A = alkylene; R1 = alkylthio, alkylsulfinyl, alkylsulfonyl, sulfonamido, alkylcarbonyl; R2 = halo, alkyl, OH, alkoxy, alkoxycarbonyl, sulfonamido, CO2H, NO2, aminocarbonyl; n = 1, 2; m = 0-2], were prepared Thus, 5-(4-fluorophenyl)-4-(4-pyridyl)imidazol-2-thione (preparation given) was stirred with NaOAc in EtOH/THF; 4-methylthiobenzyl chloride was added followed by 4 h reflux to give 68% 5-(4-fluorophenyl)-2-[(4-methylthio)benzylthio]-4-(4-pyridyl)imidazole. The latter inhibited 5-lipoxygenase with IC50 = 0.065 μM.

IT 262589-61-7P 262589-62-8P 262589-63-9P
262589-64-0P 262589-65-1P 262589-66-2P
262589-67-3P 262589-68-4P 262589-69-5P
262589-70-8P 262589-71-9P 262589-72-0P
262589-73-1P 262589-74-2P 262589-75-3P

262589-77-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aralkylthioimidazoles and related compds. as antiinflammatories)

RN 262589-61-7 CAPLUS
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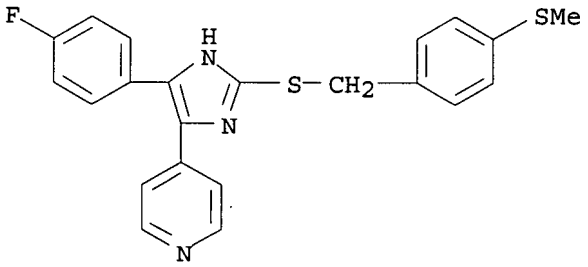

RN 262589-62-8 CAPLUS
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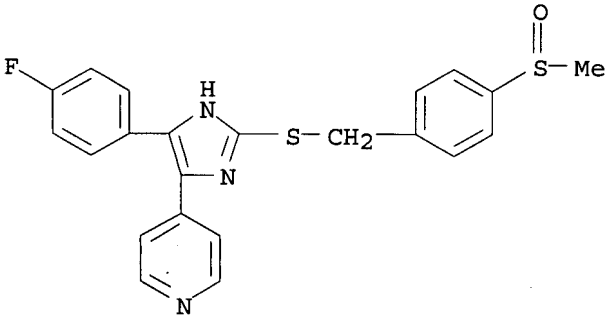

RN 262589-63-9 CAPLUS
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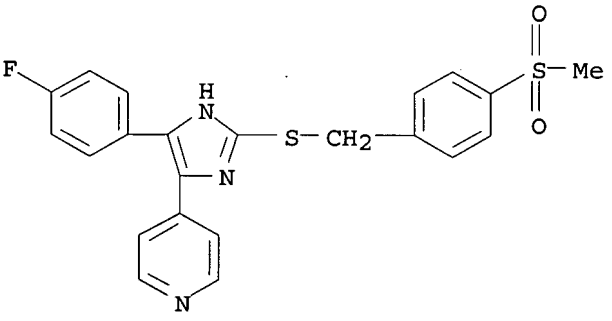
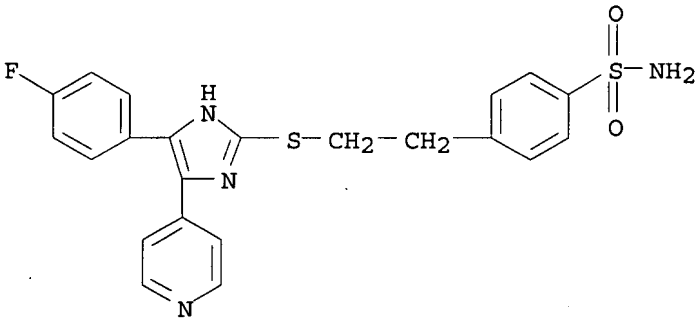
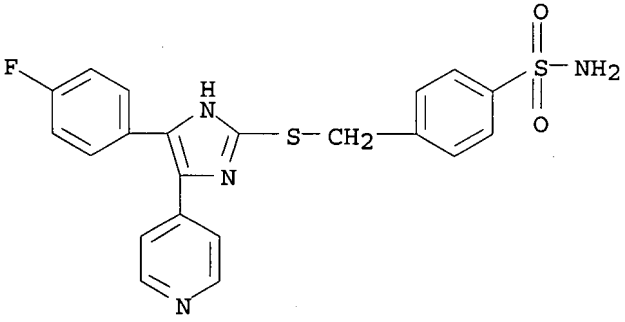


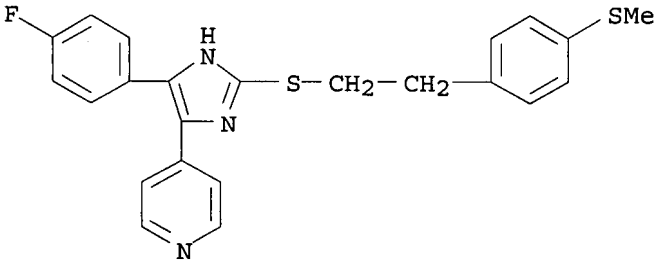
RN 262589-64-0 CAPLUS
CN Benzenesulfonamide, 4-[2-[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]ethyl]- (9CI) (CA INDEX NAME)



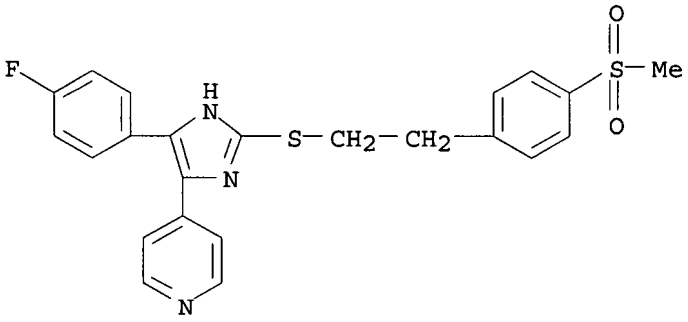
RN 262589-65-1 CAPLUS
CN Benzenesulfonamide, 4-[[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]methyl]- (9CI) (CA INDEX NAME)



RN 262589-66-2 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-[[2-[4-(methylthio)phenyl]ethyl]thio]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 262589-67-3 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-[[2-[4-(methylsulfonyl)phenyl]ethyl]thio]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 262589-68-4 CAPLUS
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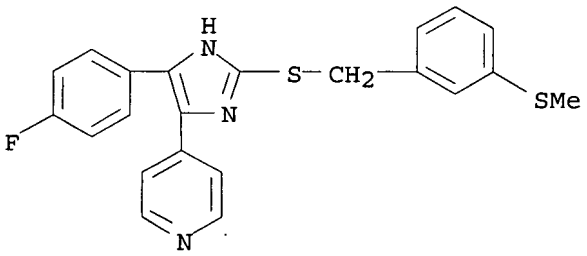
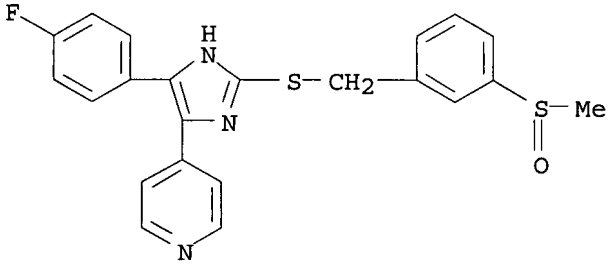


RN 262589-69-5 CAPLUS
CN Pyridine, 4-[4-(4-fluorophenyl)-2-[[[3-(methylsulfinyl)phenyl]methyl]thio]-1H-imidazol-5-yl]- (CA INDEX NAME)



RN 262589-70-8 CAPLUS
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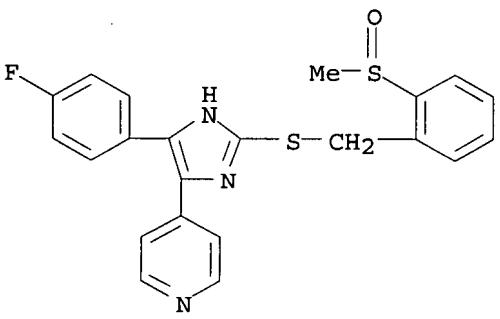
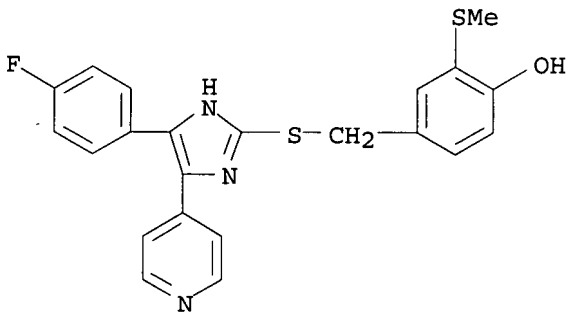
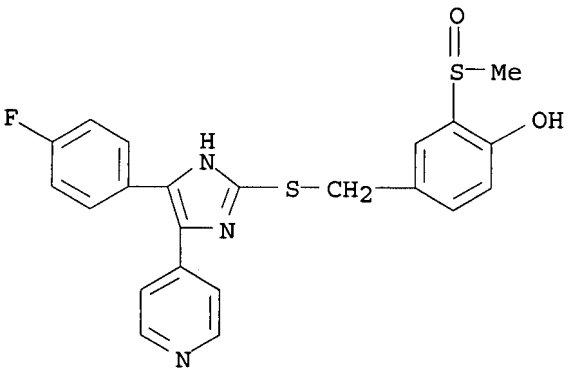


RN 262589-71-9 CAPLUS
CN Phenol, 4-[[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]methyl]-2-(methylthio)- (CA INDEX NAME)



RN 262589-72-0 CAPLUS
CN Phenol, 4-[[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]methyl]-2-(methylsulfinyl)- (CA INDEX NAME)



RN 262589-73-1 CAPLUS
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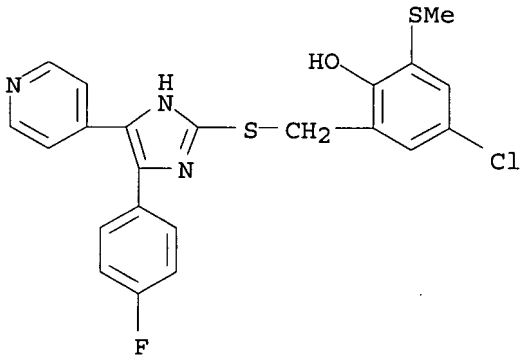
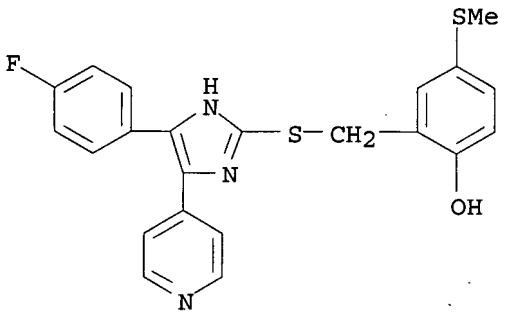
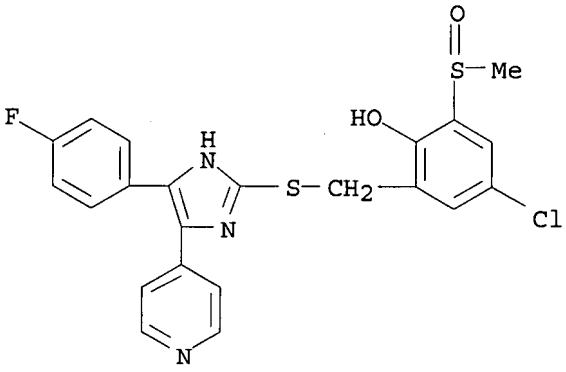


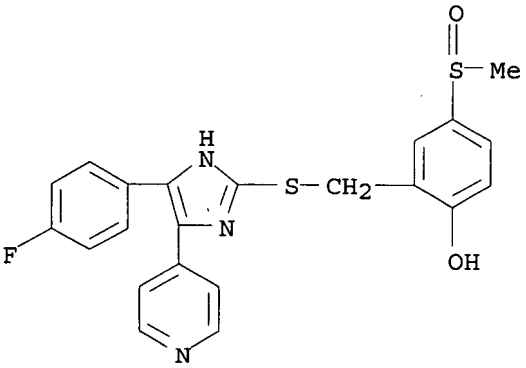
RN 262589-74-2 CAPLUS
CN Phenol, 2-[[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]methyl]-4-(methylthio)- (CA INDEX NAME)



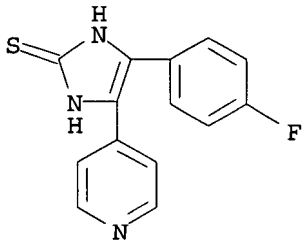
RN 262589-75-3 CAPLUS
CN Phenol, 4-chloro-2-[[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]methyl]-6-(methylsulfinyl)- (CA INDEX NAME)



RN 262589-77-5 CAPLUS
CN Phenol, 2-[[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]methyl]-4-(methylsulfinyl)- (CA INDEX NAME)



IT 72882-75-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-aralkylthioimidazoles and related compds. as antiinflammatories)
RN 72882-75-8 CAPLUS
CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:77547 CAPLUS
DOCUMENT NUMBER: 130:139340
TITLE: Preparation of 2-substituted imidazoles useful in the treatment of inflammatory diseases
INVENTOR(S): Wachter, Michael; Beers, Scott A.
PATENT ASSIGNEE(S): Orto-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9903837	A1	19990128	WO 1998-US13419		19980629
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2295021	A1	19990128	CA 1998-2295021		19980629
US 6040320	A	20000321	US 1998-106698		19980629
EP 994858	A1	20000426	EP 1998-939071		19980629
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2002515915	T	20020528	JP 1999-507263		19980629
AU 9887570	A	19990210	AU 1998-87570		19980729
MX 200000129	A	20020327	MX 2000-129		20000103
PRIORITY APPLN. INFO.:			US 1997-51301P	P	19970630
			WO 1998-US13419	W	19980629

OTHER SOURCE(S): MARPAT 130:139340
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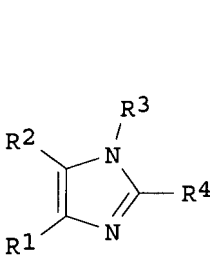

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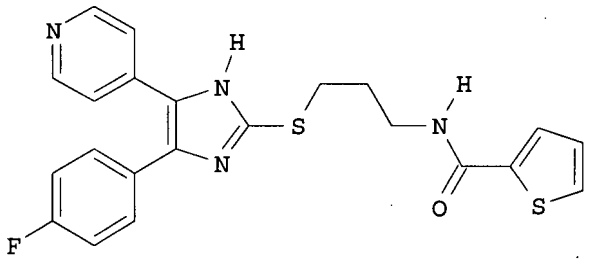


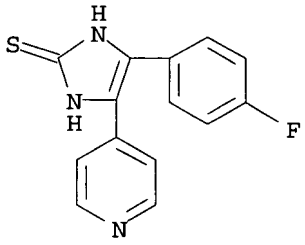
II

AB The title compds. [I; R1 = (un)substituted Ph, 5-6 membered heteroaryl; R2 = (un)substituted Ph, (un)substituted 5-6 membered heteroaryl; R3 = H, SEM, C1-5 alkoxycarbonyl, etc., R4 = An(CH2)qX (wherein A = S, C(O); n = 0-1; q = 0-9; X = H, OH, halo, etc.)] which modulate the production of a number of inflammatory cytokines such as TNF-α and IL-1, and are useful in the treatment of diseases associated with the production of inflammatory cytokines, e.g., arthritis, were prepared Thus, reaction of thiophenecarbonyl chloride with 2-(3-aminoprop-1-yl)thio-5(4)-(4-fluorophenyl)-4(5)-(4-pyridyl)imidazole in the presence of NaHCO3 in DMF afforded II which showed IC50 of 1.25 μM against CPSB and IC50 of 10 nM against TNF-α.

IT 72882-75-8P 220113-15-5P 220113-17-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-substituted imidazoles useful in the treatment of inflammatory diseases)

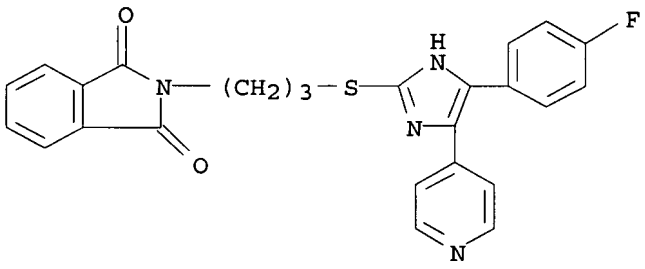
RN 72882-75-8 CAPLUS

CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

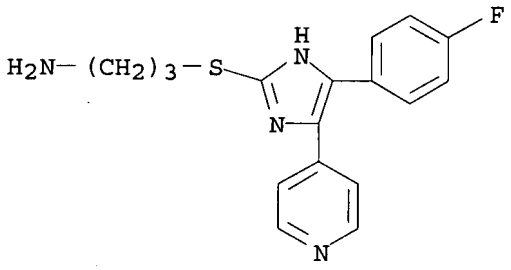


RN 220113-15-5 CAPLUS

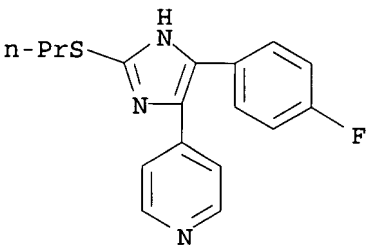
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]propyl]- (9CI) (CA INDEX NAME)



RN 220113-17-7 CAPLUS
CN 1-Propanamine, 3-[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]- (9CI) (CA INDEX NAME)



IT 72873-79-1P 111145-50-7P 220113-18-8P
220113-19-9P 220113-30-4P 220113-31-5P
220113-32-6P 220113-33-7P 220113-34-8P
220113-35-9P 220113-36-0P 220113-37-1P
220113-38-2P 220113-39-3P 220113-41-7P
220113-43-9P 220113-44-0P 220113-45-1P
220113-46-2P 220113-47-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-substituted imidazoles useful in the treatment of inflammatory diseases)
RN 72873-79-1 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-(propylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 111145-50-7 CAPLUS
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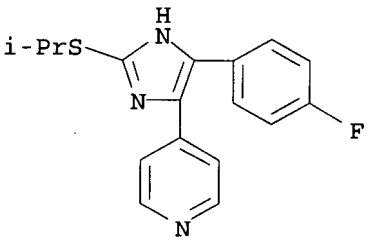


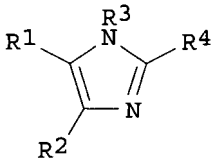
RN 220113-18-8 CAPLUS
CN 2-Thiophenecarboxamide, N-[3-[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:48717 CAPLUS
DOCUMENT NUMBER: 130:125072
TITLE: Preparation of 2-substituted 4,5-diarylimidazoles as antiinflammatories and immunosuppressants
INVENTOR(S): Revesz, Laszlo
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9901449	A1	19990114	WO 1998-EP3930	19980626
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 429258	B	20010411	TW 1998-87109301	19980611
CA 2291758	A1	19990114	CA 1998-2291758	19980626
AU 9888015	A	19990125	AU 1998-88015	19980626
AU 744411	B2	20020221		
EP 993456	A1	20000419	EP 1998-939541	19980626
EP 993456	B1	20040908		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI				
TR 9903278	T2	20000721	TR 1999-3278	19980626
BR 9810955	A	20000926	BR 1998-10955	19980626
JP 2001506280	T	20010515	JP 1999-506288	19980626
JP 3704362	B2	20051012		
HU 200003351	A2	20010628	HU 2000-3351	19980626
NZ 501275	A	20020426	NZ 1998-501275	19980626
RU 2214408	C2	20031020	RU 2000-101820	19980626
EP 1396491	A2	20040310	EP 2003-26996	19980626
EP 1396491	A3	20040630		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, CY				
AT 275557	T	20040915	AT 1998-939541	19980626
PT 993456	T	20050131	PT 1998-939541	19980626
ES 2226164	T3	20050316	ES 1998-939541	19980626
ZA 9805656	A	19981230	ZA 1998-5656	19980629
IN 1998MA01441	A	20050304	IN 1998-MA1441	19980629
MX 9911411	A	20000430	MX 1999-11411	19991208
NO 9906429	A	19991223	NO 1999-6429	19991223
US 6300347	B1	20011009	US 1999-446885	19991229
PRIORITY APPLN. INFO.:			GB 1997-13726 A	19970630
			EP 1998-939541 A3	19980626
			WO 1998-EP3930 W	19980626

OTHER SOURCE(S): MARPAT 130:125072
GI



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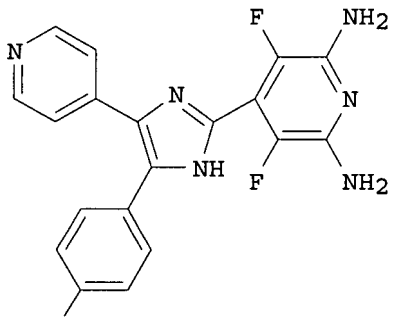
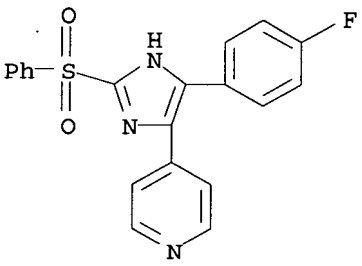


II

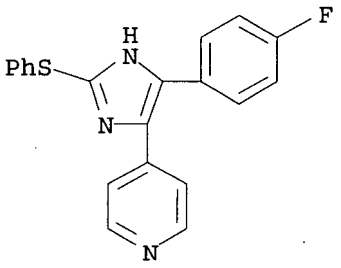
AB The title compds. in which (a) N atom at the position 1 is substituted by a trialkylsilyl-containing substituent, or (b) the substituent at the 2 position is arylalkyl, arylsulfonyl, arylthio, arylseleno, aryltelluro, cycloalk(en)yl, alkylcycloalk(en)yl, amino, hydrazino, mono- or bicyclic N-heterocyclyl comprising 6-membered N-containing ring (with a proviso), especially compds. (I) [R1 = (un)substituted 4-pyridyl, pyrimidinyl, quinazolin-4-yl, (iso)quinolyl, 1-imidazolyl or 1-benzimidazolyl; R2 = (un)substituted Ph, naphthyl; R3 = H, heterocyclyl, heterocyclyl(C1-10 alkyl), optionally halo-substituted C1-10 alk(en)yl, (hetero)aryl, etc.; R4 = (un)substituted C0-4 alkyl, di-C3-7 cycloalkyl, etc. (with a proviso)] in free or pharmaceutically-acceptable acid addition salt or physiol.-cleavable ester form, were prepared as p38 mitogen-activated protein kinase inhibitors. The compds. are used as pharmaceuticals for treating TNFα- and IL-1-mediated diseases, e.g., rheumatoid arthritis and diseases of bone metabolism, e.g. osteoporosis. For example, N-alkylation of 4-(4-fluorophenyl)-5-(4-pyridyl)-1H-imidazole with HC(OEt)3 and condensation of the resulting mixture of 1- and 3-(1,1-diethoxymethyl)imidazole derivs. with pentafluoropyridine followed by amination of 2-tetrafluoropyridyl intermediate with NH3 gave a title compound II which at 10 mg/kg orally in lipopolysaccharide-stimulated mice gave 80% inhibition of TNF-α release.

IT 219838-94-5P 219838-96-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-substituted 4,5-diarylimidazoles as antiinflammatories and immunosuppressants)

RN 219838-94-5 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-(phenylsulfonyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 219838-96-7 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-(phenylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

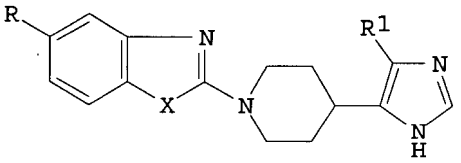


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:124534 CAPLUS
DOCUMENT NUMBER: 118:124534
TITLE: Preparation of 2-(imidazolylpiperidino)benzimidazoles and analogs as 5-HT receptor ligands
INVENTOR(S): Jegham, Samir; Defosse, Gerard; Purcell, Thomas; Schoemaker, Johannes
PATENT ASSIGNEE(S): Synthelabo S. A., Fr.
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 507650	A1	19921007	EP 1992-400780	19920323
EP 507650	B1	19960522		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
FR 2674855	A1	19921009	FR 1991-4009	19910403
FR 2674855	B1	19940114		
AT 138375	T	19960615	AT 1992-400780	19920323
CA 2064924	A1	19921004	CA 1992-2064924	19920402
NO 9201281	A	19921005	NO 1992-1281	19920402
AU 9213989	A	19921008	AU 1992-13989	19920402
AU 646332	B2	19940217		
CN 1065459	A	19921021	CN 1992-102327	19920402
JP 05112563	A	19930507	JP 1992-80690	19920402
JP 07088378	B	19950927		
HU 62573	A2	19930528	HU 1992-1116	19920402
US 5280030	A	19940118	US 1992-862376	19920402

PRIORITY APPLN. INFO.: FR 1991-4009 A 19910403
OTHER SOURCE(S): MARPAT 118:124534
GI



I

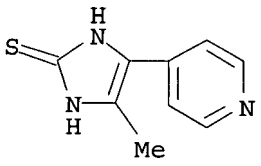
AB Title compds. [I; R = H, F; R1 = H, (cyclo)alkyl; X = O, S, NR3; R3 = H,

(cyclo)alkyl, Ph, pyridyl, etc.] were prepared Thus, 1-(4-pyridyl)-1-propanone was converted in 2 steps to 2-amino-1-(4-pyridyl)-1-propanone which was cyclocondensed with KSCN and the product converted in 2 steps to 4-(5-methyl-1H-imidazol-4-yl)piperidine. The latter was condensed with 2-chloro-1-(1-methylethyl)-1H-benzimidazole (preparation given) to give I (R = H, R1 = Me, X = NCHMe2). I gave ≥ 50% inhibition of serotonin-induced bradycardia in rats at 10 μg/kg i.v.

IT 103851-90-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of 5HT receptor ligands)

RN 103851-90-7 CAPLUS

CN 2H-Imidazole-2-thione, 1,3-dihydro-4-methyl-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1992:566037 CAPLUS

DOCUMENT NUMBER: 117:166037

TITLE: Inhibition of lipid peroxidation promoted by iron(III) and ascorbate

AUTHOR(S): Beach, Dorothy C.; Giroux, Eugene

CORPORATE SOURCE: Marion Merrell Dow Res. Inst., Cincinnati, OH, 45215, USA

SOURCE: Archives of Biochemistry and Biophysics (1992), 297(2), 258-64
CODEN: ABBIA4; ISSN: 0003-9861

DOCUMENT TYPE: Journal

LANGUAGE: English

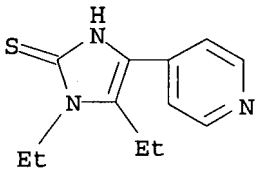
AB Peroxidn. of rat liver microsomes and of phospholipid isolated from them was studied using iron(III) and ascorbate initiation. One-half equivalent of citrate per iron equivalent maintained solubility of the metal ion at neutral pH.

Several metal chelators, including addnl. citrate, blocked preoxidn., but catalase did not. These characteristics are consistent with those reported by others (D. M. Miller and S. D. Aust, 1989). Several antioxidants, principally tocopherol analogs and nitroxides, and, as well, a nonenzymic component of thymol-free catalase, potently blocked lipid peroxidn., or, equivalently, dioxygen depletion from suspensions of peroxidizing microsomes. Chromanols were the most active antioxidants. No thiol studied had significant antioxidant activity in the test system.

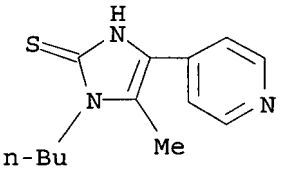
IT 143716-40-9, MDL 29591 143716-41-0, MDL 29752
RL: BIOL (Biological study)
(lipid peroxidn. in microsomes response to, model system of)

RN 143716-40-9 CAPLUS

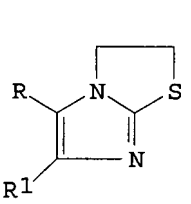
CN 2H-Imidazole-2-thione, 1,5-diethyl-1,3-dihydro-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 143716-41-0 CAPLUS
CN 2H-Imidazole-2-thione, 1-butyl-1,3-dihydro-5-methyl-4-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:656065 CAPLUS
DOCUMENT NUMBER: 115:256065
TITLE: Synthesis, x-ray crystal structure determination and antiinflammatory activity of the regioisomers: 5-phenyl-6-(4-pyridyl)-2,3-dihydroimidazo[2,1-b]thiazole and 6-phenyl-5-(4-pyridyl)-2,3-dihydroimidazo[2,1-b]thiazole. A structural reassignment
AUTHOR(S): Shilcrat, Susan C.; Hill, David T.; Bender, Paul E.; Griswold, Don E.; Bauers, Paul W.; Eggleston, Drake S.; Lantos, Ivan; Pridgen, Lendon N.
CORPORATE SOURCE: Dep. Synth. Chem., SmithKline Beecham Pharm., King of Prussia, PA, 19406-0939, USA
SOURCE: Journal of Heterocyclic Chemistry (1991), 28(5), 1181-7
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:256065
GI



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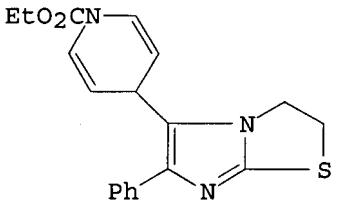


II

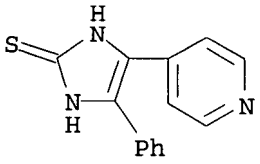
AB Phenylpyridyldihydroimidazothiazole I (R = 4-pyridyl, R1 = Ph) was prepared by treatment of [(ethoxycarbonyl)pyridyl]imidazothiazoline II with chromium(VI) oxide. Reaction of 4-phenyl-5-(4-pyridyl)imidazole-2-thione with 1,2-dibromoethane in the presence of base also gave I (R = 4-pyridyl, R1 = Ph) (III) together with its regioisomer I (R = Ph, R1 = 4-pyridyl (IV). The structures of the isomers were confirmed by x-ray crystallog. Evaluation, on oral administration, in a one hour arachidonic acid-induced

mouse ear inflammation assay, showed the inhibition of edema by III (48%) and IV (34%) to be less than that of the 6-(4-fluorophenyl) analog (69%), a known antiinflammatory agent.

IT 137277-58-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with dibromoethane)

RN 137277-58-8 CAPLUS

CN 2H-Imidazole-2-thione, 1,3-dihydro-4-phenyl-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:464733 CAPLUS

DOCUMENT NUMBER: 115:64733

TITLE: Imidazole ring- containing monokine activity-interfacing agents for treatment of human immunodeficiency virus (HIV) infection

INVENTOR(S): Hanna, Nabil

PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA

SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

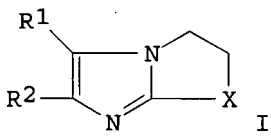
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 403251	A2	19901219	EP 1990-306440		19900613
EP 403251	A3	19920401			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
CA 2058952	A1	19901214	CA 1990-2058952		19900612
WO 9015534	A1	19901227	WO 1990-US3380		19900612
W: AU, CA, JP, KR, US					
AU 9059218	A	19910108	AU 1990-59218		19900612
JP 04506215	T	19921029	JP 1990-509886		19900612
ZA 9004582	A	19910626	ZA 1990-4582		19900613
PRIORITY APPLN. INFO.:			US 1989-365387	A	19890613
			WO 1990-US3380	A	19900612

OTHER SOURCE(S): MARPAT 115:64733

GI



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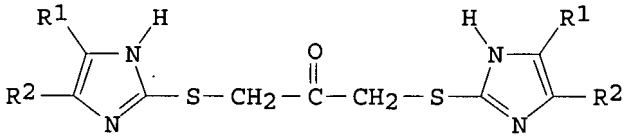

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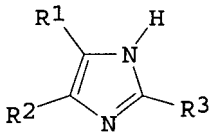
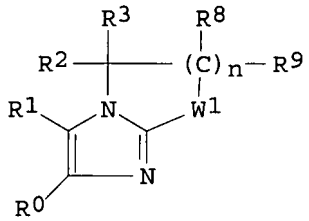
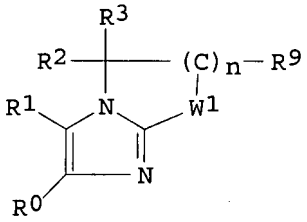


III



IV



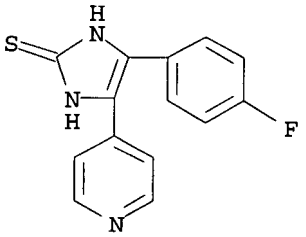
V

AB T-cell viral infections, e.g. HIV infection, in humans are treated with an effective amount of a monokine activity-interfering agent I [1 of R1 and R2 = 4-pyridyl and the other is substituted Ph; X = CH2, (CH2)2, S(O)n (n = 0-2)], II (R1, R2 as above), III [R1, R2 as above; R3 = S, S(CF2)2H], IV [W1 = C(R4)(R5)C(R6)(R7), C(R5):C(R7), N:CR7, S(O)m, O (with provisions); 1 of R1 and R0 = 4-pyridyl or C1-4 alkyl-4-pyridyl (with provisions) and the other of R1 and R0 is Ph or mono- or disubstituted Ph (with provisions); R2-9 = H, C1-2 alkyl; or R2R8 = double bond in B ring such that B ring is aromatic oxazole ring; n, m = 0-2;], V (substituents as for IV above), or pharmaceutically acceptable salts thereof. The monokine activity-interfering agents inhibit the production of interleukin-1 or of tumor necrosis factor (TNF). Thus, 6-(4-fluorophenyl)-5-(4-pyridyl)-2,3-dihydroimidazo[2,1-b]thiazole-1,1-dioxide (VI) inhibited bacterial lipopolysaccharide-induced TNF production by monocytes (IC50 = 9.0 mM). In a mouse endotoxin shock model, VI also inhibited in vivo TNF levels as well as protected the animals from endotoxin-induced shock.

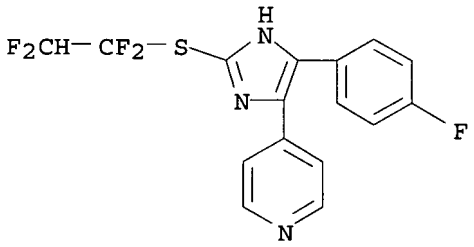
IT 72882-75-8 72882-76-9 110764-04-0
RL: BIOL (Biological study)
(for human immunodeficiency virus treatment, monokine inhibition in relation to)

RN 72882-75-8 CAPLUS

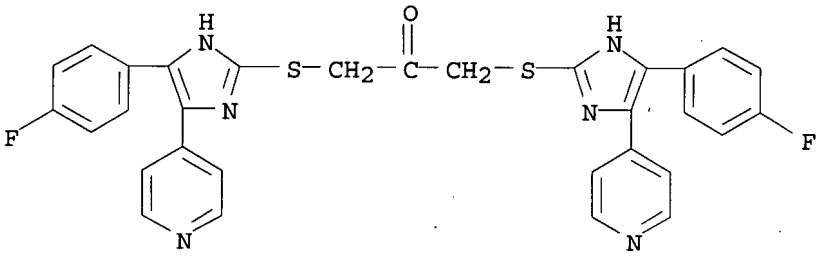
CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 72882-76-9 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-[(1,1,2,2-tetrafluoroethyl)thio]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



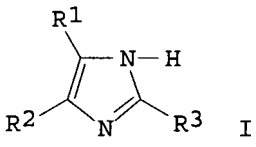
RN 110764-04-0 CAPLUS
CN 2-Propanone, 1,3-bis[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:88622 CAPLUS
DOCUMENT NUMBER: 110:88622
TITLE: Arylimidazoles for inhibition of interleukin 1 production by monocytes and/or macrophages
INVENTOR(S): Bender, Paul Elliot; Griswold, Don Edgar; Hanna, Nabil; Lee, John C.
PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 8801167	A1	19880225	WO 1987-US1996		19870817
W: AU, DK, JP					
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
US 4780470	A	19881025	US 1986-897901		19860819
AU 8778738	A	19880308	AU 1987-78738		19870817
DK 8801996	A	19880412	DK 1988-1996		19880412
PRIORITY APPLN. INFO.:			US 1986-897901	A	19860819
			WO 1987-US1996	A	19870817

OTHER SOURCE(S): MARPAT 110:88622
GI



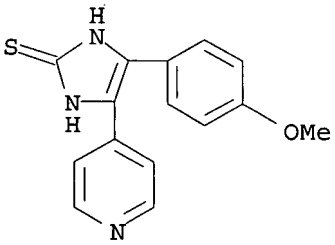
I

AB Interleukin 1 (IL-1) production by human monocytes or macrophages in vivo is modulated by administration of a 2-substituted 4,5-diarylimidazole I (1 of R1,R2 = 4-pyridyl; other of R1,R2 = monohalophenyl; R3 = SH, SCF2CF2H). I (R1 = 4-FC6H4, R2 = 4-pyridyl, R3 = SH) (II) at 10-6 M caused 59% inhibition of bacterial lipopolysaccharide-induced IL-1 production by human peripheral blood monocytes, as measured by the stimulation by IL-1 of interleukin 2 secretion by EL-4 cells in the presence of ionophore A23187, determined by RIA. To prepare II, isonicotinaldehyde was converted with NaCN and BzCl to the O-benzoylcyanohydrin, which reacted further with 4-FC6H4CHO and NaH to form 2-(4-fluorophenyl)-2-hydroxy-1-(4-pyridyl)ethanone (not isolated); cyclocondensation of this hydroxy ketone with thiourea yielded II.

IT 72882-73-6P 72882-74-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

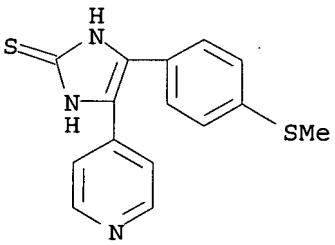
RN 72882-73-6 CAPLUS

CN 2H-Imidazole-2-thione, 1,3-dihydro-4-(4-methoxyphenyl)-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 72882-74-7 CAPLUS

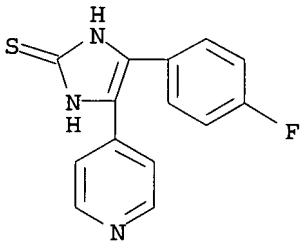
CN 2H-Imidazole-2-thione, 1,3-dihydro-4-[4-(methylthio)phenyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



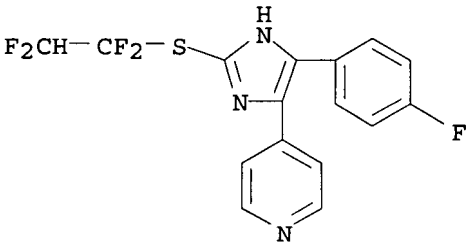
IT 72882-75-8P 72882-76-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of and interleukin 1 formation inhibition by)

RN 72882-75-8 CAPLUS

CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



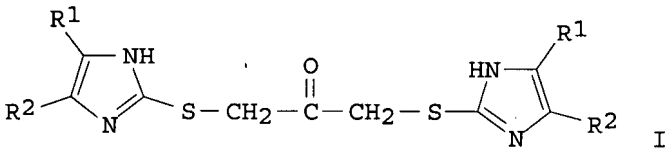
RN 72882-76-9 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-[(1,1,2,2-tetrafluoroethyl)thio]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1989:88621 CAPLUS
DOCUMENT NUMBER: 110:88621
TITLE: Bis(imidazolylthio)propanone derivatives for inhibition of interleukin 1 formation by monocytes and/or macrophages
INVENTOR(S): Bender, Paul Elliot; Griswold, Don Edgar; Hanna, Nabil; Lee, John C.
PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8801168	A1	19880225	WO 1987-US2065	19870817
W: AU, DK, JP				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4778806	A	19881018	US 1986-898447	19860819
AU 8778751	A	19880308	AU 1987-78751	19870817
DK 8801998	A	19880412	DK 1988-1998	19880412
PRIORITY APPLN. INFO.:			US 1986-898447 A	19860819
			WO 1987-US2065 A	19870817

OTHER SOURCE(S): MARPAT 110:88621
GI



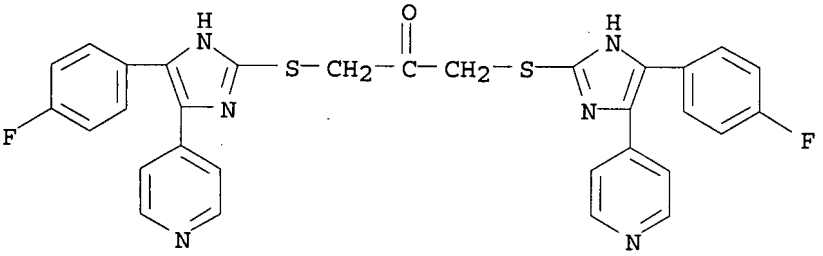
I

AB Interleukin 1 (IL-1) production by human monocytes or macrophages in vivo is modulated by administration of the title compds. (I; 1 of R1,R2 = 4-pyridyl; other of R1,R2 = monohalophenyl). I (R1 = 4-pyridyl, R2 = 4-FC6H4) (II) at 10-6M caused 63% inhibition of bacterial lipopolysaccharide-induced IL-1 production by human peripheral blood monocytes, as measured by the stimulation by IL-1 of interleukin 2 secretion by EL-4 cells in the presence of ionophore A23187, determined by RIA. To prepare II-2HCl, 4-(4-pyridyl)-5-(4-fluorophenyl)-1H-imidazole-2-thione was refluxed with 1,3-dichloropropanone in EtOH for 90 min.

IT 110764-04-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of and interleukin 1 formation by macrophage and monocyte inhibition by)

RN 110764-04-0 CAPLUS

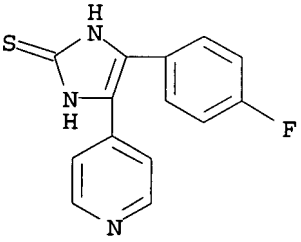
CN 2-Propanone, 1,3-bis[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]- (9CI) (CA INDEX NAME)



IT 72882-75-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with dichloropropanone in interleukin 1 formation inhibitor preparation)

RN 72882-75-8 CAPLUS

CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:522477 CAPLUS
DOCUMENT NUMBER: 109:122477
TITLE: Diarylimidazoles for inhibition of interleukin-1

production by monocytes and/or macrophages

INVENTOR(S): Bender, Paul Elliot; Griswold, Don Edgar; Hanna, Nabil; Lee, John C.
PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA

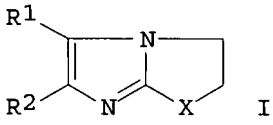
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8801169	A1	19880225	WO 1987-US2014	19870817
W: AU, DK, JP				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4794114	A	19881227	US 1987-63550	19870617
CA 1292694	C	19911203	CA 1987-544320	19870812
AU 8778800	A	19880308	AU 1987-78800	19870817
EP 321490	A1	19890628	EP 1987-905839	19870817
EP 321490	B1	19940622		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 01503782	T	19891221	JP 1987-505257	19870817
DK 8801997	A	19880412	DK 1988-1997	19880412

PRIORITY APPLN. INFO.: US 1986-897909 A 19860819
US 1987-63550 A 19870617
WO 1987-US2014 A 19870817

OTHER SOURCE(S): MARPAT 109:122477

GI



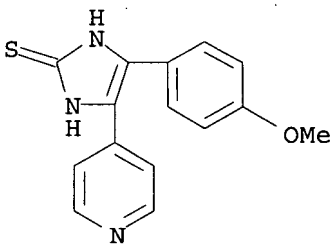
I

AB Interleukin-1 (IL-1) production by human monocytes and/or macrophages in vivo or in vitro is inhibited by administration of a diaryl-substituted imidazole fused to a thiazole, pyrrolidine, or piperidine ring as in I (one of R1, R2 = 4-pyridyl, the other is halophenyl, C1-4- alkoxyphenyl; X = CH2, CH2CH2, SOn; n = 0-2). Administration of I (R1 = 4-pyridyl, R2 = 4-FC6H4, X = S)(II) at 60 mg/kg/day orally to rats on days 1-16 after injection of Freund's complete adjuvant diminished the symptoms of adjuvant-induced arthritis. At 10-5 M, II in vitro provided 99% inhibition of interleukin-1 production by human monocytes.

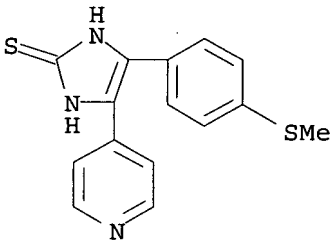
IT 72882-73-6P 72882-74-7P 72882-75-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in fused diarylimidazole preparation as interleukin-1 formation inhibitor)

RN 72882-73-6 CAPLUS

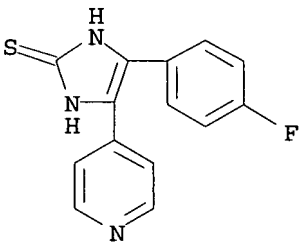
CN 2H-Imidazole-2-thione, 1,3-dihydro-4-(4-methoxyphenyl)-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 72882-74-7 CAPLUS
CN 2H-Imidazole-2-thione, 1,3-dihydro-4-[4-(methylthio)phenyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 72882-75-8 CAPLUS
CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



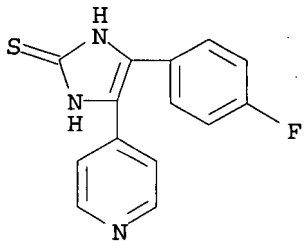
L4 ANSWER 29 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:510288 CAPLUS
DOCUMENT NUMBER: 109:110288
TITLE: Synthetic and mechanistic studies on the preparation of pyridyl-substituted imidazothiazoles
AUTHOR(S): Lantos, Ivan; Gombatz, Kerry; McGuire, Michael; Pridgen, Lendon; Remich, James; Shilcrat, Susan
CORPORATE SOURCE: Div. Chem. Res. Dev., Smith Kline and French Lab., King of Prussia, PA, USA
SOURCE: Journal of Organic Chemistry (1988), 53(18), 4223-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:110288
AB A new method is presented for the introduction of the 4'-pyridyl substituent into 6-aryl-2,3-dihydroimidazo[2,1-b]thiazoles. The method involves treatment of the imidazothiazolines with the reactive complex of pyridine and Et chloroformate and oxidative deethylcarboxylation of the

dihydropyridine adducts formed. Sulfur in refluxing mesitylene was found most suitable for the latter reaction, but CrO3 in pyridine or KOCMe3 and air were also effective.

IT 72882-75-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with dibromomethane)

RN 72882-75-8 CAPLUS

CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1988:131653 CAPLUS

DOCUMENT NUMBER: 108:131653

TITLE: Synthesis of carbon-14-labeled 6-(4-fluorophenyl)-5-(4-pyridyl)-2,3-dihydroimidazo[2,1-b]thiazole

AUTHOR(S): Senderoff, S. G.; Heys, J. R.; Blackburn, D. W.

CORPORATE SOURCE: Radiochem. Dep., SmithKline Beckman Corp., Philadelphia, PA, 19101, USA

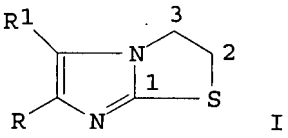
SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals (1987), 24(8), 971-8
CODEN: JLCRD4; ISSN: 0362-4803

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 108:131653

GI



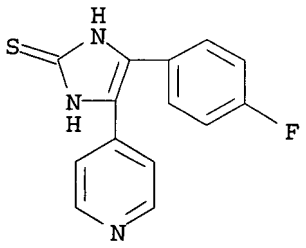
I

AB SKF 86002, the unlabeled title compound (I; R = 4-FC6H4, R1 = 4-pyridyl) (II), a nonsteroidal antiinflammatory agent, was prepared labeled with 14C at C-1 or C-2,3 from either H2N14CONH2 or Br(14CH2)2Br, resp. The synthetic route, involving the condensation of an asym. benzoin with thiourea followed by alkylation, gave a mixture of II and structural isomer SKF 86055, (I; R = 4-pyridyl, R1 = 4-FC6H4). The 2 products were separated easily by flash chromatog. The use of Br(14CH2)2Br as the labeling reagent was superior to the use of H2N14CONH2 in this sequence; the radiolabel was incorporated in the final step of the synthesis by an alkylation, thus providing greatly increased overall radiochem. yields.

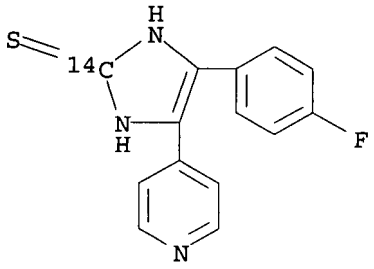
IT 72882-75-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of, with carbon-labeled dibromoethane)

RN 72882-75-8 CAPLUS

CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



IT 113397-60-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkylation of, with dibromoethane)
RN 113397-60-7 CAPLUS
CN 2H-Imidazole-2-thione-2-14C, 4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



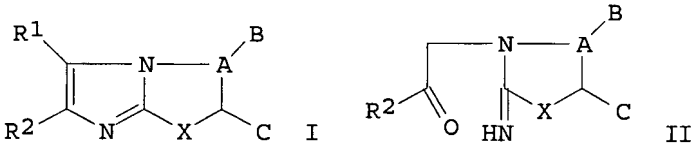
L4 ANSWER 31 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:37829 CAPLUS
DOCUMENT NUMBER: 108:37829
TITLE: Preparation of fused imidazole derivatives as 5-lipoxygenase inhibitors and drugs
PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 64 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62153286	A	19870708	JP 1986-297444	19861212
US 4719218	A	19880112	US 1986-856928	19860428
IL 88669	A	19920715	IL 1986-88669	19860519
DK 8605940	A	19870613	DK 1986-5940	19861210
HU 47106	A2	19890130	HU 1986-5149	19861210
HU 207077	B	19930301		
CA 1296005	C	19920218	CA 1986-524908	19861210
HU 58331	A2	19920228	HU 1988-4359	19861210
HU 58332	A2	19920228	HU 1988-4360	19861210
FI 8605062	A	19870613	FI 1986-5062	19861211
NO 8605011	A	19870615	NO 1986-5011	19861211
EP 231622	A2	19870812	EP 1986-309672	19861211

EP 231622	A3	19890524			
EP 231622	B1	19940824			
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE					
ZA 8609347	A	19871125	ZA 1986-9347		19861211
ES 2056789	T3	19941016	ES 1986-309672		19861211
AU 8666455	A	19870618	AU 1986-66455		19861212
AU 609706	B2	19910509			
CN 86108538	A	19870729	CN 1986-108538		19861212
US 4751310	A	19880614	US 1987-92010		19870922
FI 8903331	A	19890707	FI 1989-3331		19890707
FI 8903332	A	19890707	FI 1989-3332		19890707
FI 8903412	A	19890713	FI 1989-3412		19890713
FI 8903413	A	19890713	FI 1989-3413		19890713
US 5134150	A	19920728	US 1989-445581		19891204
NO 9000368	A	19861124	NO 1990-368		19900126
NO 9000369	A	19870615	NO 1990-369		19900126
AU 9171367	A	19910509	AU 1991-71367		19910225
US 5145858	A	19920908	US 1991-815543		19911226
NO 9201321	A	19870615	NO 1992-1321		19920403
NO 9201322	A	19870615	NO 1992-1322		19920403
NO 9204319	A	19870615	NO 1992-4319		19921110
PRIORITY APPLN. INFO.:			US 1985-808407	A	19851212
			US 1985-808595	A	19851212
			US 1986-856875	A	19860428
			US 1986-856928	A	19860428
			US 1985-737137	A	19850523
			US 1986-856246	A	19860428
			IL 1986-78834	A	19860519
			FI 1986-2164	A	19860522
			NO 1986-2050	A1	19860522
			FI 1986-5062	A	19861211
			NO 1986-5011	A1	19861211
			US 1987-92258	B1	19870902
			US 1987-106199	B1	19871007
			US 1988-255816	A3	19881011
			US 1990-624005	A1	19901207

OTHER SOURCE(S): CASREACT 108:37829; MARPAT 108:37829

GI



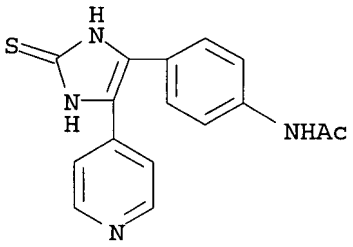
AB The title compds. [I; A = CH, CH2CH; B, C = H, Me, Et, gem-diMe; X = CH2, S(O)n (n = 0-2); R1 = H, Br, pyridyl, mono- or disubstituted Ph; provided X = CH2 or S when R1 = H or X = CH2 when R1 = Br; R2 = pyridyl, mono- or disubstituted Ph] and [II; X = CH2, S; R2 = pyridyl, (mono- or disubstituted)Ph], useful for treatment of 5-lipoxygenase-associated diseases and disorders and as antiinflammatory agents, were prepared A mixture of 0.068 mol 4-Me2NC6H4CHO, 0.068 mol 4-FC6H4CHO and 0.061 KCN in 50% EtOH was refluxed for 3 h to give 3.0 g of a mixed benzoin which reacted with H2NCSNH2 in DMF under reflux to give 0.65 g 4-[4-(N,N-dimethylamino)phenyl]-5-(4-fluorophenyl)-2-mercaptoimidazole. The latter (1.28 mmol) was treated with NaH in DMF for 0.5 h, BrCH2CH2Cl was added, and after 12 h at room temperature 1.28 mmol K2CO3 was added. The mixture was heated at 150° to give I (A = CH, B = C = H, R1 = 4-Me2NC6H4, R2 = 4-FC6H4) and I (A = CH, B = C = H, R1 = 4-FC6H4, and R2 = 4-Me2NC6H4). I (A = CH, B = C = H, R1 = 4-pyridyl, R2 = 4-FC6H4) at 50 mg/kg p.o.

inhibited by 67% arachidonic acid-induced inflammation in rabbits' ears.

IT 111883-53-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with mercaptoimidazole derivative, dihydroimidazothiazole derivative from)

RN 111883-53-5 CAPLUS

CN Acetamide, N-[4-[2,3-dihydro-5-(4-pyridinyl)-2-thioxo-1H-imidazol-4-yl]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 32 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:598331 CAPLUS

DOCUMENT NUMBER: 107:198331

TITLE: Preparation of antiinflammatory imidazole derivatives as 5-lipoxygenase inhibitors

PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA

SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

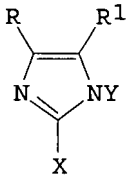
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62153274	A	19870708	JP 1986-297442	19861212
US 4686231	A	19870811	US 1986-856927	19860428
DK 8605938	A	19870613	DK 1986-5938	19861210
EP 236628	A1	19870916	EP 1986-309673	19861211
EP 236628	B1	19921202		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 8609348	A	19871028	ZA 1986-9348	19861211
AT 82968	T	19921215	AT 1986-309673	19861211
AU 8666452	A	19870618	AU 1986-66452	19861212
AU 586907	B2	19890727		

PRIORITY APPLN. INFO.: US 1985-808395 A 19851212
US 1986-856927 A 19860428
EP 1986-309673 A 19861211

OTHER SOURCE(S): MARPAT 107:198331

GI



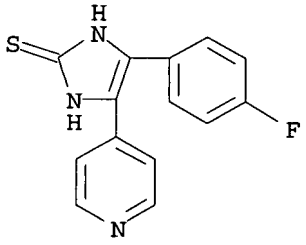
I

AB The title compds. (I; X = NHCN, NH2; Y = H, cyano; R, R1 = pyridyl, halophenyl, alkoxyphenyl; provided X = NH2 when Y = cyano; X = NHCN when Y = H) and their pharmaceutically acceptable salts, which inhibit 5-lipoxygenase and are useful for treatment of rheumatoid arthritis, were prepared 2-Bromoanisoin and H2NC(:NH)NHCN in DMF were allowed to react for 96 h to give I (X = NH2, Y = cyano, R = R1 = p-MeOC6H4, or X = NHCN, Y = H, R = R1 = p-MeOC6H4) (the structure was not determined). I inhibited arachidonic acid-induced inflammation in mouse ears and inhibited the production of leukotriene C4 in human leukocytes in vitro. Oral or nasal sprays, eye drops, injection ointments, and lotion compns. containing I were described.

IT 72882-75-8P 72882-76-9P 111145-48-3P
111145-50-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiarthritic)

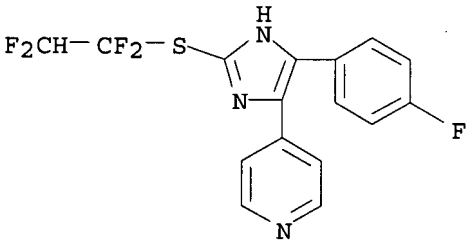
RN 72882-75-8 CAPLUS

CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



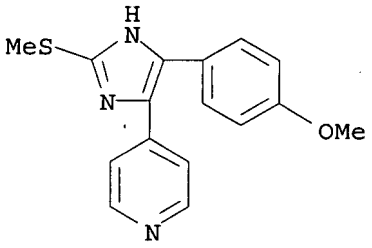
RN 72882-76-9 CAPLUS

CN Pyridine, 4-[5-(4-fluorophenyl)-2-[(1,1,2,2-tetrafluoroethyl)thio]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

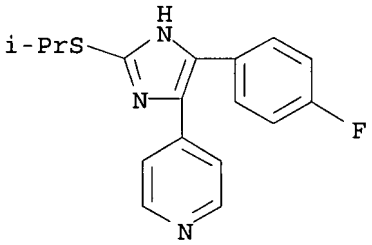


RN 111145-48-3 CAPLUS

CN Pyridine, 4-[5-(4-methoxyphenyl)-2-(methylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



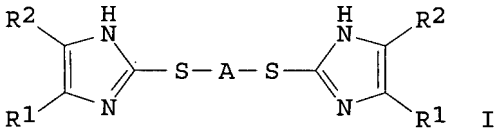
RN 111145-50-7 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-[(1-methylethyl)thio]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 33 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:576041 CAPLUS
DOCUMENT NUMBER: 107:176041
TITLE: Preparation of alkylenebis(thioimidazole) derivatives as inflammation inhibitors
INVENTOR(S): Bender, Paul Elliot; Hill, David Taylor
PATENT ASSIGNEE(S): SmithKline Beckman Corp., USA
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 229496	A1	19870722	EP 1986-309674	19861211
EP 229496	B1	19900627		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4728656	A	19880301	US 1986-856735	19860428
DK 8605939	A	19870613	DK 1986-5939	19861210
ZA 8609349	A	19871028	ZA 1986-9349	19861211
AT 54144	T	19900715	AT 1986-309674	19861211
AU 8666453	A	19870618	AU 1986-66453	19861212
AU 586056	B2	19890629		
JP 62153285	A	19870708	JP 1986-297443	19861212
JP 07002737	B	19950118		
US 4847270	A	19890711	US 1987-119117	19871110
PRIORITY APPLN. INFO.:			US 1985-808396 A	19851212
			US 1986-856735 A	19860428
			EP 1986-309674 A	19861211

OTHER SOURCE(S): CASREACT 107:176041; MARPAT 107:176041
GI



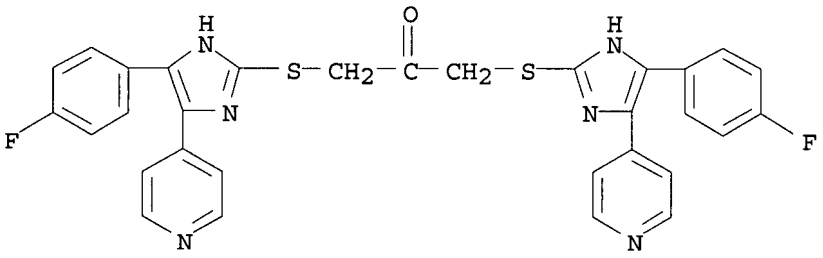
I

AB The title compds. (I; R1, R2 = pyridyl, or one is pyridyl and the other halophenyl; A = CH2CH2, CH2COCH2) were prepared as cyclooxygenase and lipoxygenase inhibitors. Thiourea was refluxed with 1-(4-fluorophenyl)-2-hydroxy-2-(4-pyridyl)ethanone in DMF for 4h and the resulting 4-(4-pyridyl)-5-(4-fluorophenyl)-[1H]-imidazole-2-thione was refluxed with Cl(CH2)3Cl in EtOH for 90 min to give II.2HCl. II inhibited 5-HETE production by RBL-1 cells with an IC50 of 1-7 μM. An injection may be prepared containing 10 weight% I, 10 volume% propylene glycol, and H2O q.s.

IT 110764-04-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inflammation inhibitor)

RN 110764-04-0 CAPLUS

CN 2-Propanone, 1,3-bis[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-imidazol-2-yl]thio]- (9CI) (CA INDEX NAME)



L4 ANSWER 34 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:4948 CAPLUS

DOCUMENT NUMBER: 106:4948

TITLE: Bioisosteric prototype design of biaryl imidazolyl and triazolyl competitive histamine H2-receptor antagonists

AUTHOR(S): Lipinski, Christopher A.; LaMattina, John L.; Oates, P. J.

CORPORATE SOURCE: Cent. Res., Pfizer Inc., Groton, CT, 06340, USA

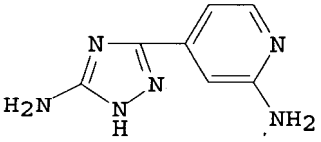
SOURCE: Journal of Medicinal Chemistry (1986), 29(11), 2154-63
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

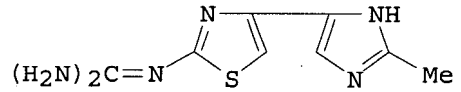
LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:4948

GI



I



II

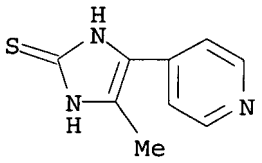
AB The structural relationship of the competitive histamine H2-receptor

antagonist 3-amino-5-(3-amino-4-pyridyl)-1,2,4-triazole (I) to the agonist histamine and to antagonists of the cimetidine type was explored by the design and synthesis of 4 series of bioisosterically designed prototypes. Biol. data from these series were best interpreted as indicating a similarity between the imidazole moiety of histamine and cimetidine and the 2-amino-4-pyridyl moiety of I. Based on these data, sequential replacement of 2-amino-4-pyridyl by 2-[(dimethylamino)methyl]-5-furyl and 2-guanidino-4-triazolyl moieties led to a more potent series of histamine H2-receptor antagonists. The best of these, 2-methyl-4-(2-guanidino-4-thiazolyl)imidazole (II), was 120 times more potent as a histamine H2-receptor antagonist than I.

IT 103851-90-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and dethiolation of)

RN 103851-90-7 CAPLUS

CN 2H-Imidazole-2-thione, 1,3-dihydro-4-methyl-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 35 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1984:17343 CAPLUS

DOCUMENT NUMBER: 100:17343

TITLE: Antiinflammatory activity of 5,6-diaryl-2,3-dihydroimidazo[2,1-b]thiazoles. Isomeric 4-pyridyl and 4-substituted-phenyl derivatives

AUTHOR(S): Lantos, I.; Bender, P. E.; Razgaitis, K. A.; Sutton, B. M.; DiMartino, M. J.; Griswold, D. E.; Walz, D. T.

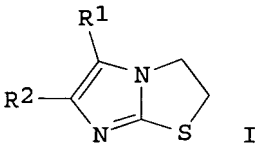
CORPORATE SOURCE: Res. Dev. Div., Smith Kline and French Lab., Philadelphia, PA, 19101, USA

SOURCE: Journal of Medicinal Chemistry (1984), 27(1), 72-5
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



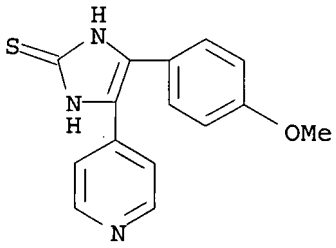
I

AB The title compds. I (R1 and R2 = Ph, 4-substituted Ph, and pyridyl) prepared by condensation of appropriately substituted α-bromoketones with 2-aminothiazoline [1779-81-3] and from 1-(benzoyloxy)-1-(4-pyridyl)acetonitrile [72873-67-7] by stepwise reactions were evaluated for antiinflammatory activity using an adjuvant arthritic rat assay system. Immunoregulatory activity was evaluated using low-grade contact sensitivity to oxazolone in C52B1/6 mice. 6-Aryl-5-(4-pyridyl)imidazo[2,1-b]thiazoles showed higher antiinflammatory and immunoregulatory activities

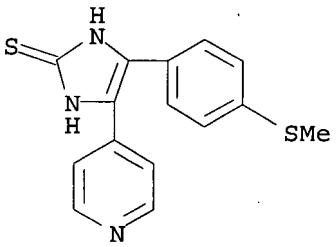
than the 5-aryl isomers. A drug-receptor complex is proposed that requires at least 3 sites of interactions.

IT 72882-73-6P 72882-74-7P 72882-75-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cyclization with dibromoethane)

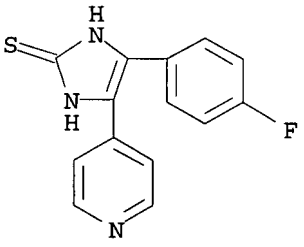
RN 72882-73-6 CAPLUS
CN 2H-Imidazole-2-thione, 1,3-dihydro-4-(4-methoxyphenyl)-5-(4-pyridinyl)-(9CI) (CA INDEX NAME)



RN 72882-74-7 CAPLUS
CN 2H-Imidazole-2-thione, 1,3-dihydro-4-[4-(methylthio)phenyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)

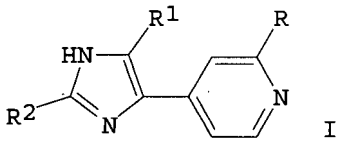


RN 72882-75-8 CAPLUS
CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)-(9CI) (CA INDEX NAME)



L4 ANSWER 36 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1983:522374 CAPLUS
DOCUMENT NUMBER: 99:122374
TITLE: The synthesis of 2-amino-4-(4-imidazolyl)pyridines
AUTHOR(S): LaMattina, John L.
CORPORATE SOURCE: Cent. Res., Pfizer, Inc., Groton, CT, 06340, USA
SOURCE: Journal of Heterocyclic Chemistry (1983), 20(3), 533-8
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:122374
GI



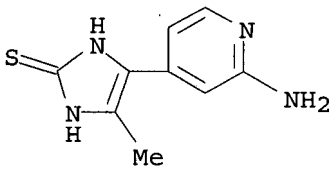
I

AB A general synthetic scheme for the preparation of 2-amino-4-(4-imidazolyl)pyridines I (R = NH2, NHEt, NMe2; R1 = H, Me; R2 = H, NH2, Me), potential histamine H2 antagonists, is based on the Neber rearrangement of 1-(4-pyridyl)-1-alkanone oxime O-tosylates to α-amino ketones or α-amino ketals, which are then converted to the imidazoles. The reaction of Grignard reagents with 2-chloroisonicotinonitrile, as well as nucleophilic displacement of Cl by amines on 2-chloroisonicotinonitrile and derivs., are discussed in relation to the preparation of the ketone intermediates.

IT 87121-62-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

RN 87121-62-8 CAPLUS

CN 2H-Imidazole-2-thione, 4-(2-amino-4-pyridinyl)-1,3-dihydro-5-methyl- (9CI) (CA INDEX NAME)

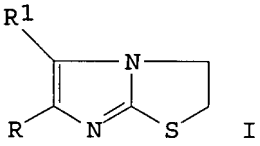


L4 ANSWER 37 OF 37 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1980:94397 CAPLUS
DOCUMENT NUMBER: 92:94397
TITLE: Pyridyl-substituted 2,3-dihydroimidazo[2,1-b]thiazoles
INVENTOR(S): Bender, Paul E.; Lantos, Ivan
PATENT ASSIGNEE(S): Smithkline Corp., USA
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4175127	A	19791120	US 1978-946260	19780927
IN 152859	A1	19840421	IN 1979-DE559	19790804
ZA 7904045	A	19800924	ZA 1979-4045	19790806
FI 7902969	A	19800328	FI 1979-2969	19790924
FI 65435	B	19840131		
FI 65435	C	19840510		

AU 7951117	A	19800403	AU 1979-51117		19790924
AU 523815	B2	19820819			
CS 208153	B2	19810831	CS 1979-6453		19790924
DK 7904008	A	19800328	DK 1979-4008		19790925
DK 146339	B	19830912			
DK 146339	C	19840220			
NO 7903076	A	19800328	NO 1979-3076		19790925
NO 150839	B	19840917			
NO 150839	C	19850109			
RO 77750	A1	19811124	RO 1979-98759		19790925
IL 58309	A	19840330	IL 1979-58309		19790925
ES 484450	A1	19800516	ES 1979-484450		19790926
EP 11111	A1	19800528	EP 1979-103659		19790926
EP 11111	B1	19820922			
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
DD 146293	A5	19810204	DD 1979-215827		19790926
PL 117755	B1	19810831	PL 1979-218526		19790926
SU 940649	A3	19820630	SU 1979-2818061		19790926
CA 1128947	A1	19820803	CA 1979-336397		19790926
AT 1587	T	19821015	AT 1979-103659		19790926
HU 25097	A2	19830530	HU 1979-SI1723		19790926
HU 182595	B	19840228			
JP 55045700	A	19800331	JP 1979-125240		19790927
JP 61057833	B	19861209			
PRIORITY APPLN. INFO.:			US 1978-946260	A	19780927
			EP 1979-103659	A	19790926

OTHER SOURCE(S): MARPAT 92:94397
GI



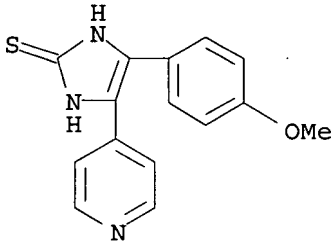
I

AB 2-Imidazolidinethiones reacted with 1,2-dihaloethanes to yield title compds. I [R and R1 (same or different) are pyridyl, Ph, alkoxy, alkyl-, alkylthio-, chloro-, fluoro-, bromom-, or (trifluoromethyl)phenyl; at least one of R and R1 is pyridyl], useful in the treatment of arthritis (no data). Thus, 4-(4-methoxyphenyl)-5-(4-pyridyl)-2-mercaptoimidazole (II) was treated with BrCH2CH2Cl and NaH in DMF to give a mixture of I (R = 4-MeOC6H4, R1 = 4-pyridyl) and I (R = 4-pyridyl, R1 = 4-MeOC6H4). The cyclocondensation of 2-(4-methoxyphenyl)-2-hydroxy-1-(4-pyridinyl)ethanone with thiourea in DMF gave II.

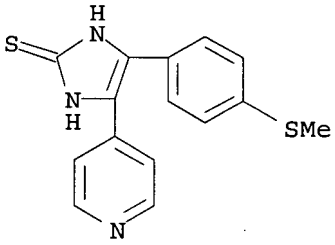
IT 72882-73-6P 72882-74-7P 72882-75-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation reaction of, with ethylene dihalide)

RN 72882-73-6 CAPLUS

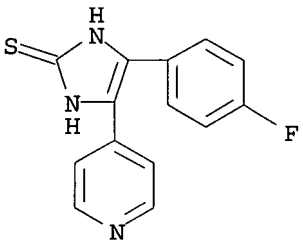
CN 2H-Imidazole-2-thione, 1,3-dihydro-4-(4-methoxyphenyl)-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



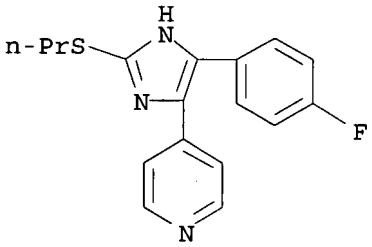
RN 72882-74-7 CAPLUS
CN 2H-Imidazole-2-thione, 1,3-dihydro-4-[4-(methylthio)phenyl]-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



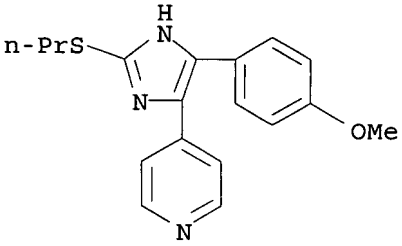
RN 72882-75-8 CAPLUS
CN 2H-Imidazole-2-thione, 4-(4-fluorophenyl)-1,3-dihydro-5-(4-pyridinyl)- (9CI) (CA INDEX NAME)



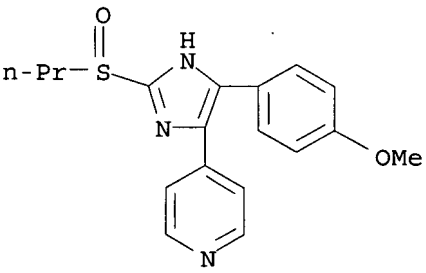
IT 72873-79-1P 72873-80-4P 72873-81-5P
72873-82-6P 72873-83-7P 72873-84-8P
72873-89-3P 72873-90-6P 72873-91-7P
72882-76-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 72873-79-1 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-(propylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 72873-80-4 CAPLUS
CN Pyridine, 4-[5-(4-methoxyphenyl)-2-(propylthio)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 72873-81-5 CAPLUS
CN Pyridine, 4-[5-(4-methoxyphenyl)-2-(propylsulfinyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 72873-82-6 CAPLUS
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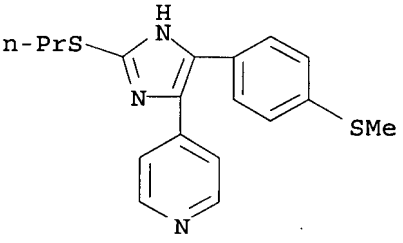
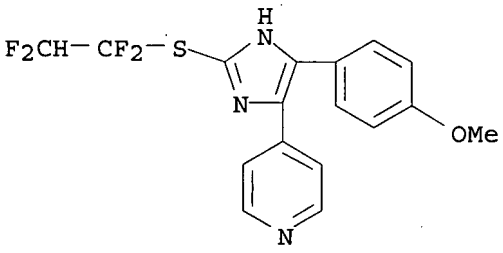


RN 72873-83-7 CAPLUS
CN Pyridine, 4-[5-(4-methoxyphenyl)-2-[(1,1,2,2-tetrafluoroethyl)thio]-1H-

imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 72873-84-8 CAPLUS
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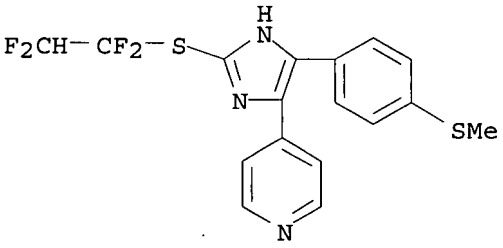
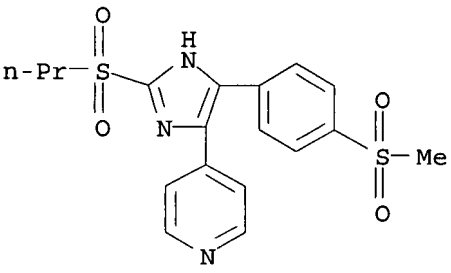


RN 72873-89-3 CAPLUS
CN Pyridine, 4-[5-[4-(methylsulfonyl)phenyl]-2-(propylsulfonyl)-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



RN 72873-90-6 CAPLUS
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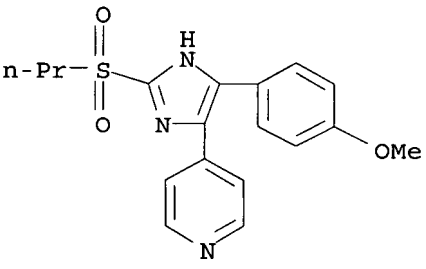
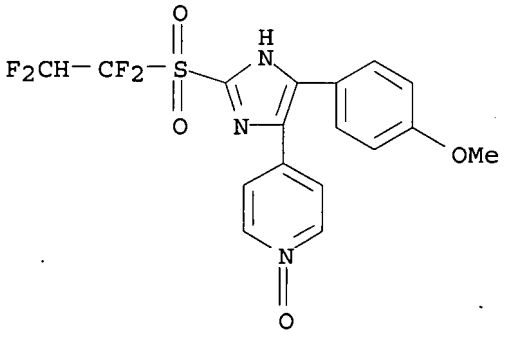
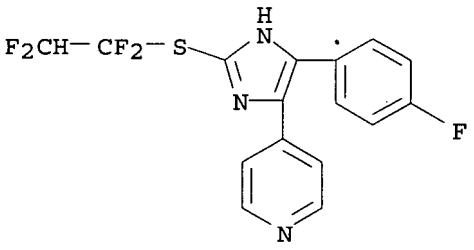


RN 72873-91-7 CAPLUS
CN Pyridine, 4-[5-(4-methoxyphenyl)-2-[(1,1,2,2-tetrafluoroethyl)sulfonyl]-1H-imidazol-4-yl]-, 1-oxide (9CI) (CA INDEX NAME)



RN 72882-76-9 CAPLUS
CN Pyridine, 4-[5-(4-fluorophenyl)-2-[(1,1,2,2-tetrafluoroethyl)thio]-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)



=> => file uspatall
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CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 09:49:01 ON 22 MAY 2007
CA INDEXING COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

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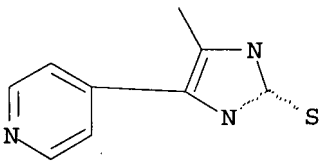
L1 STR



G1 Cb,Ak

Structure attributes must be viewed using STN Express query preparation.
L3 189 SEA FILE=REGISTRY SSS FUL L1
L5 16 SEA L3

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L5 ANSWER 1 OF 16 USPATFULL on STN

ACCESSION NUMBER:	2006:295640 USPATFULL
TITLE:	2-Thio-substituted imidazole derivatives and their use in pharmaceutics
INVENTOR(S):	Laufer, Stefan, Blaubeuren, GERMANY, FEDERAL REPUBLIC OF Striegel, Hans-Guenter, Blaustein, GERMANY, FEDERAL REPUBLIC OF Albrecht, Wolfgang, Ulm, GERMANY, FEDERAL REPUBLIC OF Tollmann, Karola, Brechen, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2006252810	A1	20061109	
APPLICATION INFO.:	US 2003-514911	A1	20030516	(10)
	WO 2003-EP5172		20030516	
			20050510	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2002-10222103	20020517

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	ROTHWELL, FIGG, ERNST & MANBECK, P.C., 1425 K STREET, N.W., SUITE 800, WASHINGTON, DC, 20005, US
NUMBER OF CLAIMS:	17
EXEMPLARY CLAIM:	1
LINE COUNT:	1743

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to 2-thio-substituted imidazole derivatives of the formula I ##STR1## in which the radicals R.sub.1, R.sub.2, R.sub.3, R.sub.4 and p are as defined in the description. The compounds according to the invention have immunomodulating and/or cytokine-release-inhibiting action and are therefore suitable for treating disorders associated with a disturbed immune system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 220113-15-5P 627080-51-7P 627080-52-8P
627080-53-9P 627080-54-0P 627080-55-1P
627080-56-2P 627080-57-3P 627080-58-4P
627080-59-5P 627080-60-8P 627080-61-9P 627080-62-0P
627080-63-1P 627080-64-2P 627080-65-3P 627080-66-4P 627080-67-5P
627080-71-1P 627080-72-2P 627080-73-3P 627080-74-4P 627080-75-5P
627080-76-6P 627080-77-7P 627080-78-8P 627080-79-9P 627080-80-2P
627080-81-3P 627080-91-5P 627080-92-6P 627080-93-7P 627081-02-1P
627081-04-3P 627081-05-4P
(preparation of 2-thio-substituted imidazole derivs. as immunomodulators)

IT 62-53-3, Aniline, reactions 71-00-1, L-Histidine, reactions 75-31-0, Isopropylamine, reactions 96-32-2, Methyl bromoacetate 99-98-9, 4-Dimethylaminobenzeneamine 100-46-9, Benzylamine, reactions 105-36-2, Ethyl bromoacetate 107-10-8, 1-Propanamine, reactions 108-91-8, Cyclohexylamine, reactions 459-22-3, 4-Fluorophenylacetonitrile 462-08-8, 3-Pyridinamine 685-87-0, Diethyl bromomalonate 924-73-2, .β.-Alanine ethyl ester 1117-71-1, Methyl 4-bromocrotonate 1570-45-2, Ethyl isonicotinate 1709-06-4, 2-Chloro-N,N-bis(2-hydroxyethyl)acetamide 4704-77-2, 1-Bromo-2,3-propanediol 4897-84-1, Methyl 4-bromobutyrate 5061-21-2, 3-Bromotetrahydrofuran-2-one 5454-83-1, Methyl 5-bromopentanoate 5460-29-7, N-(3-Bromopropyl)phthalimide 6525-53-7, L-Glutamic acid dimethyl ester 57823-64-0, 2,2,6,6-Tetramethyl-4-methyleneaminopiperidine 111830-28-5, 2-Bromo-4-hydroxybutyric acid

452056-68-7 452056-88-1
(preparation of 2-thio-substituted imidazole derivs. as immunomodulators)
IT 72882-75-8P 115858-98-5P 115858-99-6P 115859-01-3P
452056-11-0P 452056-12-1P 452056-13-2P 452056-14-3P
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452056-22-3P 452056-23-4P 452056-24-5P
452056-25-6P 452056-26-7P 452056-27-8P
452056-28-9P 452056-29-0P 452056-30-3P
452056-31-4P 452056-32-5P 452056-33-6P
502493-48-3P, 2-(4-Fluorophenyl)-3-hydroxy-3-(pyridin-4-yl)acrylonitrile
627080-96-0P 627080-97-1P 627081-06-5P 627081-07-6P
627081-08-7P
(preparation of 2-thio-substituted imidazole derivs. as immunomodulators)

L5 ANSWER 2 OF 16 USPATFULL on STN
ACCESSION NUMBER: 2006:275257 USPATFULL
TITLE: 2-Thio-substituted imidazole derivatives and their use in pharmaceutics
INVENTOR(S): Laufer, Stefan, Bleubeuren, GERMANY, FEDERAL REPUBLIC OF
Striegel, Hans-Gunter, Blaustein, GERMANY, FEDERAL REPUBLIC OF
Tollmann, Karola, Brechen, GERMANY, FEDERAL REPUBLIC OF
Albrecht, Wolfgang, Ulm, GERMANY, FEDERAL REPUBLIC OF
PATENT ASSIGNEE(S): MERCKLE-GMBH, Ulm, GERMANY, FEDERAL REPUBLIC OF, 89079 (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2006235054	A1	20061019	
APPLICATION INFO.:	US 2003-524486	A1	20030820	(10)
	WO 2003-EP9219		20030820	
			20051117	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2002-10238045	20020820

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: C. IRVIN MCCLELLAND, OBLON, SPIVAK, MCCLELLAND, MAIER & NEUSTADT, P.C., 1940 DUKE STREET, ALEXANDRIA, VA, 22314, US
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1
LINE COUNT: 2508
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention relates to 2-thio-substituted imidazole derivatives of the formula I ##STR1## in which the radicals R.sup.1, R.sup.2 R.sup.3 and m are as defined in the description. The compounds according to the invention have immunomodulating and/or cytokine-release-inhibiting action and are therefore suitable for treating disorders associated with a disturbed immune system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 549505-59-1P, 2-Fluoro-4-[5-(4-fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridine 549505-63-7P, 4-[5-(4-Fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]-1H-pyridin-2-one 549505-65-9P 581098-43-3P, 2-Chloro-4-[5-(4-fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridine 581098-44-4P, Benzyl[4-[5-(4-fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridin-2-yl]amine 581098-45-5P, 4-[5-(4-Fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]-2-methoxypyridine 581098-46-6P, 4-[5-(4-Fluorophenyl)-2-

methylsulfanyl-3H-imidazol-4-yl]-2-isopropoxypyridine
581098-47-7P 581098-48-8P 581098-49-9P
581098-50-2P 581098-51-3P 581098-52-4P,
(3,4-Dichlorobenzyl)[4-[5-(4-fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridin-2-yl]amine 581098-53-5P 581098-54-6P
581098-55-7P, Benzyl[4-[5-(4-fluorophenyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridin-2-yl]methylamine 581098-56-8P,
4-[2-Benzylsulfanyl-5-(4-fluorophenyl)-3H-imidazol-4-yl]-2-fluoropyridine
581098-57-9P, 4-[2-Benzylsulfanyl-5-(4-fluorophenyl)-3H-imidazol-4-yl]-2-chloropyridine 581098-59-1P, Benzyl[4-[2-benzylsulfanyl-5-(4-fluorophenyl)-3H-imidazol-4-yl]pyridin-2-yl]amine
581098-60-4P, 2-Fluoro-4-[5-(4-fluorophenyl)-2-(4-methansulfinylbenzylsulfanyl)-3H-imidazol-4-yl]pyridine
581098-61-5P, 2-Chloro-4-[5-(4-fluorophenyl)-2-(4-methansulfinylbenzylsulfanyl)-3H-imidazol-4-yl]pyridine
581098-62-6P 581098-63-7P, Benzyl[4-[5-(4-fluorophenyl)-2-(4-methansulfinylbenzylsulfanyl)-3H-imidazol-4-yl]pyridin-2-yl]amine
581098-64-8P 667398-34-7P 667398-35-8P,
4-[2-Benzylsulfanyl-5-(4-fluorophenyl)-3H-imidazol-4-yl]-2-methoxypyridine
(preparation of methylsulfanylimidazoles and related compds. as immunomodulators)

IT 874-87-3P, 1-Chloromethyl-4-methylsulfanylbenzene 4796-23-0P
6638-79-5P, N,O-Dimethylhydroxylamine hydrochloride 7296-67-5P,
4-(3-Chloropropyl)benzenesulfonyl chloride 32318-42-6P,
2-Hydroxy-5-methylsulfanylbenzoic acid 40517-43-9P 55664-67-0P
55664-68-1P 58472-47-2P 58765-12-1P, 2-Hydroxy-5-mercaptobenzoic acid
59209-72-2P, 1-(3-Chloropropyl)-4-methylsulfanylbenzene 60638-81-5P,
5-Chlorosulfonyl-2-hydroxybenzoic acid methyl ester 67868-84-2P,
2-Hydroxy-5-methylsulfanylbenzaldehyde 68029-68-5P,
5-Chloro-2-hydroxy-3-methylsulfanylbenzoic acid 72882-75-8P,
4-(4-Fluorophenyl)-5-pyridin-4-yl-1,3-dihydroimidazole-2-thione
87346-53-0P, 4-Methoxy-3-methylsulfanylbenzoic acid 115858-98-5P,
2-(4-Fluorophenyl)-1-pyridin-4-ylethanone 115859-01-3P,
2-(4-Fluorophenyl)-1-pyridin-4-ylethanone oxime 116332-54-8P,
4-Fluoro-N-methoxy-N-methylbenzamide 158876-69-8P, 2-(2-Chloropyridin-4-yl)-1-(4-fluorophenyl)ethanone 158876-70-1P, 2-(2-Bromopyridin-4-yl)-1-(4-fluorophenyl)ethanone 220113-44-0P 262589-68-4P,
4-[5-(4-Fluorophenyl)-2-(3-methylsulfanylbenzylsulfanyl)-1H-imidazol-4-yl]pyridine 262589-69-5P, 4-[5-(4-Fluorophenyl)-2-(3-methansulfinylbenzylsulfanyl)-1H-imidazol-4-yl]pyridine
262589-70-8P, 4-[5-(4-Fluorophenyl)-2-(2-methansulfinylbenzylsulfanyl)-1H-imidazol-4-yl]pyridine
262589-71-9P 262589-72-0P 262589-73-1P
262589-74-2P 262589-75-3P 262589-77-5P
262589-78-6P 262589-79-7P, 3-Chlorosulfonyl-4-methoxybenzoic acid ethyl ester 262589-81-1P, 4-Hydroxy-3-methylsulfanylbenzoic acid
262589-83-3P, 4-Hydroxymethyl-2-methylsulfanylphenol 262589-84-4P,
4-Chloro-2-hydroxymethyl-6-methylsulfanylphenol 262589-85-5P,
2-Hydroxymethyl-4-methylsulfanylphenol 302839-09-4P,
1-(4-Fluorophenyl)-2-(2-fluoropyridin-4-yl)ethanone 457081-00-4P
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475585-56-9P 475585-57-0P 475585-58-1P
475585-59-2P, 4-[2-Benzylsulfanyl-5-(4-fluorophenyl)-1H-imidazol-4-yl]pyridine 475585-61-6P, 4-[5-(4-Fluorophenyl)-2-phenethylsulfanyl-1H-imidazol-4-yl]pyridine 475585-62-7P,
4-[5-(4-Fluorophenyl)-2-(3-phenylpropylsulfanyl)-1H-imidazol-4-yl]pyridine 475585-63-8P 475585-64-9P,
4-[2-Cyclohexylmethylsulfanyl-5-(4-fluorophenyl)-1H-imidazol-4-yl]pyridine 475585-65-0P, 4-[5-(4-Fluorophenyl)-2-methylsulfanyl-1H-imidazol-4-yl]pyridine 475585-66-1P,

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(preparation of methylsulfanylimidazoles and related compds. as immunomodulators)

L5 ANSWER 3 OF 16 USPATFULL on STN

ACCESSION NUMBER:	2006:125285 USPATFULL
TITLE:	Tetracyclic inhibitors of Janus kinases
INVENTOR(S):	Rodgers, James D., Landenberg, PA, UNITED STATES Robinson, Darius J., Wilmington, DE, UNITED STATES Arvanitis, Argrios G., Kennett Square, PA, UNITED STATES Maduskuie, Thomas P. JR., Wilmington, DE, UNITED STATES Shepard, Stacey, Voorhees, NJ, UNITED STATES Storace, Louis, Middletown, DE, UNITED STATES Wang, Haisheng, Hockessin, DE, UNITED STATES Rafalski, Maria, Wilmington, DE, UNITED STATES Jalluri, Ravi Kumar, Avondale, PA, UNITED STATES Combs, Andrew P., Kennett Square, PA, UNITED STATES Crawley, Matthew L., Swathmore, PA, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2006106020	A1	20060518	
APPLICATION INFO.:	US 2005-115702	A1	20050427	(11)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2004-566142P	20040428	(60)
	US 2004-626111P	20041108	(60)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		

LEGAL REPRESENTATIVE: COZEN O' CONNOR, P.C., 1900 MARKET STREET, PHILADELPHIA, PA, 19103-3508, US
NUMBER OF CLAIMS: 54
EXEMPLARY CLAIM: 1
LINE COUNT: 6664
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides compounds that modulate the activity of Janus kinases and are useful in the treatment of diseases related to activity of Janus kinases including, for example, immune-related diseases and cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 4319-87-3P, 5-Bromo-4-methoxy-6-methylpyrimidine 79606-44-3P, 4-Fluoro-N,N-diisopropylbenzamide 105207-66-7P, 4-(Acetyloxy)cyclohexanecarboxylic acid 216506-68-2P, 2-Fluoro-4-[3-(4-fluorophenyl)-1H-pyrazol-4-yl]pyridine 302839-10-7P, 2-Bromo-1-(4-fluorophenyl)-2-(2-fluoropyridin-4-yl)ethanone 581098-40-0P, 4-(4-Fluorophenyl)-5-(2-hydroxypyridin-4-yl)-1,3-dihydro-2H-imidazole-2-thione 677319-94-7P, 3-(Dimethylamino)-1-(4-fluorophenyl)-2-(2-fluoropyridin-4-yl)prop-2-en-1-one 742078-83-7P, 2-Fluoro-4-[4-(4-fluorophenyl)-1,3-thiazol-5-yl]pyridine 859984-02-4P, 4-(2-Diazoacetyl)cyclohexyl acetate 868992-87-4P, 4-[4-(4-Fluorophenyl)-2-(piperidin-1-yl)-1,3-thiazol-5-yl]pyridin-2(1H)-one 868992-95-4P, 4-[3-(4-Fluorophenyl)-1H-pyrazol-4-yl]pyridin-2(1H)-one 868992-97-6P, 4-[4-(4-Fluorophenyl)-2-(piperidin-1-yl)-1,3-oxazol-5-yl]pyridin-2(1H)-one 868992-99-8P, N,N-Diethyl-4-fluoro-2-(3-methylpyridin-2-yl)benzamide 868993-00-4P, 9-Fluorobenzo[h]quinol-6(5H)-one 868993-01-5P, 9-Fluorobenzo[h]quinoline-5,6-dione 868993-05-9P, 3-Chloro-5-iodo-6-methoxy-4-methylpyridazine 868993-06-0P, [2-[(Diethylamino)carbonyl]-5-fluorophenyl]boronic acid 868993-07-1P, 2-(6-Chloro-3-methoxy-5-methylpyridazin-4-yl)-N,N-diethyl-4-fluorobenzamide 868993-08-2P, 4-Chloro-9-fluoro-1-methoxybenzo[f]phthalazin-6-ol 868993-09-3P, 9-Fluoro-1-methoxybenzo[f]phthalazin-6-ol 868993-10-6P, 9-Fluoro-1-methoxybenzo[f]phthalazine-5,6-dione 5-oxime 868993-17-3P 868993-18-4P, Di-tert-Butyl [5-[2-[(diethylamino)carbonyl]-5-fluorophenyl]-4-methoxy-6-methylpyrimidin-2-yl]imidodicarbonate 868993-19-5P, tert-Butyl (9-fluoro-6-hydroxy-1-methoxybenzo[f]quinazolin-3-yl)carbamate 868993-20-8P, tert-Butyl [9-fluoro-5-(hydroxyimino)-1-methoxy-6-oxo-5,6-dihydrobenzo[f]quinazolin-3-yl]carbamate 868993-21-9P, 5-Amino-2-tert-butyl-9-fluoro-7-methoxy-3H-benzo[f]imidazo[4,5-h]quinazolin-3-ol 868993-25-3P, N,N-Diethyl-4-fluoro-2-(4-methoxy-6-methylpyrimidin-5-yl)benzamide 868993-26-4P, 9-Fluoro-1-methoxybenzo[f]quinazolin-6-ol 868993-27-5P, 9-Fluoro-1-methoxybenzo[f]quinazoline-5,6-dione 5-oxime 868993-32-2P 868993-33-3P, 9-Fluorobenzo[h]isoquinolin-6-ol 868993-34-4P, (3E)-9-Fluorobenzo[h]isoquinoline-5,6-dione 5-oxime 868993-38-8P, [4-(4-Fluorophenyl)-5-(2-fluoropyridin-4-yl)-1,3-thiazol-2-yl](pyridin-3-yl)methanol 868993-39-9P, 4-[4-(4-Fluorophenyl)-2-[(hydroxy)(pyridin-3-yl)methyl]-1,3-thiazol-5-yl]pyridin-2(1H)-one 868993-42-4P, 4-[4-(4-Fluorophenyl)-2-(piperazin-1-yl)-1,3-thiazol-5-yl]pyridin-2(1H)-one trifluoroacetate 868993-44-6P, 4-[4-(4-Fluorophenyl)-2-[4-[(1H-imidazol-4-yl)carbonyl]piperazin-1-yl]-1,3-thiazol-5-yl]pyridin-2(1H)-one trifluoroacetate 868993-81-1P, 4-[2-(Ethylthio)-4-(4-fluorophenyl)-1H-imidazol-5-yl]pyridin-2-ol 868993-88-8P, 3-[[4-(4-Fluorophenyl)-5-(2-hydroxypyridin-4-yl)-1H-imidazol-2-yl]thio]pentane-2,4-dione 868993-89-9P, 4-[2-[(3,5-Dimethyl-4H-pyrazol-4-yl)thio]-4-(4-fluorophenyl)-1H-imidazol-5-yl]pyridin-2-ol 868993-91-3P, Ethyl 4-[[4-(4-fluorophenyl)-5-(2-oxo-1,2-dihydropyridin-4-yl)-1H-imidazol-2-yl]thio]-3-oxobutanoate 868993-92-4P, 4-[4-(4-Fluorophenyl)-2-[[(5-oxo-4,5-dihydro-1H-pyrazol-3-yl)methyl]thio]-1H-imidazol-5-yl]pyridin-2(1H)-one 868993-97-9P, 4-[4-(4-Fluorophenyl)-2-(phenylthio)-1H-imidazol-5-

yl]pyridin-2(1H)-one 868995-81-7P, [2-[(Diisopropylamino)carbonyl]-5-fluorophenyl]boronic acid 868995-82-8P, 2-(4-Aminopyridin-3-yl)-4-fluoro-N,N-diisopropylbenzamide 868995-83-9P, 9-Fluorobenzo[c]-1,6-naphthyridin-6(5H)-one 868995-84-0P, 5-(3,3-Dimethyl-2-oxobutyl)-9-fluorobenzo[c]-1,6-naphthyridin-6(5H)-one 868995-85-1P, 5-(3,3-Dimethyl-2-oxobutyl)-9-fluorobenzo[c]-1,6-naphthyridin-6(5H)-one 2-oxide 868995-86-2P, 5-(3,3-Dimethyl-2-oxobutyl)-9-fluoro-1-hydroxybenzo[c]-1,6-naphthyridin-6(5H)-one 868995-89-5P, 4-(2-Bromoacetyl)cyclohexyl acetate 868995-91-9P, 4-[2-[9-Fluoro-6-oxobenzo[c]-1,6-naphthyridin-5(6H)-yl]acetyl]cyclohexyl acetate 868995-93-1P, trans-4-[2-[9-Fluoro-6-oxobenzo[c]-1,6-naphthyridin-5(6H)-yl]acetyl]cyclohexyl acetate 868995-95-3P, cis-4-[2-[9-Fluoro-6-oxobenzo[c]-1,6-naphthyridin-5(6H)-yl]acetyl]cyclohexyl acetate 868995-97-5P, trans-4-[2-[9-Fluoro-2-oxido-6-oxobenzo[c]-1,6-naphthyridin-5(6H)-yl]acetyl]cyclohexyl acetate 868995-99-7P, 4-[2-[9-Fluoro-1,6-dioxo-2,6-dihydrobenzo[c]-1,6-naphthyridin-5(1H)-yl]acetyl]cyclohexyl acetate 868997-84-6P, 2-Butoxypyridin-4-amine 868997-85-7P, 2-Butoxy-3-iodopyridin-4-amine 868997-86-8P, [4-[(Diisopropylamino)carbonyl]pyridin-3-yl]boronic acid 868997-87-9P, 1-Butoxypyrido[4,3-c]-1,6-naphthyridin-6(5H)-one 868997-88-0P, 4'-Amino-2'-butoxy-N,N-diisopropyl-3,3'-bipyridine-4-carboxamide 868997-89-1P, 5-[2-(4-Hydroxycyclohexyl)-2-oxoethyl]pyrido[4,3-c][1,6]naphthyridine-1,6(2H,5H)-dione hydrochloride 868997-90-4P, trans-4-[2-[1-Butoxy-6-oxopyrido[4,3-c][1,6]naphthyridin-5(6H)-yl]acetyl]cyclohexyl acetate 868997-95-9P, tert-Butyl 4-[[1-butoxy-6-oxopyrido[4,3-c][1,6]naphthyridin-5(6H)-yl]acetyl]piperidine-1-carboxylate 868997-96-0P, 5-[2-Oxo-2-(piperidin-4-yl)ethyl]pyrido[4,3-c][1,6]naphthyridine-1,6(2H,5H)-dione dihydrochloride 868998-07-6P, 2-(2-Fluoropyridin-4-yl)-1-(pyridin-3-yl)ethanone 868998-08-7P, (1E)-1-(2-Fluoropyridin-4-yl)-2-(pyridin-3-yl)ethane-1,2-dione 1-oxime 868998-09-8P, 5-(2-Fluoropyridin-4-yl)-4-(pyridin-3-yl)-1H-imidazol-1-ol 868998-10-1P, 4-[2-Chloro-4-(pyridin-3-yl)-1H-imidazol-5-yl]-2-fluoropyridine 868998-11-2P 868998-13-4P, 4-[2-(4-Hydroxypiperidin-1-yl)-4-(pyridin-3-yl)-1H-imidazol-5-yl]pyridin-2(1H)-one bis(trifluoroacetate) 868998-15-6P, 2-(2-Fluoropyridin-4-yl)-1-(pyridin-4-yl)ethanone 868998-16-7P, 2-Bromo-2-(2-fluoropyridin-4-yl)-1-(pyridin-4-yl)ethanone monohydrobromide 868998-17-8P, 5-(2-Fluoropyridin-4-yl)-4-(pyridin-4-yl)-1,3-thiazol-2-amine 868998-18-9P, 4-[2-Chloro-4-(pyridin-4-yl)-1,3-thiazol-5-yl]-2-fluoropyridine 868998-19-0P, 1-[5-(2-Fluoropyridin-4-yl)-4-(pyridin-4-yl)-1,3-thiazol-2-yl]piperidin-4-ol 868998-20-3P, 4-Hydroxypiperidine-1-carbothioamide 868998-21-4P, 4-[2-(4-Hydroxypiperidin-1-yl)-4-(pyridin-4-yl)-1,3-thiazol-5-yl]pyridin-2(1H)-one 868998-72-5P, 4-(4-Fluorophenyl)-5-(2-fluoropyridin-4-yl)-1,3-dihydro-2H-imidazol-2-one 868998-75-8P, 4-[2-Chloro-4-(4-fluorophenyl)-1H-imidazol-5-yl]-2-fluoropyridine 868998-77-0P, 4-[2-Chloro-4-(4-fluorophenyl)-1H-imidazol-5-yl]pyridin-2(1H)-one 868998-79-2P, 2-Chloro-9-fluoro-3,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868998-81-6P, 2-Chloro-9-fluoro-3-[[2-(trimethylsilyl)ethoxy]methyl]-6-[[2-(trimethylsilyl)ethoxy]methyl]-3,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868998-82-7P, 2-Chloro-9-fluoro-1-[[2-(trimethylsilyl)ethoxy]methyl]-6-[[2-(trimethylsilyl)ethoxy]methyl]-3,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868998-90-7P, 1-Benzyl-5-(4-fluorophenyl)-4-(2-fluoropyridin-4-yl)-1,3-dihydro-2H-imidazol-2-one 868998-92-9P, 4-[1-Benzyl-2-chloro-5-(4-fluorophenyl)-1H-imidazol-4-yl]-2-fluoropyridine 868998-93-0P, 4-[1-Benzyl-2-chloro-5-(4-fluorophenyl)-1H-imidazol-4-yl]pyridin-2(1H)-one 868998-94-1P, 1-Benzyl-2-chloro-9-fluoro-1,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868998-95-2P, 1-Benzyl-2-chloro-9-fluoro-6-[[2-(trimethylsilyl)ethoxy]methyl]-1,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868998-96-3P, 1-Benzyl-9-fluoro-2-[3-(piperidin-1-yl)propoxy]-6-[[2-(trimethylsilyl)ethoxy]methyl]-1,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868998-99-6P,

1-Benzyl-9-fluoro-2-[3-(piperidin-1-yl)propoxy]-1,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one bis(trifluoroacetate) 868999-01-3P, 1-Benzyl-9-fluoro-2-[[3-(morpholin-4-yl)propyl]amino]-6-[[2-(trimethylsilyl)ethoxy]methyl]-1,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868999-03-5P, 1-Benzyl-9-fluoro-2-[[3-(morpholin-4-yl)propyl]amino]-1,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one bis(trifluoroacetate) 868999-06-8P, 1-Benzyl-2-(1,4-dioxa-8-azaspiro[4.5]decan-8-yl)-9-fluoro-6-[[2-(trimethylsilyl)ethoxy]methyl]-1,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868999-07-9P, 1-Benzyl-9-fluoro-2-(4-oxopiperidin-1-yl)-6-[[2-(trimethylsilyl)ethoxy]methyl]-1,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868999-08-0P, 1-Benzyl-9-fluoro-2-(4-oxa-6-azaspiro[2.5]-octan-6-yl)-6-[[2-(trimethylsilyl)ethoxy]methyl]-1,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868999-09-1P, 1-Benzyl-9-fluoro-2-[4-hydroxy-4-[[2-(morpholin-4-yl)ethoxy]methyl]piperidin-1-yl]-1,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868999-51-3P, 1-Benzyl-9-fluoro-2-[4-(hydroxyimino)piperidin-1-yl]-6-[[2-(trimethylsilyl)ethoxy]methyl]-1,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868999-52-4P, 1-Benzyl-9-fluoro-2-[4-[[2-(morpholin-4-yl)ethoxy]imino]piperidin-1-yl]-6-[[2-(trimethylsilyl)ethoxy]methyl]-1,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868999-53-5P, 1-Benzyl-9-fluoro-2-[4-[[2-(morpholin-4-yl)ethoxy]imino]piperidin-1-yl]-1,6-dihydro-7H-benzo[h]imidazo[4,5-f]isoquinolin-7-one 868999-90-0P, 1-(2-Fluoropyridin-4-yl)-2-(pyridin-3-yl)ethane-1,2-dione 1-oxime 868999-91-1P, 2-tert-Butyl-5-(2-fluoropyridin-4-yl)-4-(pyridin-3-yl)-1H-imidazol-1-ol 868999-92-2P, 4-[2-tert-Butyl-4-(pyridin-3-yl)-1H-imidazol-5-yl]-2-fluoropyridine 868999-93-3P, 4-[2-tert-Butyl-4-(pyridin-3-yl)-1H-imidazol-5-yl]pyridin-2(1H)-one 869000-10-2P, 2-(2-Fluoropyridin-4-yl)-1-(pyridin-2-yl)ethanone 869000-11-3P, (2-Fluoropyridin-4-yl)-2-(pyridin-2-yl)ethane-1,2-dione 1-oxime 869000-12-4P, 2-tert-Butyl-5-(2-fluoropyridin-4-yl)-4-(pyridin-2-yl)-1H-imidazol-1-ol 869000-13-5P, 4-[2-tert-Butyl-4-(pyridin-2-yl)-1H-imidazol-5-yl]-2-fluoropyridine 869000-14-6P, 4-[2-tert-Butyl-4-(pyridin-2-yl)-1H-imidazol-5-yl]pyridin-2(1H)-one 869000-23-7P 869000-24-8P 869000-25-9P
(intermediate; preparation of tetracyclic inhibitors of Janus kinases for treating immune-related diseases and cancer)

IT 93-60-7, Methyl nicotinate 103-85-5, 1-Phenylthiourea 104-58-5, 3-(Piperidin-1-yl)-1-propanol 123-00-2, 4-Morpholinepropanamine 123-38-6, Propionaldehyde, reactions 177-11-7, 1,4-Dioxa-8-azaspiro[4.5]decane 286-20-4, Cyclohexene oxide 403-43-0, 4-Fluorobenzoyl chloride 461-87-0, 2-Fluoro-4-methylpyridine 500-22-1, 3-Pyridinecarboxaldehyde 504-24-5, 4-Pyridinamine 586-95-8, 4-Pyridinemethanol 614-18-6 621-83-0, 1-Benzylthiourea 622-40-2, 4-Morpholineethanol 630-19-3, Pivaldehyde 1072-84-0, 1H-Imidazole-4-carboxylic acid 1118-68-9, (Dimethylamino)acetic acid 1489-69-6, Cyclopropanecarboxaldehyde 1570-45-2 1694-29-7, 3-Chloropentane-2,4-dione 2158-03-4, 1-Piperidinecarboxamide 2524-52-9 3430-17-9, 2-Bromo-3-methylpyridine 3430-22-6, 3-Bromo-4-methylpyridine 3438-55-9, 5-Bromo-4-chloro-6-methylpyrimidine 3511-90-8, 4-Bromo-2,3,5,6-tetrafluoropyridine 3647-69-6, 4-(2-Chloroethyl)morpholine hydrochloride 3731-51-9, 2-Picolinamine 4637-24-5 5382-16-1, 4-Hydroxypiperidine 5469-26-1, 1-Bromo-3,3-dimethyl-2-butanone 6972-05-0, 1,1-Dimethylthiourea 7204-48-0, 1-(tert-Butyl)thiourea 10366-88-8, N,N-Diethyl-4-fluorobenzamide 13176-46-0, Ethyl 4-bromo-3-oxobutanoate 14294-09-8, 1-Piperidinecarbothioamide 14432-12-3, 2-Chloropyridin-4-amine 17419-81-7, 4-Hydroxycyclohexanecarboxylic acid 23368-84-5, 5-Iodo-4-methoxy-6-methylpyrimidin-2-amine 33860-28-5, 4-Methylpiperazine-1-carbothioamide 54147-47-6, 1-Piperazinecarbothioamide 66892-33-9, 1-(3-Methoxypropyl)thiourea 76513-69-4 77924-05-1, N,N-Diisopropylisonicotinamide 89466-36-4, 3-Chloro-6-methoxy-4-methylpyridazine 301221-79-4, tert-Butyl

4-(bromoacetyl)piperidine-1-carboxylate 302839-09-4, 1-(4-Fluorophenyl)-2-(2-fluoropyridin-4-yl)ethanone 581098-38-6, 4-(4-Fluorophenyl)-5-(2-fluoropyridin-4-yl)-1,3-dihydro-2H-imidazole-2-thione 868998-73-6, 2-Amino-1-(4-fluorophenyl)-2-(2-fluoropyridin-4-yl)ethanone hydrochloride 868998-91-8, (2E)-1-(4-Fluorophenyl)-2-(2-fluoropyridin-4-yl)ethane-1,2-dione 2-oxime
(preparation of tetracyclic inhibitors of Janus kinases for treating immune-related diseases and cancer)

L5 ANSWER 4 OF 16 USPATFULL on STN
ACCESSION NUMBER: 2005:105536 USPATFULL
TITLE: Methods and compositions for enhancing and inhibiting fertilization
INVENTOR(S): Naor, Zvi, Tel Aviv, ISRAEL

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2005090474	A1	20050428	
APPLICATION INFO.:	US 2003-498830	A1	20030116	(10)
	WO 2003-IL44		20030116	

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-60348379	20020116

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Martin Moynihan, Anthony Castorina, 2001 Jefferson Davis Highway, Suite 207, Arlington, VA, 22202, US
NUMBER OF CLAIMS: 122
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 8 Drawing Page(s)
LINE COUNT: 1880
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A method of contraception is provided. The method comprises providing to a subject an amount of a p38 activator and/or an ERK inhibitor capable of substantially reducing sperm motility. Also provided is a method of enhancing fertility comprising providing to a subject a therapeutically effective amount of a p38 inhibitor and/or an ERK activator, thereby enhancing fertility.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 72873-74-6, SKF-86002 152121-30-7, SB202190 152121-46-5 152121-47-6, SB203580 152121-53-4, PD169316 165806-53-1, SB220025 189319-35-5 193551-21-2, SB239063 219138-24-6 262589-62-8, ML 3163 318480-82-9, SC68376
(p38 inhibitor; methods and compns. for enhancing and inhibiting fertilization using a p38 activator/inhibitor and an ERK inhibitor/activator)

L5 ANSWER 5 OF 16 USPATFULL on STN
ACCESSION NUMBER: 2004:152194 USPATFULL
TITLE: 2-thio-substituted imidazole derivatives and the use thereof in the pharmaceutical industry
INVENTOR(S): Laufer, Stefan, Blaubeuren, GERMANY, FEDERAL REPUBLIC OF
Kotschenreuther, Dunja, Ulm, GERMANY, FEDERAL REPUBLIC OF
Merckle, Philipp, Balubeuren-Weiler, GERMANY, FEDERAL REPUBLIC OF
Tollmann, Karola, Brechen, GERMANY, FEDERAL REPUBLIC OF
Striegel, Hans-Gunter, Blaustein, GERMANY, FEDERAL REPUBLIC OF

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004116416	A1	20040617	
APPLICATION INFO.:	US 2004-467064	A1	20040128	(10)
	WO 2002-EP1746		20020219	

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2001-10107683	20010219

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: OBLON, SPIVAK, MCCLELLAND, MAIER & NEUSTADT, P.C., 1940 DUKE STREET, ALEXANDRIA, VA, 22314
NUMBER OF CLAIMS: 18
EXEMPLARY CLAIM: 1
LINE COUNT: 1946
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to 2-thio-substituted imidazole derivatives of the formula I ##STR1##

in which the radicals R.sub.1, R.sub.2, R.sub.3 and R.sub.4 are as defined in the description. The compounds according to the invention have immunomodulating and/or cytokine-release-inhibiting action and are therefore suitable for treating disorders associated with a disturbed immune system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 452056-11-0P 452056-14-3P 452056-63-2P 452056-72-3P
452056-88-1P 452056-89-2P 452056-90-5P
452056-91-6P 452056-92-7P 452056-93-8P 452056-94-9P
452056-95-0P 452056-96-1P 452056-98-3P
(preparation of 2-thioimidazoles as immunomodulators and cytokine inhibitors)
IT 452056-17-6P 452056-18-7P 452056-19-8P
452056-20-1P 452056-21-2P 452056-22-3P
452056-23-4P 452056-24-5P 452056-25-6P
452056-26-7P 452056-27-8P 452056-28-9P
452056-29-0P 452056-30-3P 452056-31-4P
452056-32-5P 452056-33-6P 452056-34-7P 452056-35-8P
452056-36-9P 452056-37-0P 452056-38-1P 452056-39-2P 452056-40-5P
452056-41-6P 452056-42-7P 452056-43-8P 452056-44-9P 452056-45-0P
452056-46-1P 452056-47-2P 452056-48-3P 452056-49-4P 452056-50-7P
452056-51-8P 452056-52-9P 452056-53-0P 452056-54-1P 452056-55-2P
452056-56-3P 452056-57-4P 452056-58-5P 452056-59-6P 452056-60-9P
452056-61-0P 452056-62-1P 452056-64-3P 452056-65-4P 452056-69-8P
452056-71-2P 452056-73-4P 452056-74-5P 452056-75-6P
452056-76-7P 452056-77-8P 452056-78-9P 452056-97-2P
452056-99-4P 452057-00-0P 452057-01-1P 452057-02-2P 452057-03-3P
452057-04-4P 452057-05-5P 452057-06-6P 452057-07-7P 452057-08-8P
(preparation of 2-thioimidazoles as immunomodulators and cytokine inhibitors)

L5 ANSWER 6 OF 16 USPATFULL on STN
ACCESSION NUMBER: 2002:202109 USPATFULL
TITLE: 2-arylalkylthio -imidazoles, 2-arylalkenyl -thio -imidazoles and 2-arylalkinyl -thio -imidazoles as anti -inflammatory substances and substances inhibiting the release of cytokine
INVENTOR(S): Laufer, Stefan, Blaubeuren, GERMANY, FEDERAL REPUBLIC OF
Striegel, Hans-Gunter, Blaustein, GERMANY, FEDERAL REPUBLIC OF
Neher, Karola, Blaubeuren, GERMANY, FEDERAL REPUBLIC OF

PATENT ASSIGNEE(S): Merckle GmbH, Blaubeuren, GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6432988	B1	20020813	
	WO 2000017192		20000330	
APPLICATION INFO.:	US 2001-787390		20010511	(9)
	WO 1999-EP6945		19990920	
			20010511	PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	DE 1998-19842833	19980918

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Rotman, Alan L.
ASSISTANT EXAMINER: Robinson, Binta
LEGAL REPRESENTATIVE: Heller Ehrman White and McAuliffe
NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 1153
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to 4-heteroaryl-5-phenylimidazole derivatives having 2-arylalkylthio, 2-arylalkenylthio and 2-arylalkynylthio substitution, of the general formula I: ##STR1##

in which Ar is a phenyl radical, Het is a hetero aromatic radical, A is an alkylene chain, R.sup.1 is an alkylthio, alkylsulfinyl, alkylsulfonyl, sulfonamido or alkylcarbonyl group and R.sup.2 is an alkyl, hydroxyl, alkoxy, alkoxycarbonyl, sulfonamido, carboxyl, nitro or aminocarbonyl group or a halogen atom. n can be 1 or 2 and m is 0 to 2. The compounds according to the invention show antiinflammatory activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 262589-61-7P 262589-62-8P 262589-63-9P
262589-64-0P 262589-65-1P 262589-66-2P
262589-67-3P 262589-68-4P 262589-69-5P
262589-70-8P 262589-71-9P 262589-72-0P
262589-73-1P 262589-74-2P 262589-75-3P
262589-77-5P
(preparation of 2-aralkylthioimidazoles and related compds. as antiinflammatories)
IT 94-30-4P 825-99-0P 1227-49-2P 3724-10-5P 4025-64-3P 26190-68-1P
33384-77-9P 50803-29-7P 59083-33-9P 65442-16-2P 72882-75-8P
87346-53-0P 90555-55-8P 115858-98-5P 115858-99-6P 115859-01-3P
262589-78-6P 262589-79-7P 262589-81-1P 262589-83-3P
(preparation of 2-aralkylthioimidazoles and related compds. as antiinflammatories)

L5 ANSWER 7 OF 16 USPATFULL on STN
ACCESSION NUMBER: 2001:173601 USPATFULL
TITLE: 2-substituted 4,5-diaryl imidazoles
INVENTOR(S): Revesz, Laszlo, Therwil, Switzerland
PATENT ASSIGNEE(S): Novartis AG, Basel, Switzerland (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6300347	B1	20011009	
	WO 9901449		19990114	
APPLICATION INFO.:	US 1999-446885		19991229	(9)
	WO 1998-EP3930		19980626	

19991229 PCT 371 date
19991229 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1997-13726	19970630

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Rotman, Alan L.
ASSISTANT EXAMINER: Desai, Rita
LEGAL REPRESENTATIVE: Loeschorn, Carol
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 780
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel 2-substituted 4,5-diaryl imidazoles are provided, in particular compounds of Formula I ##STR1##

wherein R1, R2, R3 and R4 are as defined, in free or pharmaceutically-acceptable acid addition salt or physiologically-cleavable ester form, which have p38 MAP kinase (Mitogen Activated Protein Kinase) inhibiting activity. The compounds are used as pharmaceuticals for treating TNFα and IL-1 mediated diseases such as rheumatoid arthritis and diseases of bone metabolism, e.g. osteoporosis.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 219838-92-3P 219838-93-4P 219838-94-5P 219838-96-7P
219838-97-8P 219838-99-0P 219839-01-7P 219839-03-9P 219839-04-0P
219839-06-2P 219839-08-4P 219839-09-5P 219839-11-9P 219839-12-0P
219839-14-2P 219839-17-5P 219839-19-7P
(preparation of 2-substituted 4,5-diarylimidazoles as antiinflammatories and immunosuppressants)

L5 ANSWER 8 OF 16 USPATFULL on STN
ACCESSION NUMBER: 2000:34566 USPATFULL
TITLE: 2-substituted imidazoles useful in the treatment of inflammatory diseases
INVENTOR(S): Beers, Scott A., Flemington, NJ, United States
Malloy, Elizabeth A., Flemington, NJ, United States
Wachter, Michael P., Bloomsbury, NJ, United States
Wu, Wei, Somerville, NJ, United States
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., Raritan, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6040320		20000321	
APPLICATION INFO.:	US 1998-106698		19980629	(9)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Fan, Jane
LEGAL REPRESENTATIVE: Harbour, John
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 1075
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention relates to substituted imidazoles of Formula I ##STR1## pharmaceutical compositions containing them, methods of using them and intermediates useful in their manufacture. The compounds of the invention modulate the production of a number of inflammatory cytokines, and are useful in the treatment of diseases associated with the production of inflammatory cytokines.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 72882-75-8P 181630-93-3P 215303-94-9P 220113-15-5P
220113-17-7P 220113-20-2P 220113-22-4P 220113-23-5P
220113-25-7P 220113-27-9P
(preparation of 2-substituted imidazoles useful in the treatment of inflammatory diseases)
IT 72873-79-1P 111145-50-7P 152121-97-6P 195154-10-0P
215303-06-3P 215303-07-4P 215303-25-6P 215303-34-7P
220113-18-8P 220113-19-9P 220113-21-3P 220113-24-6P
220113-26-8P 220113-28-0P 220113-29-1P 220113-30-4P
220113-31-5P 220113-32-6P 220113-33-7P
220113-34-8P 220113-35-9P 220113-36-0P
220113-37-1P 220113-38-2P 220113-39-3P
220113-40-6P 220113-41-7P 220113-42-8P 220113-43-9P
220113-44-0P 220113-45-1P 220113-46-2P
220113-47-3P 220113-48-4P 220113-49-5P 220113-50-8P
220113-51-9P 220113-52-0P 220113-53-1P 220113-54-2P 220113-55-3P
220113-56-4P 220113-57-5P 220113-58-6P 220113-59-7P 220113-60-0P
220113-61-1P 220113-62-2P 220113-63-3P 220113-64-4P 220113-65-5P
220113-66-6P 220113-67-7P 220113-68-8P 220113-69-9P 220113-70-2P
220113-71-3P 220113-72-4P 220113-74-6P 220113-76-8P 220113-79-1P
220113-81-5P 220113-82-6P 220113-83-7P 220113-84-8P 220113-85-9P
220113-86-0P 220113-87-1P 220113-88-2P 220113-89-3P 220113-90-6P
220113-91-7P 220113-92-8P 220113-93-9P 220113-94-0P 220113-95-1P
(preparation of 2-substituted imidazoles useful in the treatment of inflammatory diseases)

L5 ANSWER 9 OF 16 USPATFULL on STN
ACCESSION NUMBER: 94:5884 USPATFULL
TITLE: Piperidine derivatives, their preparation and their therapeutic application
INVENTOR(S): Jegham, Samir, Franconville, France
DeFosse, Gerard, Paris, France
Purcell, Thomas, Montfort-l'Amaury, France
Schoemaker, Johannes, Gif-sur-Yvettte, France
PATENT ASSIGNEE(S): Synthelabo, Le Plessis-Robinson, France (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5280030		19940118	
APPLICATION INFO.:	US 1992-862376		19920402	(7)

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1991-4009	19910403

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ivy, C. Warren
ASSISTANT EXAMINER: Chang, Celia
LEGAL REPRESENTATIVE: Wegner, Cantor, Mueller & Player
NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 600
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A compound which is a piperidine derivative of general formula (I) ##STR1## in which R.sub.1 represents a hydrogen atom, a linear or branched (C.sub.1-6)alkyl group or a cyclo(C.sub.3-8)alkyl group, X represents an oxygen atom, a sulphur atom or a group of general formula N--R.sub.3 in which R.sub.3 is a hydrogen atom, or a linear or branched (C.sub.1-8)alkyl, cyclo(C.sub.3-6)alkyl, cyclo(C.sub.3-6)alkylmethyl, (C.sub.1-4)alkoxy-(C.sub.1-4)alkyl, phenyl, pyridin-4-yl, pyridin-3-yl,

pyridin-4-ylmethyl or pyridin-3-ylmethyl group and Z represents a hydrogen or fluorine atom and acid addition salts thereof with pharmaceutically acceptable acids, can be used for the treatment and prevention of disorders in which 5-HT receptors are involved.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 1194-99-6P 1701-69-5P, 1-(4-Pyridyl)-1-propanone 3705-87-1P
51746-83-9P 51746-87-3P 74209-52-2P 74209-53-3P 98377-51-6P
98377-52-7P 103851-72-5P 103851-90-7P 146366-00-9P
146366-01-0P 146366-02-1P 146366-03-2P 146366-04-3P 146366-05-4P
(preparation and reaction of, in preparation of 5HT receptor ligands)

L5 ANSWER 10 OF 16 USPATFULL on STN
ACCESSION NUMBER: 89:56419 USPATFULL
TITLE: Inhibition of the 5-lipoxygenase pathway utilizing certain 2,2'-alkyldiyl bis(thio)bis-imidazoles and derivatives
INVENTOR(S): Bender, Paul E., Cherry Hill, NJ, United States
Hill, David T., North Wales, PA, United States
PATENT ASSIGNEE(S): SmithKline Beckman Corporation, Philadelphia, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4847270		19890711
APPLICATION INFO.:	US 1987-119117		19871110 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1986-856735, filed on 28 Apr 1986, now patented, Pat. No. US 4728656 which is a continuation-in-part of Ser. No. US 1985-808396, filed on 12 Dec 1985, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Rotman, Alan L.
LEGAL REPRESENTATIVE: Mayer, Nancy S., Canter, Carol G., Williams, Janice E.
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 625
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel compounds, pharmaceutical compositions and a method of inhibiting the 5-lipoxygenase products in an animal in need thereof which comprises administering an effective, 5-lipoxygenase pathway inhibiting amount of a 2,2'-[1,2-ethanediylbis-(thio)]-bis-1H-imidazole or 2,2'-[1,3-propan-2-onediylbis-(thio)]bis-1H-imidazole, or a pharmaceutically acceptable salt thereof, to such animal.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 73627-42-6P 73647-90-2P 110764-03-9P 110764-04-0P
(preparation of, as inflammation inhibitor)

L5 ANSWER 11 OF 16 USPATFULL on STN
ACCESSION NUMBER: 88:83901 USPATFULL
TITLE: Inhibition of interleukin-1 production by monocytes and/or macrophages
INVENTOR(S): Bender, Paul E., Cherry Hill, NJ, United States
Griswold, Don E., North Wales, PA, United States
Hanna, Nabil, Berwyn, PA, United States
Lee, John C., Radnor, PA, United States
PATENT ASSIGNEE(S): SmithKline Beckman Corporation, Philadelphia, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4794114		19881227

APPLICATION INFO.: US 1987-63550 19870617 (7)
RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1986-897909, filed on 19 Aug 1986, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Rollins, John W.
LEGAL REPRESENTATIVE: Canter, Carol G., Lentz, Edward T., Lourie, Alan D.
NUMBER OF CLAIMS: 20
EXEMPLARY CLAIM: 1
LINE COUNT: 750
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A method of inhibiting the 5-lipoxygenase pathway in an animal in need thereof which comprises administering an effective, 5-lipoxygenase pathway inhibiting amount of a diaryl-substituted imidazole fused to a thiazole pyrrolidine, thiazide or piperidine ring to such animal.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 72873-67-7P 72882-73-6P 72882-74-7P
72882-75-8P 116482-88-3P
(preparation of, in fused diarylimidazole preparation as interleukin-1 formation
inhibitor)

L5 ANSWER 12 OF 16 USPATFULL on STN
ACCESSION NUMBER: 88:69177 USPATFULL
TITLE: Inhibition of interleukin-1 by monocytes and/or macrophages
INVENTOR(S): Bender, Paul E., Cherry Hill, NJ, United States
Griswold, Don E., North Wales, PA, United States
Hanna, Nabil, Berwyn, PA, United States
Lee, John C., Radnor, PA, United States
PATENT ASSIGNEE(S): SmithKline Beckman Corporation, Philadelphia, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4780470		19881025
APPLICATION INFO.:	US 1986-897901		19860819 (6)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Friedman, Stanley J.
LEGAL REPRESENTATIVE: Canter, Carol G., Lentz, Edward T., Lourie, Alan D.
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
LINE COUNT: 481
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A method of inhibiting the production of interleukin-1 by monocytes in a human in need thereof which comprises administering to such human an effective interleukin-1 production inhibiting amount of a 4,5-diaryl-2(substituted)imidazole.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 72882-73-6P 72882-74-7P
(preparation of)
IT 72882-75-8P 72882-76-9P
(preparation of and interleukin 1 formation inhibition by)

L5 ANSWER 13 OF 16 USPATFULL on STN
ACCESSION NUMBER: 88:67402 USPATFULL
TITLE: Inhibition of interleukin-1 production by monocytes and/or macrophages
INVENTOR(S): Bender, Paul E., Cherry Hill, NJ, United States
Griswold, Don E., North Wales, PA, United States

Hanna, Nabil, Berwyn, PA, United States
Lee, John C., Radnor, PA, United States
PATENT ASSIGNEE(S): SmithKline Beckman Corporation, Philadelphia, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4778806		19881018
APPLICATION INFO.:	US 1986-898447		19860819 (6)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Friedman, Stanley J.
LEGAL REPRESENTATIVE: Canter, Carol G., Lentz, Edward T., Lourie, Alan D.
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 289
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A method of inhibiting the production of interleukin-1 by monocytes and/or macrophages in a human in need thereof which comprises administering to such human an effective interleukin-1 production inhibiting amount of a 2-2'-[1,3-propan-2-onediyl-bis(thio)]bis-1H-imidazole or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 110764-04-0P
(preparation of and interleukin 1 formation by macrophage and monocyte inhibition by)
IT 72882-75-8
(reaction of, with dichloropropanone in interleukin 1 formation inhibitor preparation)

L5 ANSWER 14 OF 16 USPATFULL on STN
ACCESSION NUMBER: 88:13230 USPATFULL
TITLE: 2,2-alkyldiylbis(thio)bis(imidazoles) useful for inhibition of the 5-lipoxygenase pathway
INVENTOR(S): Bender, Paul E., Cherry Hill, NJ, United States
Hill, David T., North Wales, PA, United States
PATENT ASSIGNEE(S): SmithKline Beckman Corporation, Philadelphia, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4728656		19880301
APPLICATION INFO.:	US 1986-856735		19860428 (6)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1985-808396, filed on 12 Dec 1985, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Fan, Jane T.
LEGAL REPRESENTATIVE: Canter, Carol G., Mayer, Nancy S., Suter, Stuart R.
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 656
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel compounds, pharmaceutical compositions and a method of inhibiting the 5-lipoxygenase products in an animal in need thereof which comprises administering an effective, 5-lipoxygenase pathway inhibiting amount of a 2,2'-[1,2-ethanediylbis-(thio)]-bis-1H-imidazole or 2,2'-[(1,3-propan-2-onediylbis-(thio)]bis-1H-imidazole, or a pharmaceutically acceptable salt thereof, to such animal.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 73627-42-6P 73647-90-2P 110764-03-9P 110764-04-0P

(preparation of, as inflammation inhibitor)

L5 ANSWER 15 OF 16 USPATFULL on STN
ACCESSION NUMBER: 87:56924 USPATFULL
TITLE: Inhibition of 5-lipoxygenase products
INVENTOR(S): Bender, Paul E., Cherry Hill, NJ, United States
Griswold, Don E., North Wales, PA, United States
Hanna, Nabil, Berwyn, PA, United States
Sarau, Henry M., Hatfield, PA, United States
PATENT ASSIGNEE(S): SmithKline Beckman Corporation, Philadelphia, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4686231		19870811
APPLICATION INFO.:	US 1986-856927		19860428 (6)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1985-808395, filed on 12 Dec 1985
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Jiles, Henry R.
ASSISTANT EXAMINER: Whittenbaugh, Robert C.
LEGAL REPRESENTATIVE: Mayer, Nancy S., Suter, Stuart R., Lourie, Alan D.
NUMBER OF CLAIMS: 37
EXEMPLARY CLAIM: 1,11
LINE COUNT: 1147
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel compounds, compositions and pharmaceutical a method of inhibiting the 5-lipoxygenase pathway in an animal in need thereof which comprising administering an effective, 5-lipoxygenase pathway inhibiting amount of a 4,5-diaryl-2(substituted)-imidazole or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 22397-48-4P 36740-73-5P 39908-69-5P 49855-26-7P 62894-89-7P
66659-98-1P 71727-40-7P 72882-75-8P 72882-76-9P
73181-81-4P 73181-88-1P 97059-80-8P 97059-81-9P 97059-82-0P
111145-47-2P 111145-48-3P 111145-49-4P 111145-50-7P
111145-51-8P 111145-52-9P 111145-53-0P 111145-54-1P 111145-55-2P
111145-56-3P 111145-58-5P 111145-59-6P 111145-60-9P
(preparation of, as antiarthritic)

L5 ANSWER 16 OF 16 USPATFULL on STN
ACCESSION NUMBER: 79:46422 USPATFULL
TITLE: Pyridyl substituted 2,3-dihydroimidazo[2,1-b]thiazoles
INVENTOR(S): Bender, Paul E., Cherry Hill, NJ, United States
Lantos, Ivan, Blackwood, NJ, United States
PATENT ASSIGNEE(S): SmithKline Corporation, Philadelphia, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4175127		19791120
APPLICATION INFO.:	US 1978-946260		19780927 (5)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Rotman, Alan L.
LEGAL REPRESENTATIVE: Edgerton, William H.
NUMBER OF CLAIMS: 13
EXEMPLARY CLAIM: 1
LINE COUNT: 714
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The compounds are 5/6-pyridyl-phenyl-2,3-dihydroimidazo[2,1-b]thiazoles

which have utility as intermediates and/or as having antiarthritic activity. A preferred group of compounds is 5-(4-pyridyl)-6-(4-substituted phenyl)-2,3-dihydroimidazo[2,1-b]thiazoles which have significant anti-arthritic activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 72882-73-6P 72882-74-7P 72882-75-8P
(preparation and cyclocondensation reaction of, with ethylene dihalide)
IT 72873-70-2P 72873-71-3P 72873-72-4P 72873-73-5P 72873-74-6P
72873-75-7P 72873-76-8P 72873-77-9P 72873-78-0P 72873-79-1P
72873-80-4P 72873-81-5P 72873-82-6P
72873-83-7P 72873-84-8P 72873-85-9P 72873-87-1P
72873-88-2P 72873-89-3P 72873-90-6P
72873-91-7P 72882-76-9P
(preparation of)

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